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(54) Title: PHENYL-THIOPHENE TYPE VITAMIN D RECEPTOR MODULATORS

(57) Abstract: The present invention relates to novel, non-steroidal, phenyl-thiophene compounds with vitamin D receptor (VDR) modulating activity that are less hypercalcemic than 1 $\alpha$ ,25 dihydroxy vitamin D3. These compounds are useful for treating bone disease and psoriasis.

**WO 03/101978 A1**

## PHENYL-THIOPHENE TYPE VITAMIN D RECEPTOR MODULATORS

5

### CROSS REFERENCE TO RELATED APPLICATIONS

This patent application claims the benefit of priority under Title 35 United States Code, section 119(e), of Provisional Patent Application No. 60/384,151 filed May 29, 2002; the disclosure of which is incorporated herein by reference.

10

### BACKGROUND OF THE INVENTION

Vitamin D<sub>3</sub> Receptor (VDR) is a ligand dependent transcription factor that belongs to the superfamily of nuclear hormone receptors. The VDR protein is 427 amino acids, with a molecular weight of ~50 kDa. The VDR ligand, 1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub> (the hormonally active form of Vitamin D) has its action mediated by its interaction with the nuclear receptor known as Vitamin D receptor ("VDR"). The VDR ligand, 1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub> (1 $\alpha$ ,25(OH)<sub>2</sub>D<sub>3</sub>) acts upon a wide variety of tissues and cells both related to and unrelated to calcium and phosphate homeostasis.

The activity of 1 $\alpha$ ,25-dihydroxyvitamin D<sub>3</sub> (1 $\alpha$ ,25(OH)<sub>2</sub>D<sub>3</sub>) in various systems suggests wide clinical applications. However, use of conventional VDR ligands is hampered by their associated toxicity, namely hypercalcemia (elevated serum calcium). Currently, 1 $\alpha$ ,25(OH)<sub>2</sub>D<sub>3</sub>, marketed as Rocaltrol® pharmaceutical agent (product of Hoffmann-La Roche), is administered to kidney failure patients undergoing chronic kidney dialysis to treat hypocalcemia and the resultant metabolic bone disease. Other therapeutic agents, such as Calcipotriol® (synthetic analog of 1 $\alpha$ ,25(OH)<sub>2</sub>D<sub>3</sub>) show increased separation of binding affinity on VDR from hypercalcemic activity.

Recently, chemical modifications of 1 $\alpha$ ,25(OH)<sub>2</sub>D<sub>3</sub> have yielded analogs with attenuated calcium mobilization effects (R. Bouillon et. al., Endocrine Rev. 1995, 16, 200-257). One such analog, Dovonex® pharmaceutical agent (product of Bristol-Meyers Squibb Co.), is currently used in Europe and the United States as a topical treatment for mild to moderate psoriasis (K. Kragballe et. al., Br. J. Dermatol. 1988, 119, 223-230).

Other vitamin D<sub>3</sub> mimics have been described in the publication, Vitamin D Analogs: Mechanism of Action of Therapeutic Applications, by Nagpal, S.; Lu, J.; Boehm, M. F., *Curr. Med. Chem.* 2001, 8, 1661-1679.

Although some degree of separation between the beneficial action and calcium raising (calcemic) effects has been achieved with these VDR ligands, to date the separation has been insufficient to allow for oral administration to treat conditions such as osteoporosis, cancers, leukemias, and severe psoriasis.

One example of a major class of disorder that could benefit from VDR mediated biological efficacy in the absence of hypercalcemia is osteoporosis. Osteoporosis is a systemic disorder characterized by decreased bone mass and microarchitectural deterioration of bone tissue leading to bone fragility and increased susceptibility to fractures of the hip, spine, and wrist (World Health Organization WHO 1994). Osteoporosis affects an estimated 75 million people in the United States, Europe, and Japan.

Within the past few years, several antiresorptive therapies have been introduced. These include bisphosphonates, hormone replacement therapy (HRT), a selective estrogen receptor modulator (SERM), and calcitonins. These treatments reduce bone resorption, bone formation, and increase bone density. However, none of these treatments increase true bone volume nor can they restore lost bone architecture.

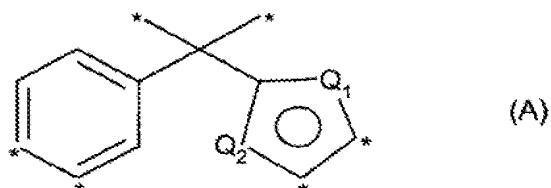
Synthetic vitamin D receptor (VDR) ligands with reduced calcemic potential have been synthesized. For example, a class of bis-phenyl compounds stated to mimic 1 $\alpha$ , 25-dihydroxyvitamin D<sub>3</sub> is described in US Patent No. 6,218,430 and the article; "Novel nonsecosteroidal vitamin D mimics exert VDR-modulating activities with less calcium mobilization than 1 $\alpha$ , 25-Dihydroxyvitamin D<sub>3</sub>" by Marcus F. Boehm, et. al., Chemistry & Biology 1999, Vol 6, No. 5, pgs. 265-275.

There remains a need for improved treatments using alternative or improved pharmaceutical agents that mimic 1 $\alpha$ , 25-dihydroxyvitamin D<sub>3</sub> to stimulate bone formation, restore bone quality, and treat other diseases without the attendant disadvantage of hypercalcemia.

## SUMMARY OF THE INVENTION

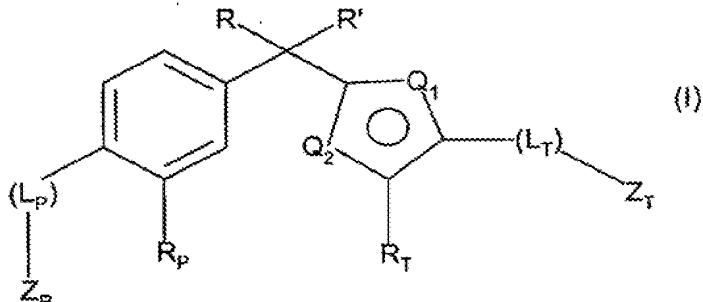
Novel compounds having a nucleus of formula "(A)" have been found effective as Vitamin D Receptor (VDR) modulators:

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where one of the pair of ring atoms (Q<sub>1</sub>, Q<sub>2</sub>) is sulfur and the other is carbon and each asterisk mark ("\*") is a point of substitution. Compounds of the present invention with VDR modulating activities are represented by formula (I)

10 formula I:



wherein the variables R, R', Q<sub>1</sub>, Q<sub>2</sub>, R<sub>P</sub>, R<sub>T</sub>, L<sub>P</sub>, Z<sub>T</sub>, and Z<sub>P</sub> are as hereinafter defined. The inventors have discovered that compounds described herein display the desirable cell differentiation and antiproliferative effects of 1,25(OH)<sub>2</sub>D<sub>3</sub> with reduced 15 calcium mobilization (calcemic) effects.

In another aspect, the present invention is directed towards pharmaceutical compositions containing pharmaceutically effective amounts of compounds of formulae I or a pharmaceutically acceptable salt or prodrug thereof, either singly or in combination, together with pharmaceutically acceptable carriers and/or auxiliary agents.

20 Another aspect of the invention are novel chemical intermediates suitable for preparing the compounds of Formula I.

Another aspect of the invention is to use the compounds of the invention to treat

or prevent disease states responsive to Vitamin D receptor ligands.

Another aspect of the invention is the prevention and treatment of abscess, acne, adhesion, actinic keratosis, alopecia, Alzheimer's disease, autoimmune induced diabetes, bone fracture healing, breast cancer, Crohn's disease, colon cancer, Type I diabetes, host-  
5 graft rejection, hypercalcemia, Type II diabetes, leukemia, multiple sclerosis, insufficient sebum secretion, osteomalacia, osteoporosis, insufficient dermal firmness, insufficient dermal hydration, myelodysplastic syndrome, psoriatic arthritis, prostate cancer, psoriasis, renal osteodystrophy, rheumatoid arthritis, scleroderma, seborrheic dermatitis, skin cancer, systemic lupus erythematosus, ulcerative colitis and wrinkles; by administering to  
10 a mammal in need thereof a pharmaceutically effective amount of a compound of  
Formula I.

Another aspect of the invention is the use of the compounds of Formula I for treating or preventing disease states mediated by the Vitamin D receptor.

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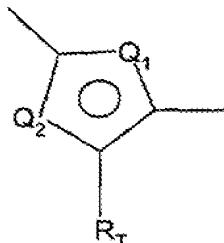
#### DETAILED DESCRIPTION OF THE INVENTION

##### I. Definitions:

In accordance with the present invention and as used herein, the following terms are defined to have the following meanings, unless explicitly stated otherwise:

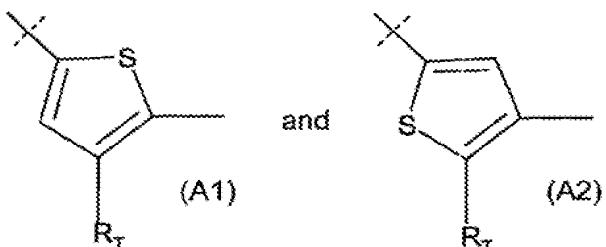
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The structural formula:



is a substructure of Formula I and represents alternative thiophene substructures, namely;

18



dependent on whether Q1 is sulfur when Q2 is carbon (A1) or Q1 is carbon when Q2 is sulfur (A2).

The term "alkenyl" refers to aliphatic groups wherein the point of attachment is a carbon-carbon double bond, for example vinyl, 1-propenyl, and 1-cyclohexenyl. Alkenyl groups may be straight-chain, branched-chain, cyclic, or combinations thereof, and may be optionally substituted. Suitable alkenyl groups have from 2 to about 20 carbon atoms.

The term "alkoxy" refers to -OR wherein R is an aliphatic or aromatic group which may be optionally substituted. Methoxy, ethoxy, propoxy, butoxy, and phenoxy are examples of alkoxy groups.

The term "alkyl" refers to saturated aliphatic groups including straight-chain, branched-chain, cyclic and any combinations thereof. Alkyl groups may further be divided into "primary", "secondary", and "tertiary" alkyl groups. In primary alkyl groups, the carbon atom of attachment is substituted with zero (methyl) or one organic radical. In secondary alkyl groups, the carbon atom of attachment is substituted with two organic radicals. In tertiary alkyl groups, the carbon atom of attachment is substituted with three organic radicals.

The term "cycloalkyl" includes organic radicals such as cyclopropyl, cyclobutyl, and cyclopentyl.

20 The term, "cycloalkenyl" includes organic radicals such as cyclopropenyl, cyclobutenyl, cyclopentenyl, and cyclohexenyl.

The term, "terminal hydroxyalkyl" is a group selected from 3-methyl-3-hydroxypentyl; 3-ethyl-3-hydroxypentyl; 3-ethyl-3-hydroxy-4-methylpentyl; 3-ethyl-3-hydroxy-4,4-dimethylpentyl; 3-methyl-3-hydroxy-4,4-dimethylpentyl; 1-hydroxycycloalkenyl; and 1-hydroxycycloalkyl.

The term, "C<sub>1</sub>-C<sub>5</sub> fluoroalkyl" is an alkyl group containing fluorine and includes organic radicals such as -CF<sub>3</sub>, -CHF<sub>2</sub>, -CH<sub>2</sub>F, -CF<sub>2</sub>CF<sub>3</sub>, -CHFCF<sub>3</sub>, -CH<sub>2</sub>CF<sub>3</sub>,

-6-

-CH<sub>2</sub>CHF<sub>2</sub>, and -CH<sub>2</sub>CH<sub>2</sub>F, with -CF<sub>3</sub> being preferred.

The term, "Active Ingredient" refers to a compound of the invention represented by any of (i) formulae I, II, III, IV, (ii) the product of any example set out herein, or (iii) a compound identified in any row of Tables 1, 2, 3, or 4; or a salt or prodrug derivative of the preceding compound.

5 The abbreviation, "Me" means methyl.

The abbreviation, "Et" means ethyl.

The abbreviation, "iPr" means 1-methylethyl.

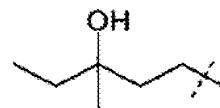
The abbreviation, "tBu" means 1,1-dimethylethyl.

10 The symbol "-(CH<sub>2</sub>)<sub>2</sub>- is equivalent to -CH<sub>2</sub>-CH<sub>2</sub>-.

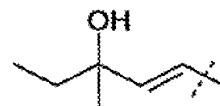
The symbol, "\*" in a structural formula identifies a chiral center (except in formula "A" where it symbolizes substitution).

The univalent symbol "-O" in any structural formula is a hydroxyl group (-OH).

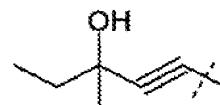
15 The term, "3-methyl-3-hydroxypentyl" refers to the radical having the structural formula:



The term, "3-methyl-3-hydroxypentenyl" refers to the radical having the structural formula:

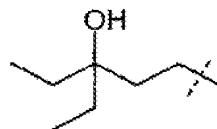


20 The term, "3-methyl-3-hydroxypentynyl" refers to the radical having the structural formula:

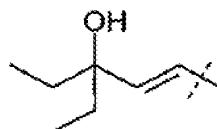


25 The term, "3-ethyl-3-hydroxypentyl" refers to the radical having the structural formula:

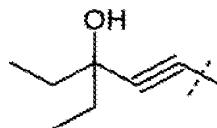
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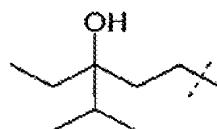
The term, "3-ethyl-3-hydroxypentenyl" refers to the radical having the structural formula:



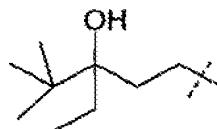
5 The term, "3-ethyl-3-hydroxypentynyl" refers to the radical having the structural formula:



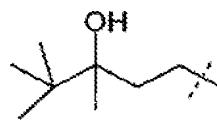
10 The term, "3-ethyl-3-hydroxy-4-methylpentyl" refers to the radical having the structural formula:



The term, "3-ethyl-3-hydroxy-4,4-dimethylpentyl" refers to the radical having the structural formula:

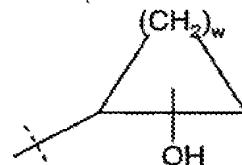


15 The term, "3-methyl-3-hydroxy-4,4-dimethylpentyl" refers to the radical having the structural formula:



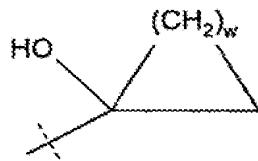
The term, "1-hydroxycycloalkenyl" refers to a radical selected from 1-hydroxycyclopentenyl, 1-hydroxycyclohexenyl, 5 1-hydroxycycloheptenyl, or 1-hydroxycyclooctenyl.

The term "hydroxycycloalkyl" refers to a radical having the general structural formula:



10 where w is an integer from 1 to 6 and the hydroxyl radical is substituted on any ring carbon atom.

The term "1-hydroxycycloalkyl" refers to a radical having the general structural formula:



15

Examples of 1-hydroxycycloalkyl radicals are 1-hydroxycyclopropyl, 1-hydroxycyclobutyl, 1-hydroxycyclopentyl, 1-hydroxycyclohexyl, 1-hydroxycycloheptyl, and 1-hydroxycyclooctyl.

The abbreviation, "Me" means methyl.

20 The abbreviation, "Et" means ethyl.

The abbreviation, "iPr" means 1-methylethyl.

The abbreviation, "tBu" means 1,1-dimethylethyl.

The abbreviation, "3Me3OH-Pentyl" means 3-methyl-3-hydroxypentyl.

The abbreviation, "3Me3OH-Pentenyl" means 3-methyl-3-hydroxypentenyl

25 The abbreviation, "3Me3OH-Pentynyl" means 3-methyl-3-hydroxypentynyl

The abbreviation, "3Et3OH-Pentyl" means 3-ethyl-3-hydroxypentyl.

The abbreviation, "3Et3OH-Pentenyl" means 3-ethyl-3-hydroxypentenyl

The abbreviation, "3Et3OH-Pentynyl" means 3-ethyl-3-hydroxypentynyl

The abbreviation, "3Et3OH4Me-Pentyl" means 3-ethyl-3-hydroxy-4-methylpentyl.

The abbreviation, "3Et3OH44DiMe-Pentyl" means 3-ethyl-3-hydroxy-4,4-

5 dimethylpentyl.

The abbreviation, "3Me3OH44DiMe-Pentyl" means 3-methyl-3-hydroxy-4,4-dimethylpentyl.

The term "C<sub>1</sub>-C<sub>5</sub> alkyl" is an alkyl substituent selected from the group consisting of: methyl; ethyl; propyl; 1-methylethyl; 1-methylpropyl; 2-methylpropyl; 1,1-

10 dimethylethyl; 1,1-dimethylpropyl; 1,2-dimethylpropyl; and 2,2-dimethylpropyl. The preferred groups are 2-methylpropyl and 1,1-dimethylethyl, with the 1,1-dimethylethyl group being most preferred.

The symbol "-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>" when included as part of a substituent group means two independently selected C<sub>1</sub>-C<sub>5</sub> alkyl groups, for example, the generic formula:

15 -(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>

would be descriptive of species including:

-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-(CH<sub>3</sub>)<sub>2</sub> or -(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-(CH<sub>3</sub>)(C<sub>2</sub>H<sub>5</sub>)

The term "amide" refers to derivatives of acids wherein one or more hydroxyl groups is replaced with a amino groups. The amino groups are optionally substituted with

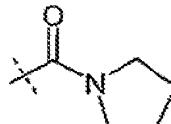
20 one or two organic radicals which may be aliphatic or aromatic. Amides may be cyclic.

The term "carboxamide" refers to an amide of a carboxylic acid. The term

"aminocarbonyl" refers to carboxamide radicals wherein the point of attachment is the carbonyl carbon. The term "acylamido" refers to carboxamide radicals wherein the point of attachment is the nitrogen atom.

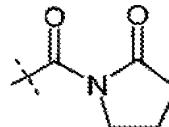
25 The term, "amine", includes primary, secondary and tertiary amines having respectively one, two, or three organic groups that are attached to the nitrogen atom.

The symbol, "-C(O)-N-pyrrolidine" refers to the radical represented by the formula:

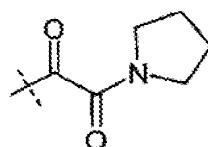


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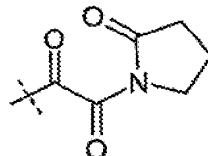
The symbol, “-C(O)-N-pyrrolidin-2-one” refers to the radical represented by the formula:



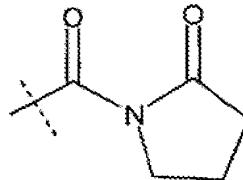
5 The symbol, “-C(O)-C(O)-N-pyrrolidine” refers to the radical represented by the formula:



The symbol, “-C(O)-C(O)-N-pyrrolidin-2-one” refers to the radical represented by the formula:



10 The symbol, “-CH<sub>2</sub>-C(O)-N-pyrrolidin-2-one” is the organic radical represented by the structural formula:

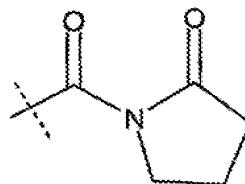


The dotted line symbol crossing a solid line representing a bond

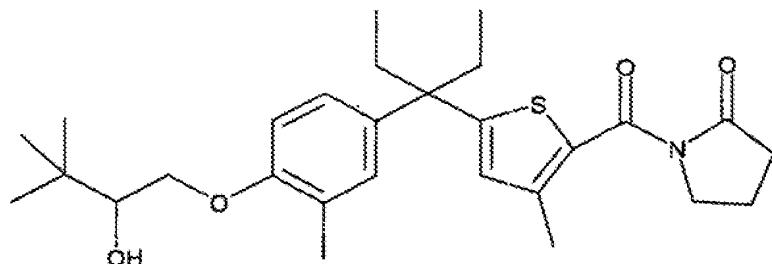


15 means that the bond so marked is the bond attached to the nucleus of formula “(A)” of the parent molecule or to a divalent linking group that is attached to the nucleus of the parent molecule. For example, the group;

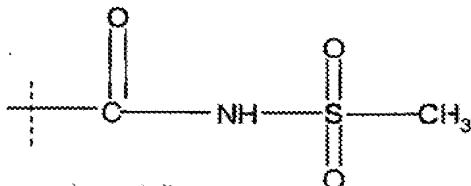
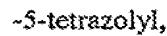
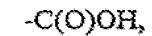
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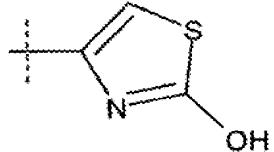
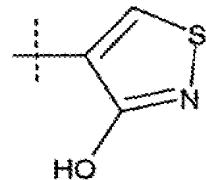
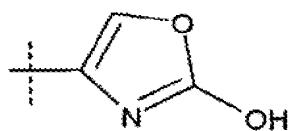
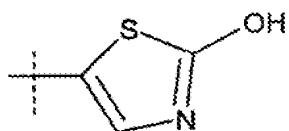
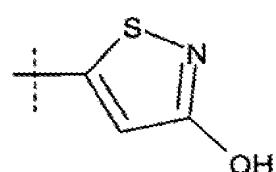
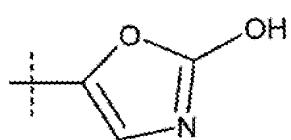
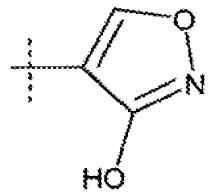
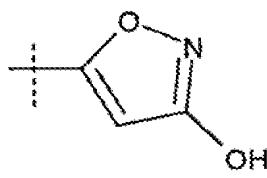
is attached to a parent aryl-thiophene nucleus to provide a compound of the invention as shown;



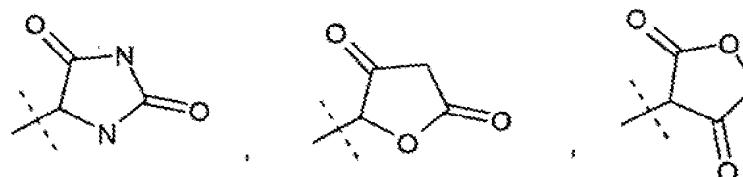
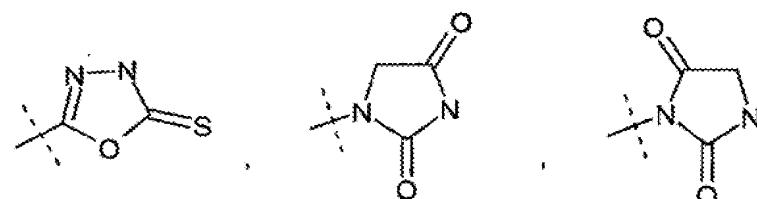
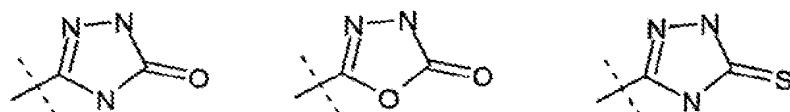
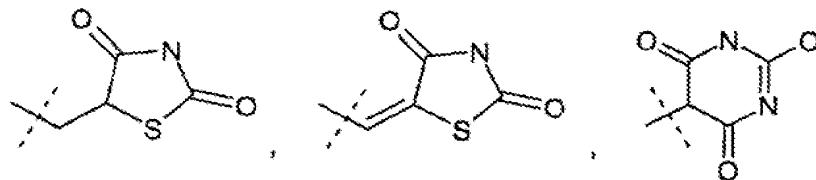
5 The term, "(Acidic Group)" means an organic group that acts as a proton donor capable of hydrogen bonding. Illustrative of an (Acidic Group) is a group selected from the following:



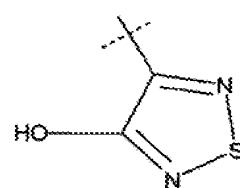
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-13-



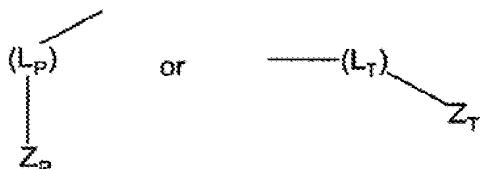
or



or corresponding salts of the above acids (e.g., Na, K, Ca, or Mg).

The term, "mammal" includes humans.

5 The term, "combined group" refers to the pendent binary groups of linkers, -(L)-, and Z substituents represented in formula I by either of:



The term "ester" refers to compounds wherein a hydroxy group of an acid is replaced with an alkoxide group. For example, a carboxylic ester is one in which the 5 hydroxy group of a carboxylic acid is replaced with an alkoxide. Esters may derive from any acid comprising one or more hydroxy groups: for example, carbonic acid, carbamic acids, phosphonic acids, sulfonic acids, and boronic acids. The terms "alkoxycarbonyl" and "carboalkoxy" refer to carboxylic ester radicals wherein the point of attachment is the carbonyl carbon.

10 The term "halo" refer to fluorine, chlorine, bromine, and iodine.

The term "substituted" indicate that the group in question is substituted with from one or a plurality of independently selected conventional organic substituents such as acyl, acyloxy, alkenyl, alkoxy, alkyl, amino, aminocarbonyl, aryl, , carboxy, halo, hydroxy, oxa, oxo, perhaloalkyl, perhaloaryl, phosphino, phosphinyl, phosphonyl, 15 sulfinyl, sulfonyl, thia, thio, and combinations and protected derivatives thereof.

The term "pharmaceutically acceptable salt" includes salts of the compounds of the present invention derived from the combination of the compound and an organic or inorganic acid or base. In practice, acidic members of the compounds of formulae I and II would be combined with a base or bases, basic members of the 20 compounds of formulae I and II would be combined with an acid or acids, and members of the compounds of formulae I and II with both acid and base functionalities would be combined with one or more acids, bases or any combination thereof. Both the neutral and salt forms fall within the scope of the present invention. Examples of cationic salts are sodium, aluminum, zinc, potassium, calcium, magnesium and ammonium.

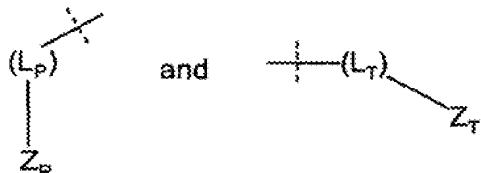
25 The word "abscess" is a complication often associated with surgery, trauma, or diseases that predispose the host to abscess formation from encapsulated bacteria lymphocytes, macrophages, and etc.

The word "adhesion" refers to the abnormal union of surfaces normally separate by the formulation of new fibrous tissue resulting from an inflammatory

-15-

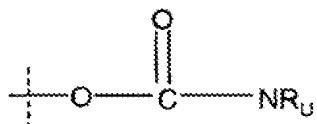
process.

The term, "combined groups" refers to the groups in Formula I represented by either of the groups



5

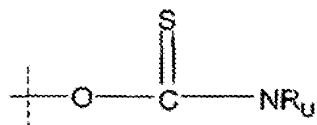
The term, "urethane" refers to the radical:



10

wherein each R\_U is independently hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl, for example, methyl, ethyl, n-propyl, and isopropyl.

The term, "thiourethane" refers to the radical:

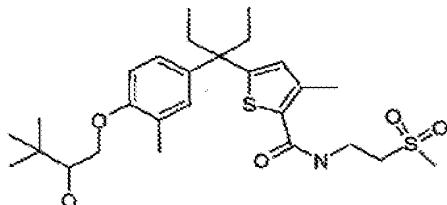


15

wherein R\_U is hydrogen or C<sub>1</sub>-C<sub>8</sub> alkyl., for example, methyl, ethyl, n-propyl, and isopropyl.

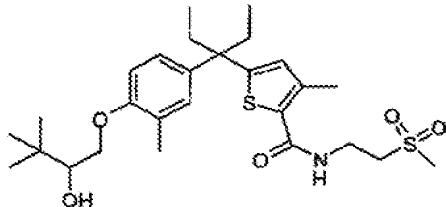
Some of the structural formulae used herein omit depiction of hydrogen atoms.

For example, the formula:



20

is understood to be the equivalent of the formula:



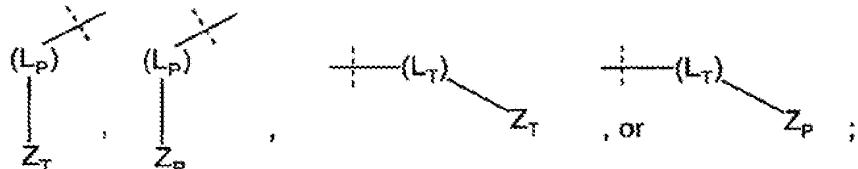
The term, "urethane-type radical" refers to either urethane or thiourethane radicals.

5

Definitions IA: Rule of Polarity and Lipophilicity for Substituents pendant on the compounds of the invention:

The substituents  $L_p$ ,  $L_T$ ,  $Z_p$ , and  $Z_T$  pendant on the compounds of the invention are constrained both by (i) the identity of each substituent, and (ii) the polar or lipophilic 10 nature of each substituent. The occurrence of "polar" and "lipophilic" is to be done in accord with the following Rule:

**RULE:** The combined groups in formula I, II, III, IV and V represented by



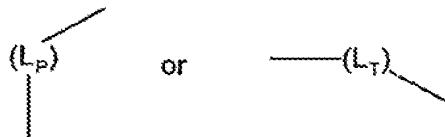
15 may all be lipophilic, or one may be lipophilic and the other one polar; but both combined groups may not be polar. If any part of a combined group is polar, then the "combined group" itself is deemed polar. For example, in the group



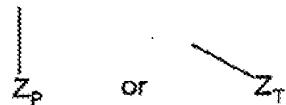
if the divalent linking group  $-(L_p)-$  is the polar group,  $-C(O)-NH-$  and  $Z_p$  is the lipophilic 20 group,  $-CH_2-CH_2-(t\text{-butyl})$ ; then the combined group is defined as "polar."

Definitions IB: Definition of "Polar" and "Lipophilic"

The term "lipophilic group" refers to any linking group

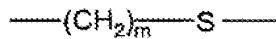
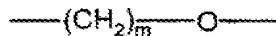
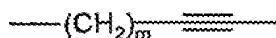
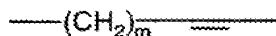


5 or any of the Z substituents

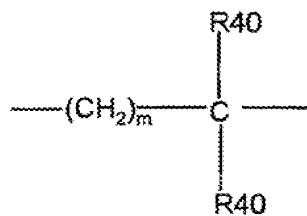


that is hydrophobic, preferring or attracted to a hydrocarbon loving, non-aqueous environment. Lipophilic linking groups in the practice of the invention are

a bond



or



10

where  $m$  is 0, 1, or 2, and each  $R_{40}$  is independently hydrogen,  $-CH_3$ ,  $-F$ ,  $-CH_2F$ ,  $-CHF_2$ , and  $-CF_3$ . All other exemplified linking groups are polar.

Generally all linking groups containing only hydrocarbon subunit groups or hydrocarbon subunit groups in combination with ether or thioether groups are lipophilic.

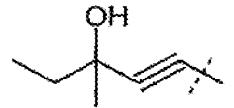
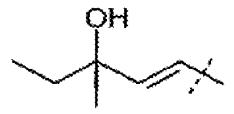
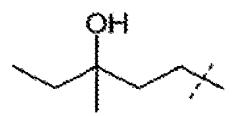
15 Moreover, fluorinated derivatives of such groups are considered lipophilic.

Lipophilic  $Z_T$  or  $Z_P$  groups in the practice of the invention are partially

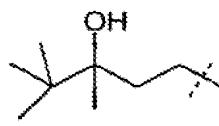
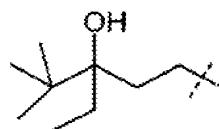
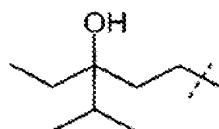
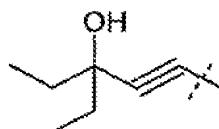
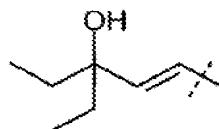
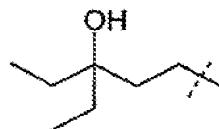
-18-

exemplified by

- O-CH<sub>2</sub>-C(O)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- O-CH<sub>2</sub>-CH(OH)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- O-CH<sub>2</sub>-C(CH<sub>3</sub>)(OH)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- 5 -O-CH<sub>2</sub>-CH(OCH<sub>3</sub>)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- O-CH(CH<sub>3</sub>)-C(O)-C<sub>1</sub>-C<sub>5</sub>alkyl
- O-CH(CH<sub>3</sub>)-CH(OH)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- O-CH<sub>2</sub>-C(O)-C(CH<sub>3</sub>)<sub>2</sub>-C<sub>1</sub>-C<sub>5</sub>alkyl,
- O-CH<sub>2</sub>-CH(OH)-C(CH<sub>3</sub>)<sub>2</sub>-C<sub>1</sub>-C<sub>5</sub>alkyl,
- 10 -O-CH<sub>2</sub>-C(O)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- O-CH<sub>2</sub>-CH(OH)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- O-CH<sub>2</sub>-CH(OCH<sub>3</sub>)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- CH<sub>2</sub>-CH<sub>2</sub>-C(O)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- CH<sub>2</sub>-CH<sub>2</sub>-CH(OH)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- 15 -CH<sub>2</sub>-CH<sub>2</sub>-CH(OCH<sub>3</sub>)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- CH<sub>2</sub>-C(O)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- CH<sub>2</sub>-CH(OH)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- CH<sub>2</sub>-C(CH<sub>3</sub>)(OH)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- CH(CH<sub>3</sub>)-C(O)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- 20 -CH(CH<sub>3</sub>)-CH(OH)-C<sub>1</sub>-C<sub>5</sub>alkyl,
- CH(CH<sub>3</sub>)-C(CH<sub>3</sub>)(OH)-C<sub>1</sub>-C<sub>5</sub>alkyl,



-39-



### 1-hydroxycyclopentenyl,

### 1-hydroxycyclohexenyl,

### 1-hydroxycycloheptenyl,

1-hydroxycyclooctenyl,

### 1-hydroxycyclopropyl,

### 1-hydroxycyclobutyl,

### 1-hydroxycyclopentyl,

### 1-hydroxycyclohexyl,

### 1-hydroxycycloheptyl,

and

### 1-hydroxycyclooctyl.

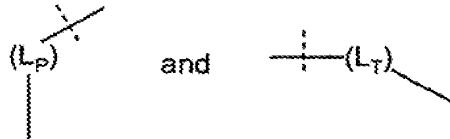
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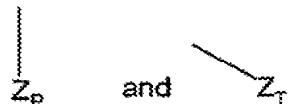
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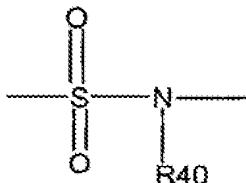
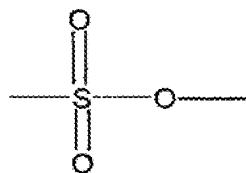
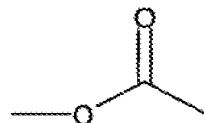
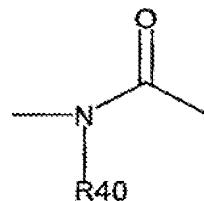
Conversely, the term "polar group" refers to any linking group



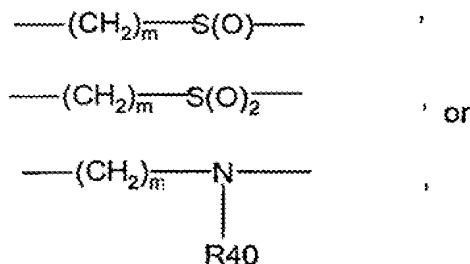
that is not a lipophilic group. The term "polar group" also refers to any Z substituent.



5 that is not a lipophilic group. The term, "polar" as used herein generally refers to chemical substituents that are hydrophilic, preferring or attracted to an aqueous environment. An example of a polar linking group is a linking group selected from the following:



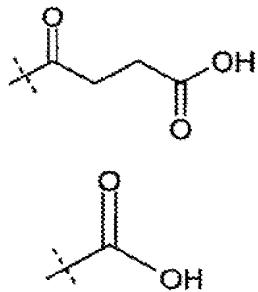
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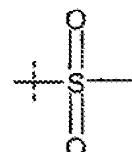
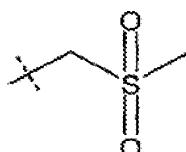
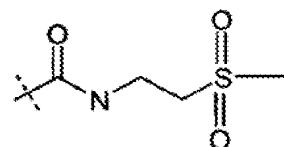
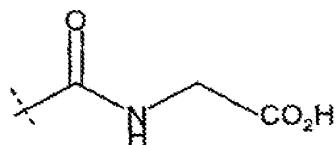
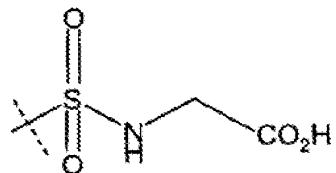
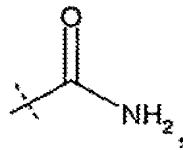
where m is 0, 1, or 2 and R40 is as previously defined.

Exemplary polar Z<sub>T</sub> or Z<sub>p</sub> groups in the practice of the invention are depicted by the following formulae:

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-22-

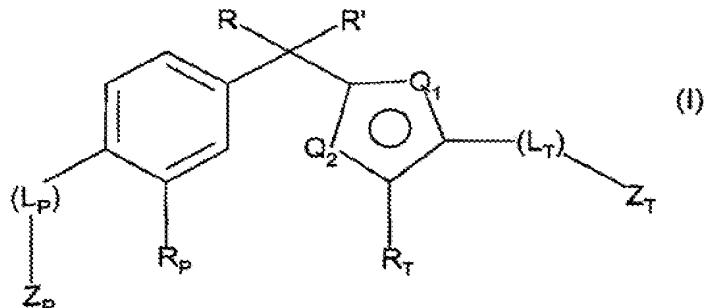


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## II. Compounds of the Invention:

The compounds of the invention are Vitamin D Receptor Modulators represented by formula I or a pharmaceutically acceptable salt or prodrug derivative thereof:

10



wherein;

R and R' are independently C<sub>1</sub>-C<sub>5</sub> alkyl, C<sub>1</sub>-C<sub>5</sub> fluoroalkyl, or together R and R' form a substituted or unsubstituted, saturated or unsaturated carbocyclic ring having from 5 to 8 carbon atoms;

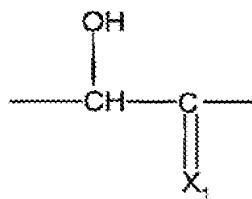
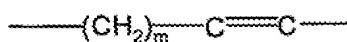
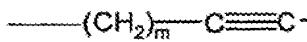
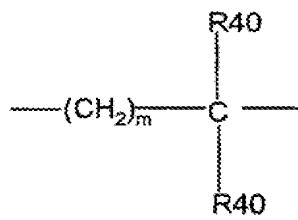
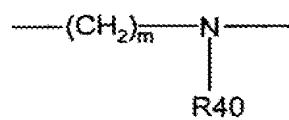
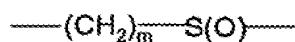
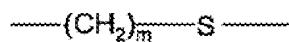
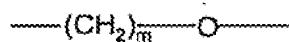
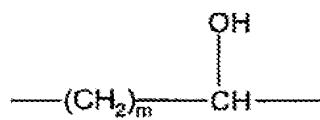
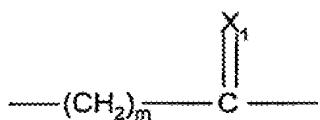
Ring atoms Q<sub>1</sub> and Q<sub>2</sub> are independently selected from carbon or sulfur, with the proviso that one atom is sulfur and the other atom is carbon;

R<sub>p</sub> and R<sub>T</sub> are independently selected from the group consisting of hydrogen, halo, C<sub>1</sub>-C<sub>5</sub> alkyl, C<sub>1</sub>-C<sub>5</sub> fluoroalkyl, -O-C<sub>1</sub>-C<sub>5</sub> alkyl, -S-C<sub>1</sub>-C<sub>5</sub> alkyl, -O-C<sub>1</sub>-C<sub>5</sub> fluoroalkyl, -CN, -NO<sub>2</sub>, acetyl, -S-C<sub>1</sub>-C<sub>5</sub> fluoroalkyl, C<sub>2</sub>-C<sub>5</sub> alkenyl, C<sub>3</sub>-C<sub>5</sub> cycloalkyl, and C<sub>3</sub>-C<sub>5</sub> cycloalkenyl;

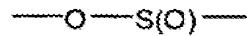
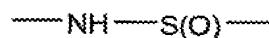
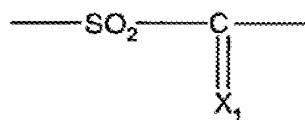
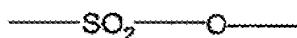
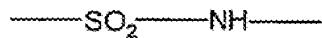
(L<sub>p</sub>) and (L<sub>T</sub>) are divalent linking groups independently selected from the group consisting of

-24-

a bond



-25-



5

where m is 0, 1 or 2,  $\text{X}_1$  is oxygen or sulfur, and each R40 is independently hydrogen or  $\text{C}_1\text{-C}_5$  alkyl or  $\text{C}_1\text{-C}_5$  fluoroalkyl;

$\text{Z}_\text{P}$  and  $\text{Z}_\text{T}$  are independently selected from

- 10      -hydrogen,
- phenyl,
- benzyl,
- fluorophenyl,
- ( $\text{C}_1\text{-C}_5$  alkyl),
- ( $\text{C}_2\text{-C}_5$  alkenyl),
- 15      -( $\text{C}_3\text{-C}_5$  cycloalkyl),
- ( $\text{C}_3\text{-C}_5$  cycloalkenyl),
- ( $\text{C}_1\text{-C}_5$  hydroxyalkyl),
- ( $\text{C}_1\text{-C}_5$  fluoroalkyl),
- ( $\text{C}_1\text{-C}_5$  alkyl)-phenyl,

-(C<sub>1</sub>-C<sub>5</sub> alkyl)-O-(C<sub>1</sub>-C<sub>5</sub>) alkyl,  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH<sub>2</sub>,  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
5 -(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH<sub>2</sub>,  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
10 -(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidin-2-one,  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidine,  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-(1-methylpyrrolidin-2-one-3-yl),  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-(O-C<sub>1</sub>-C<sub>5</sub> alkyl),  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-OH,  
15 -(C<sub>1</sub>-C<sub>5</sub> alkyl)-5-tetrazolyl,  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-P(O)-(O-C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH<sub>2</sub>,  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
20 -(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-NH<sub>2</sub>,  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
25 -(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N(C(O)( C<sub>1</sub>-C<sub>5</sub> alkyl)CH<sub>2</sub>C(O)OH,  
-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N(C(O)( C<sub>1</sub>-C<sub>5</sub> alkyl)CH<sub>2</sub>C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
30 -CH(OH)-(C<sub>1</sub>-C<sub>5</sub> alkyl)  
-CH(OH)-(C<sub>2</sub>-C<sub>5</sub> alkenyl)),

	-CH(OH)-(C <sub>3</sub> -C <sub>5</sub> cycloalkyl), -CH(OH)-(C <sub>3</sub> -C <sub>5</sub> cycloalkenyl), -CH(OH)-(C <sub>1</sub> -C <sub>5</sub> hydroxyalkyl), -CH(OH)-(C <sub>1</sub> -C <sub>5</sub> fluoroalkyl), -CH(OH)-phenyl -CH(OH)-5-tetrazolyl, -CH(OH)-(1-methylpyrrolidin-2-one-3-yl),
5	
10	-C(O)-(C <sub>1</sub> -C <sub>5</sub> alkyl), -C(O)-(C <sub>1</sub> -C <sub>5</sub> alkyl)-C(O)OH, -C(O)-(C <sub>1</sub> -C <sub>5</sub> alkyl)-C(O)(O-C <sub>1</sub> -C <sub>5</sub> alkyl), -C(O)-(C <sub>2</sub> -C <sub>5</sub> alkenyl), -C(O)-(C <sub>3</sub> -C <sub>5</sub> cycloalkyl), -C(O)-(C <sub>3</sub> -C <sub>5</sub> cycloalkenyl), -C(O)-(C <sub>1</sub> -C <sub>5</sub> hydroxyalkyl), -C(O)-(C <sub>1</sub> -C <sub>5</sub> fluoroalkyl), -C(O)-(C <sub>1</sub> -C <sub>5</sub> alkyl)-phenyl
15	
20	-C(O)-O-(C <sub>1</sub> -C <sub>5</sub> alkyl), -C(O)-O-(C <sub>2</sub> -C <sub>5</sub> alkenyl), -C(O)-O-(C <sub>3</sub> -C <sub>5</sub> cycloalkyl), -C(O)-O-(C <sub>3</sub> -C <sub>5</sub> cycloalkenyl), -C(O)-O-(C <sub>1</sub> -C <sub>5</sub> hydroxyalkyl), -C(O)-O-(C <sub>1</sub> -C <sub>5</sub> fluoroalkyl), -C(O)-O-(C <sub>1</sub> -C <sub>5</sub> alkyl)-phenyl, -C(O)-NH <sub>2</sub> , -C(O)-NH(OH), -C(O)-NH-(C <sub>1</sub> -C <sub>5</sub> alkyl), -C(O)-N-(C <sub>1</sub> -C <sub>5</sub> alkyl) <sub>2</sub> , -C(O)-NH-(C <sub>2</sub> -C <sub>5</sub> alkenyl), -C(O)-NH-(C <sub>3</sub> -C <sub>5</sub> cycloalkyl), -C(O)-NH-(C <sub>3</sub> -C <sub>5</sub> cycloalkenyl), -C(O)-NH-(C <sub>1</sub> -C <sub>5</sub> fluoroalkyl),
25	
30	

-C(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-phenyl,  
-C(O)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-C(O)-NH-SO<sub>2</sub>-(C<sub>2</sub>-C<sub>5</sub> alkenyl),  
-C(O)-NH-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>5</sub> cycloalkyl),  
5 -C(O)-NH-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>5</sub> cycloalkenyl),  
-C(O)-NH-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-C(O)-NH-S(O)-(C<sub>2</sub>-C<sub>5</sub> alkenyl),  
-C(O)-NH-S(O)-(C<sub>3</sub>-C<sub>5</sub> cycloalkyl),  
-C(O)-NH-S(O)-(C<sub>3</sub>-C<sub>5</sub> cycloalkenyl),  
10 -C(O)-NH-(C<sub>1</sub>-C<sub>5</sub> fluoroalkyl),  
-C(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-phenyl  
-C(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-C(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-C(O)-NH-CH<sub>2</sub>-C(O)OH  
15 -C(O)-NH-CH<sub>2</sub>-C(O)-(O-C<sub>1</sub>-C<sub>5</sub> alkyl),  
-C(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)(C(O)OH),  
-C(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)(C(O)-(O-C<sub>1</sub>-C<sub>5</sub> alkyl)),  
-C(O)-NH-CH((CH<sub>2</sub>)(CO<sub>2</sub>H))(CO<sub>2</sub>H),  
-C(O)-NH-CH((CH<sub>2</sub>)(C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)))(C(O)-(O-C<sub>1</sub>-  
20 C<sub>5</sub> alkyl)),  
-C(O)-NH-CH((CH<sub>2</sub>OH)(CO<sub>2</sub>H)),  
-C(O)-NH-CH((CH<sub>2</sub>OH)(C(O)(O-C<sub>1</sub>-C<sub>5</sub> alkyl))),  
-C(O)-NH-C((C<sub>1</sub>-C<sub>5</sub> alkyl)(C<sub>1</sub>-C<sub>5</sub> alkyl))(CO<sub>2</sub>H),  
-C(O)-NH-C((C<sub>1</sub>-C<sub>5</sub> alkyl)(C<sub>1</sub>-C<sub>5</sub> alkyl))(C(O)-(O-C<sub>1</sub>-C<sub>5</sub>  
25 alkyl)),  
-C(O)-NH-5-tetrazolyl,  
-C(O)-N-pyrrolidin-2-one,  
-C(O)-N-pyrrolidine,  
-C(O)-(1-methylpyrrolidin-2-one-3-yl),  
30 -C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidin-2-one,  
-C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidine,  
-C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-(1-methylpyrrolidin-2-one-3-yl),



-30-

-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH<sub>2</sub>,  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-OH,  
5 -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH-5-tetrazolyl,  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-(O-C<sub>1</sub>-C<sub>5</sub> alkyl),  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH<sub>2</sub>,  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
10 -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidin-2-one,  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidine,  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-(1-methylpyrrolidin-2-one-3-yl),  
15 -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH<sub>2</sub>,  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
20 -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-NH<sub>2</sub>,  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
25 -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-P(O)-(O-C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-5-tetrazolyl,  
-O-CH<sub>2</sub>-CO<sub>2</sub>H,  
-O-CH<sub>2</sub>-5-tetrazolyl,  
-O-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
30 -O-C(O)-NH<sub>2</sub>,  
-O-C(O)-N-(CH<sub>3</sub>)<sub>2</sub>,  
-O-C(S)-N-(CH<sub>3</sub>)<sub>2</sub>,

-31-

- O-C(O)-O-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- O-(5-tetrazolyl),
- O-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- O-SO<sub>2</sub>-NH<sub>2</sub>,
- 5 -O-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- O-SO<sub>2</sub>-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,
- O-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- O-S(O)-NH<sub>2</sub>,
- O-S(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- 10 -O-S(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,
  
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- S-(C<sub>2</sub>-C<sub>5</sub> alkenyl),
- S-(C<sub>3</sub>-C<sub>5</sub> cycloalkyl),
- 15 -S-(C<sub>3</sub>-C<sub>5</sub> cycloalkenyl),
- S-(C<sub>1</sub>-C<sub>5</sub> fluoroalkyl),
- S-(C<sub>1</sub>-C<sub>5</sub> hydroxyalkyl),
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-phenyl,
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-O-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- 20 -S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-OH,
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-O-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH<sub>2</sub>,
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- 25 -S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl) NH<sub>2</sub>,
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- 30 -S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidin-2-one,
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidine,
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-(1-methylpyrrolidin-2-one-3-yl),

-32-

- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH<sub>2</sub>,
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,
- 5 -S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-P(O)-(O-C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-5-tetrazolyl,
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-NH<sub>2</sub>,
- 10 -S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,
- S-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),
  
- SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- 15 -SO<sub>2</sub>-(C<sub>2</sub>-C<sub>5</sub> alkenyl),
- SO<sub>2</sub>-(C<sub>3</sub>-C<sub>5</sub> cycloalkyl),
- SO<sub>2</sub>-(C<sub>3</sub>-C<sub>5</sub> cycloalkenyl),
- SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> hydroxyalkyl),
- SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> fluoroalkyl),
- 20 -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub>)phenyl,
  
- SO<sub>2</sub>-NH<sub>2</sub>,
- SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- SO<sub>2</sub>-NH-CH<sub>2</sub>-C(O)OH,
- 25 -SO<sub>2</sub>-NH-CH<sub>2</sub>-C(O)(O-C<sub>1</sub>-C<sub>5</sub> alkyl),
- SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)OH,
- SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)(O-C<sub>1</sub>-C<sub>5</sub> alkyl),
- SO<sub>2</sub>-NHC(O)-(C<sub>3</sub>-C<sub>6</sub> cycloalkyl),
  
- 30 -SO<sub>2</sub>-NH-C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),
- SO<sub>2</sub>-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,
- SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-O-(C<sub>1</sub>-C<sub>5</sub> alkyl),

-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl) NH<sub>2</sub>,  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
5 -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH<sub>2</sub>,  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidin-2-one,  
10 -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidine,  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-(1-methylpyrrolidin-2-one-3-yl),  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-O-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-OH,  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-5-tetrazolyl,  
15 -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH<sub>2</sub>,  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
20 -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl)-P(O)-(O-C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-SO<sub>2</sub>-(C<sub>2</sub>-C<sub>5</sub> alkenyl),  
-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>5</sub> cycloalkyl),  
-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>5</sub> cycloalkenyl),  
25 -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> hydroxyalkyl),  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> fluoroalkyl),  
-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub>) phenyl,  
-SO<sub>2</sub>-N=CHN(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
  
30 -S(O)-NH<sub>2</sub>,  
-S(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-NH-CH<sub>2</sub>-C(O)OH

-S(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)OH,  
-S(O)-NH-CH<sub>2</sub>-C(O)(O-C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)(O-C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)HC(O)-(C<sub>3</sub>-C<sub>6</sub> cycloalkyl),  
5 -S(O)-NH-C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-O-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-(O-C<sub>1</sub>-C<sub>5</sub> alkyl),  
10 -S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH<sub>2</sub>,  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
15 -S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidin-2-one,  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidine,  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-(1-methylpyrrolidin-2-one-3-yl),  
20 -S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-(O-C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-OH,  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-5-tetrazolyl,  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
25 -S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH<sub>2</sub>,  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-NH<sub>2</sub>,  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
30 -S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),

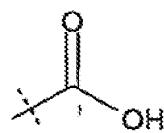
-35-

-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl)-P(O)-(O-C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub> ,  
-S(O)-N=CHN(C<sub>1</sub>-C<sub>5</sub> alkyl) 2 ,  
  
-NHC(S)NH<sub>2</sub>,  
5 -NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-NHC(S)N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-NHC(S)NH-(C<sub>2</sub>-C<sub>5</sub> alkenyl),  
-NHC(S)NH-(C<sub>3</sub>-C<sub>5</sub> cycloalkyl),  
-NHC(S)NH-(C<sub>3</sub>-C<sub>5</sub> cycloalkenyl),  
10 -NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> fluoroalkyl),  
-NHC(S)NH-C<sub>1</sub>-C<sub>5</sub> hydroxalkyl,  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> fluoroalkyl)  
-NHC(S)NH-phenyl,  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-OH,  
15 -NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-O-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-(O-C<sub>1</sub>-C<sub>5</sub> alkyl),  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH<sub>2</sub>,  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
20 -NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH<sub>2</sub>,  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
25 -NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidin-2-one,  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidine,  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-(1-methylpyrrolidin-2-one-  
3-yl),  
30 -NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-5-tetrazolyl,  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH<sub>2</sub>,

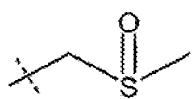
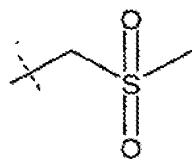
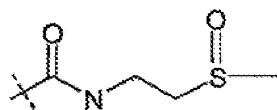
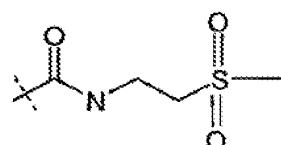
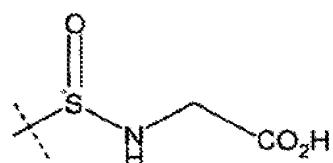
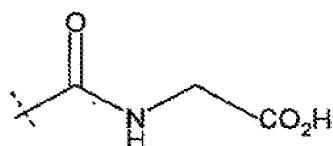
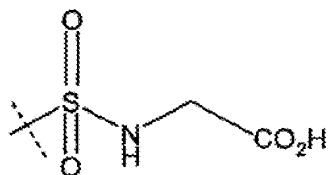
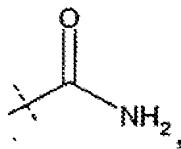
5	<ul style="list-style-type: none"> <li>-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),</li> <li>-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)2,</li> <li>-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),</li> <li>-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-NH<sub>2</sub>,</li> <li>-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),</li> <li>-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-S(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)2,</li> <li>-NHC(S)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-P(O)-(O-C<sub>1</sub>-C<sub>5</sub> alkyl)2 ,</li> </ul>
10	<ul style="list-style-type: none"> <li>-NHC(O)NH<sub>2</sub>,</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),</li> <li>-NHC(O)N-(C<sub>1</sub>-C<sub>5</sub> alkyl)2,</li> <li>-NHC(O)NH-(C<sub>2</sub>-C<sub>5</sub> alkenyl),</li> <li>-NHC(O)NH-(C<sub>3</sub>-C<sub>5</sub> cycloalkyl),</li> <li>-NHC(O)NH-(C<sub>3</sub>-C<sub>5</sub> cycloalkenyl),</li> </ul>
15	<ul style="list-style-type: none"> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> hydroxyalkyl),</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> fluoroalkyl),</li> <li>-NHC(O)NH-phenyl,</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH<sub>2</sub>,</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),</li> </ul>
20	<ul style="list-style-type: none"> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)2,</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-O-(C<sub>1</sub>-C<sub>5</sub> alkyl),</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH<sub>2</sub>,</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)2,</li> </ul>
25	<ul style="list-style-type: none"> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH<sub>2</sub>,</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)2,</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),</li> </ul>
30	<ul style="list-style-type: none"> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidin-2-one,</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-N-pyrrolidine,</li> <li>-NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-</li> </ul>

-37-

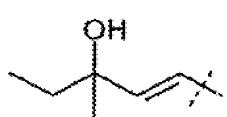
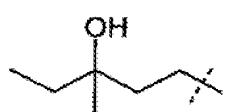
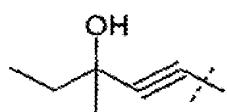
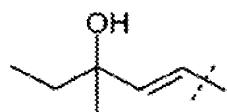
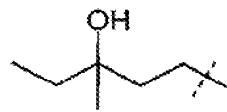
(1-methylpyrrolidin-2-one-3-yl),  
 -NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-OH,  
 -NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-C(O)-O-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
 -NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-5-tetrazolyl,  
 -NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
 -NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH<sub>2</sub>,  
 -NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
 -NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-SO<sub>2</sub>-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
 -NHC(O)NH-(C<sub>1</sub>-C<sub>5</sub> alkyl)-P(O)-O-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
 -NH<sub>2</sub>,  
 -NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
 -NH-CH<sub>2</sub>-C(O)OH,  
 -N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
 -NH-C(O)-NH<sub>2</sub>,  
 -NH-C(O)-NH-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
 -NH-C(O)-N-(C<sub>1</sub>-C<sub>5</sub> alkyl)<sub>2</sub>,  
 -NH-C(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
 -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
 -NH-S(O)-(C<sub>1</sub>-C<sub>5</sub> alkyl),  
 -N(CH<sub>3</sub>)(OCH<sub>3</sub>),  
 -N(OH)(CH<sub>3</sub>),  
 -N-pyrrolidin-2-one,  
 -N-pyrrolidine,  
 -(1-methylpyrrolidin-2-one-3-yl),



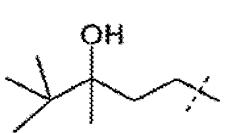
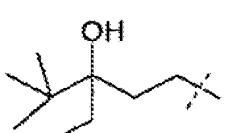
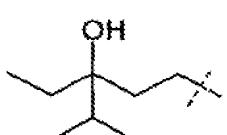
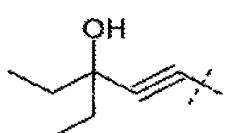
-38-



-39-



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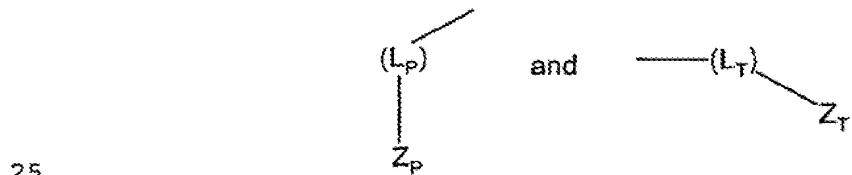
10

1-hydroxycyclopentenyl,  
1-hydroxycyclohexenyl,

-40-

1-hydroxycycloheptenyl,  
 1-hydroxycyclooctenyl,  
 1-hydroxycyclopropyl,  
 1-hydroxycyclobutyl,  
 5 1-hydroxycyclopentyl,  
 1-hydroxycyclohexyl,  
 1-hydroxycycloheptyl,  
 1-hydroxycyclooctyl,  
 -5-tetrazolyl,  
 10 -carboxyl,  
 -OH,  
 -I,  
 -Br,  
 -Cl,  
 15 -F,  
 -CHO,  
 -NO<sub>2</sub>,  
 -CN,  
 sulfonamide,  
 20 sulfonamide,  
 urethane-type radical, and  
 (Acidic Group);

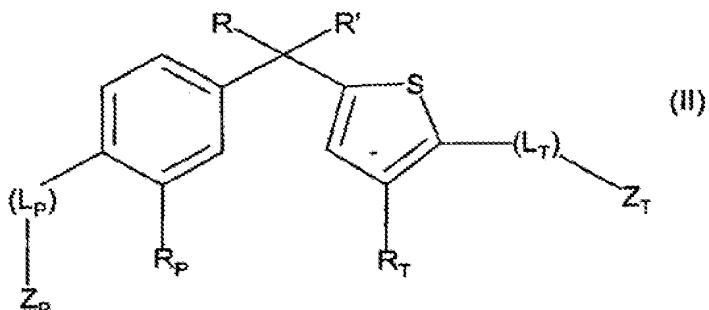
provided that the combined groups of formula I represented by



25

may both be lipophilic, or either one may be lipophilic and the other one polar; but both combined groups may not be polar.

Preferred compounds of the invention are represented by formula (II) or a pharmaceutically acceptable salt or prodrug derivative thereof:



5 wherein;

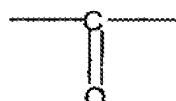
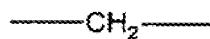
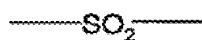
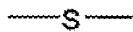
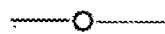
$R$  and  $R'$  are independently methyl, ethyl, propyl, 1-methylethyl, 1-methylpropyl, 2-methylpropyl, or 1,1-dimethylethyl;

$R_p$  and  $R_T$  are independently selected from the group consisting of hydrogen, fluoro,  $-CF_3$ ,  $-CH_2F$ ,  $-CHF_2$ ,  $-CH_2Cl$ , methoxy, ethoxy, vinyl, methyl, ethyl, propyl,

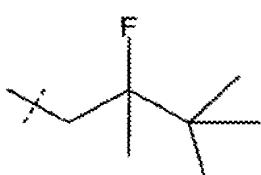
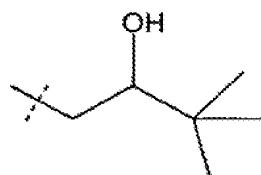
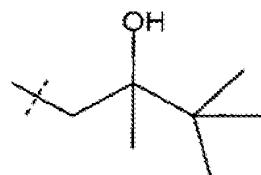
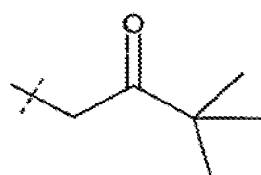
10 cyclopropyl, 1-methylethyl, butyl, 1-methylpropyl, 2-methylpropyl, or 1,1-dimethylethyl;

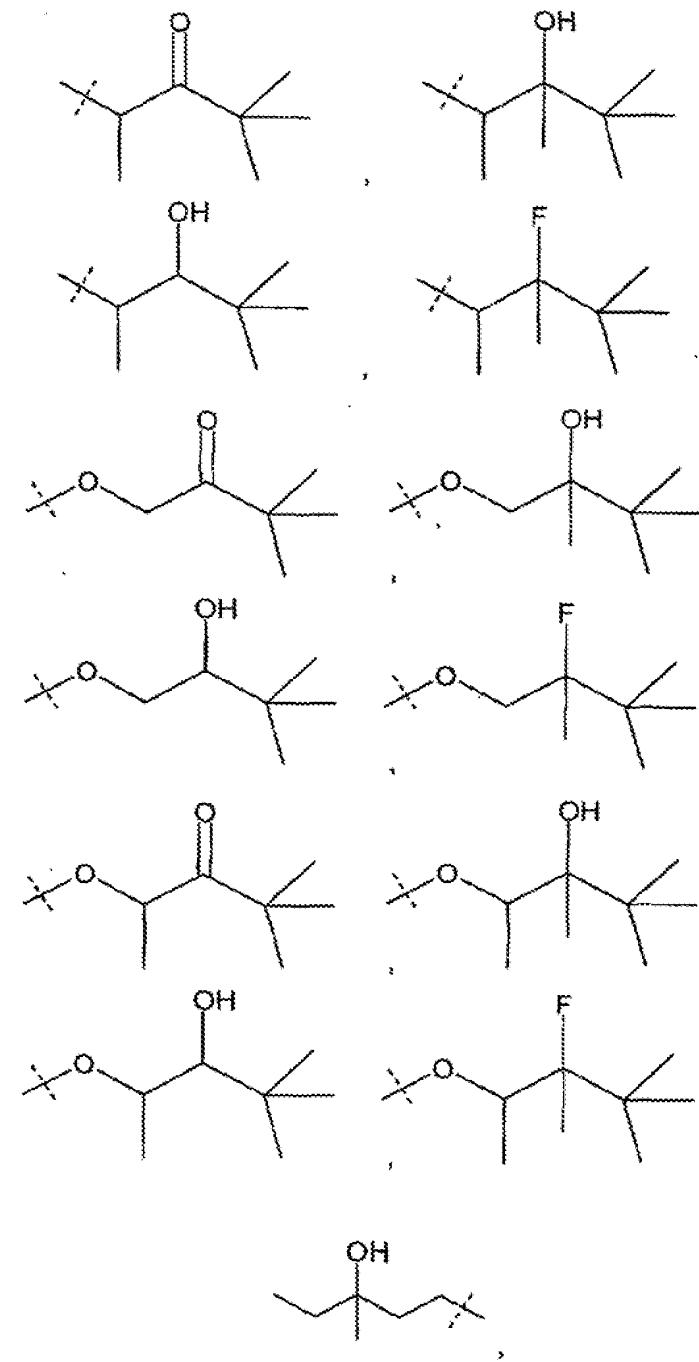
$L_T$  and  $L_p$  are independently selected from one the following divalent linking group;

-42-

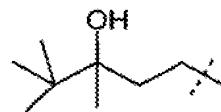
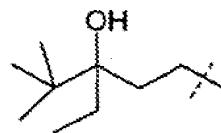
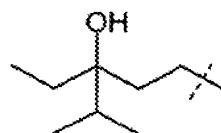
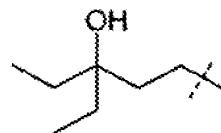
 $\alpha$  bond

Zp is selected from





-44-



5

1-hydroxycyclopentenyl,

1-hydroxycyclohexenyl,

1-hydroxycycloheptenyl,

1-hydroxycyclooctenyl,

10

1-hydroxycyclopropyl,

1-hydroxycyclobutyl,

1-hydroxycyclopentyl,

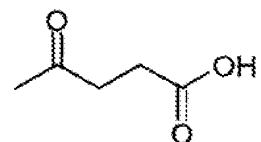
1-hydroxycyclohexyl,

1-hydroxycycloheptyl,

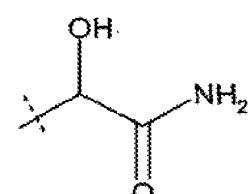
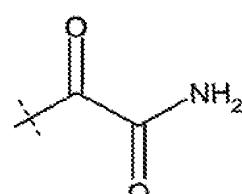
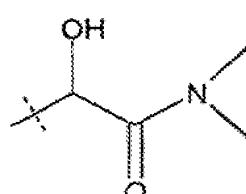
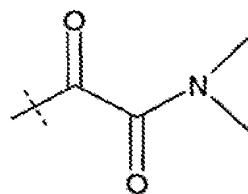
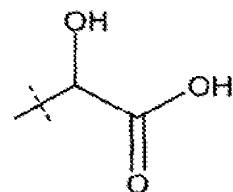
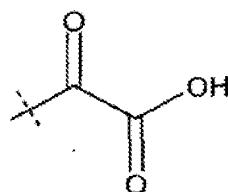
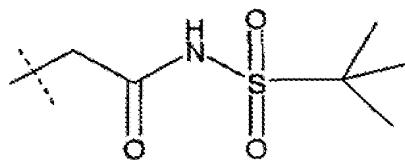
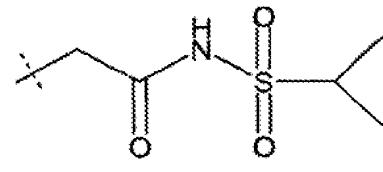
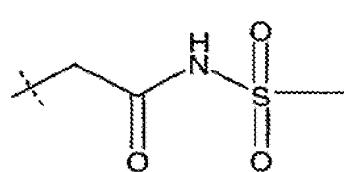
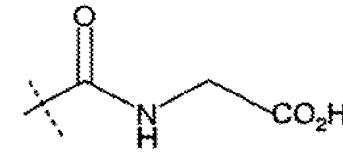
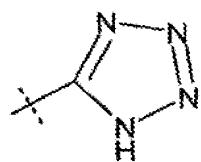
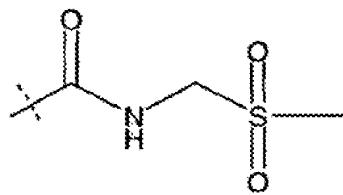
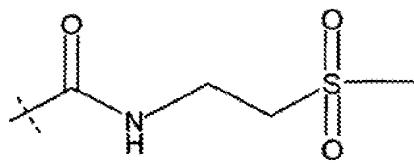
15

and

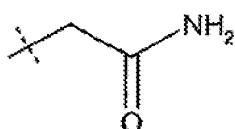
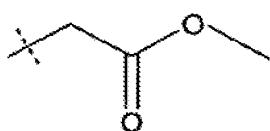
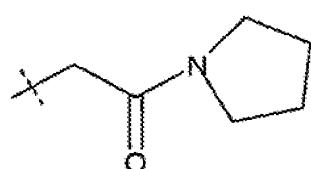
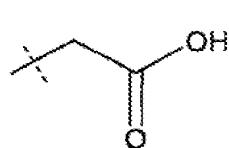
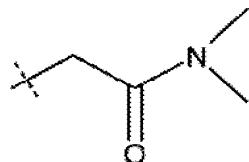
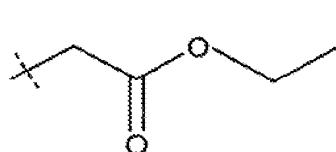
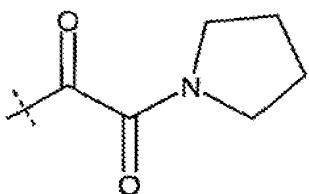
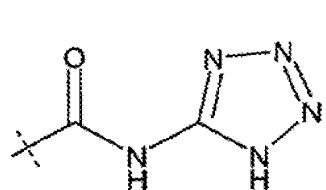
1-hydroxycyclooctyl.

Z<sub>T</sub> is a group represented by one of the structural formulae:

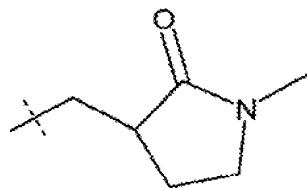
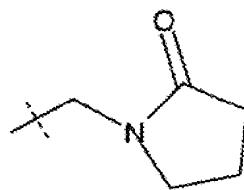
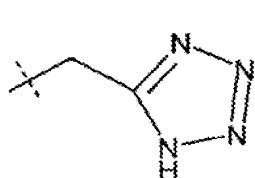
-45-



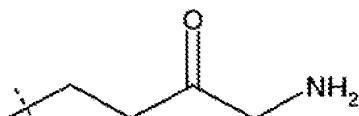
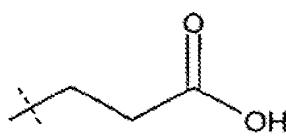
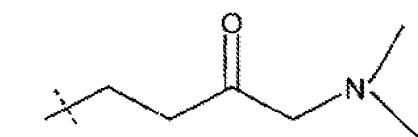
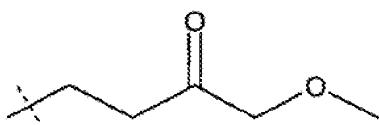
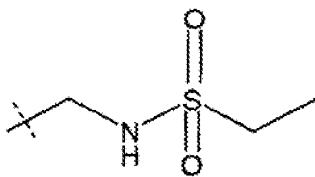
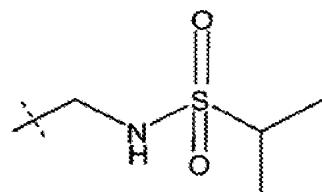
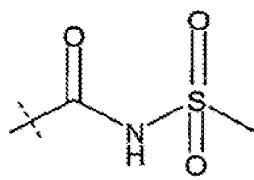
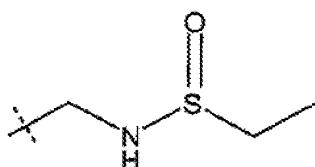
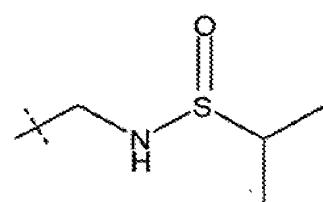
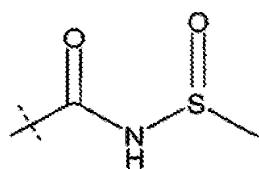
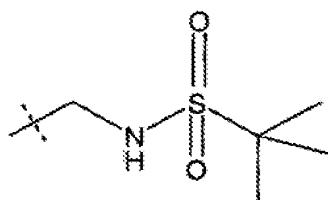
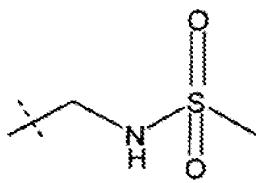
46-

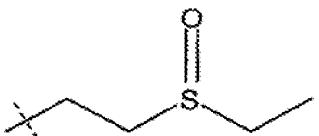
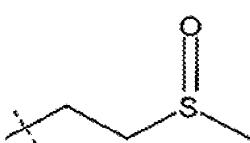
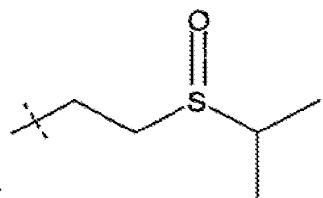
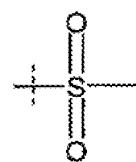
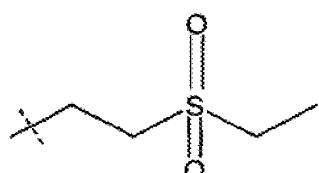
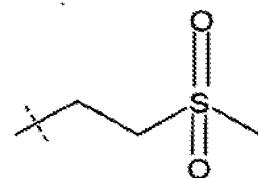
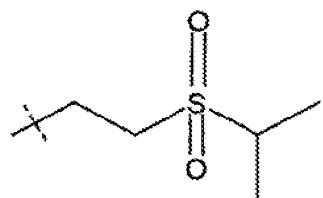
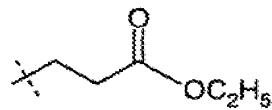
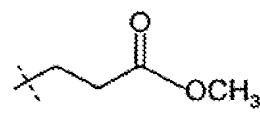


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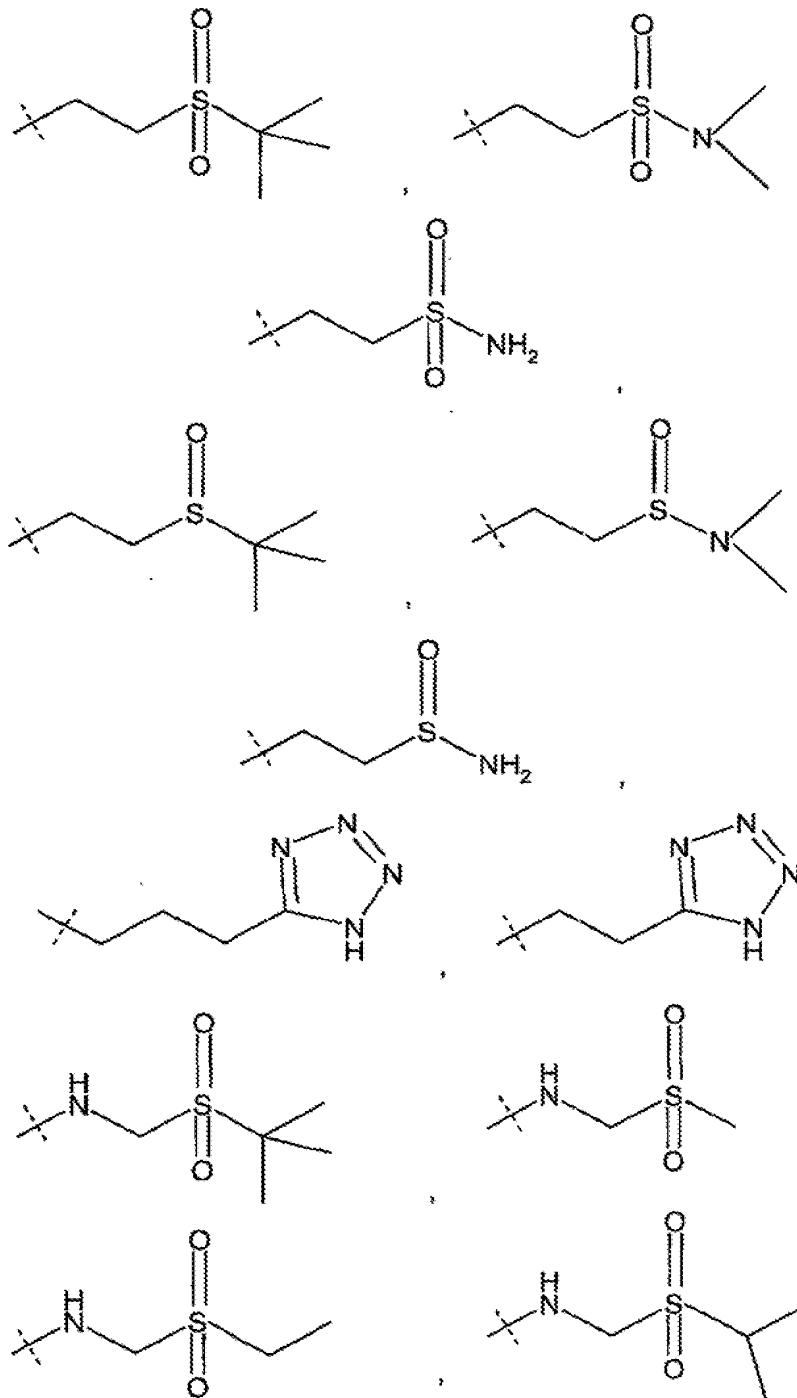


-47-

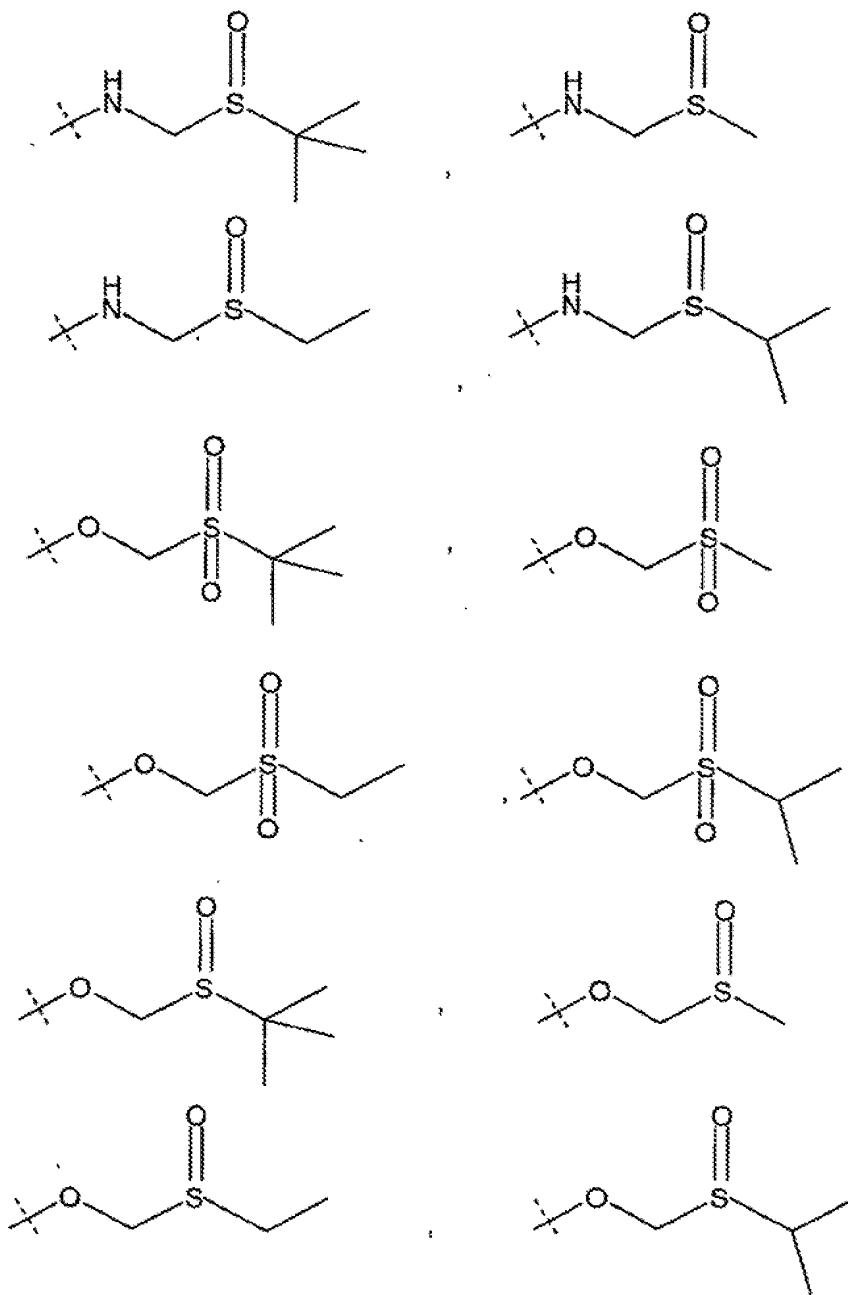




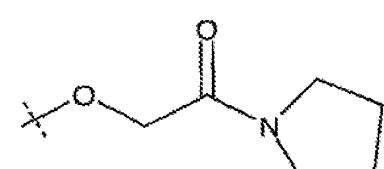
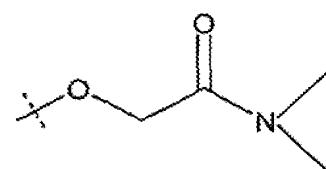
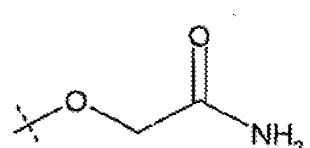
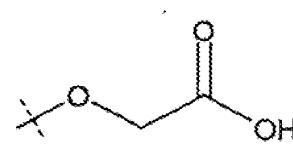
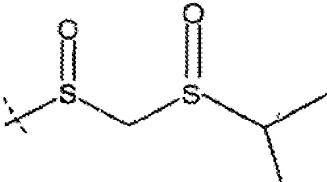
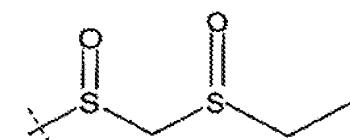
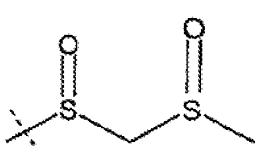
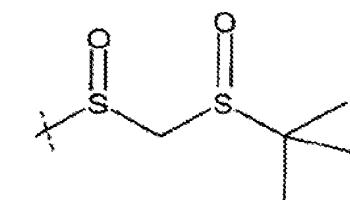
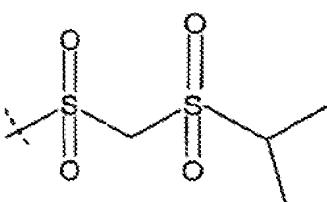
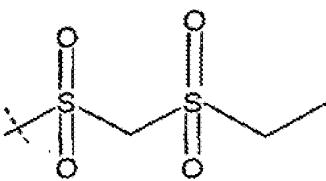
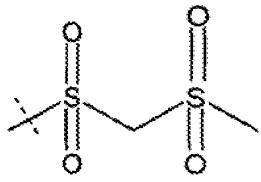
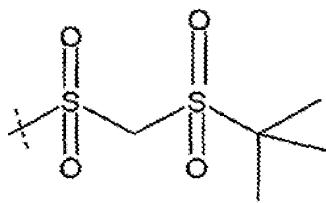
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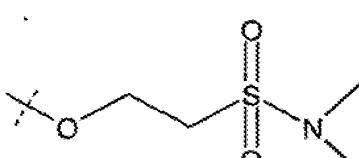
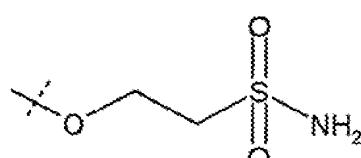
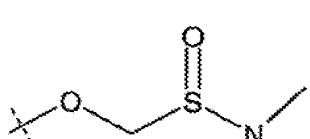
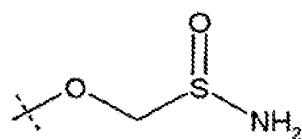
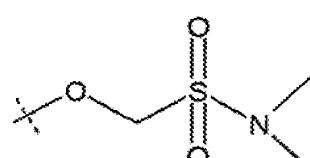
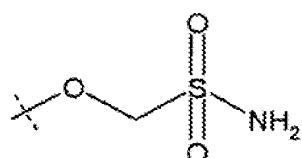
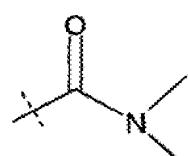
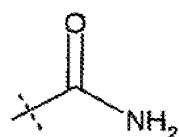
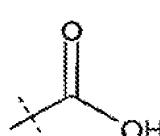
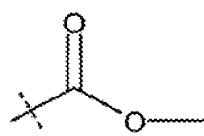
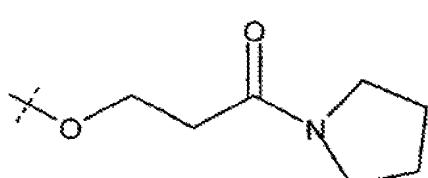
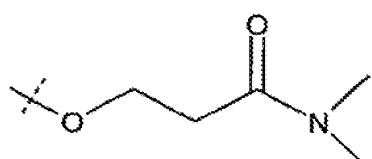
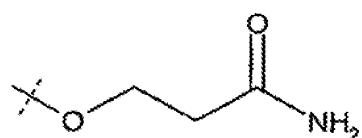
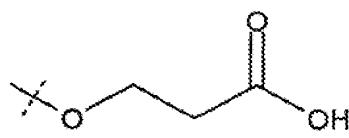


-50-

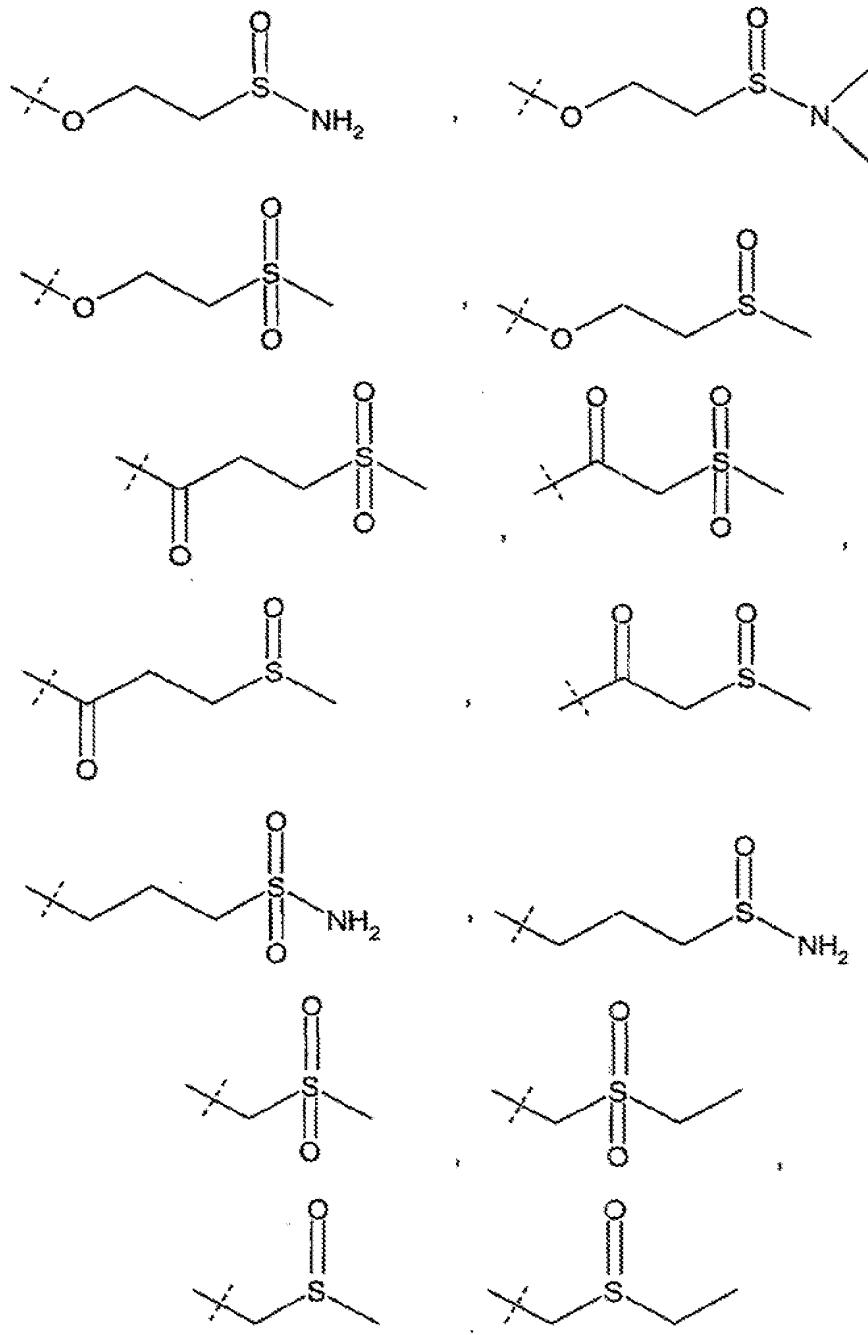


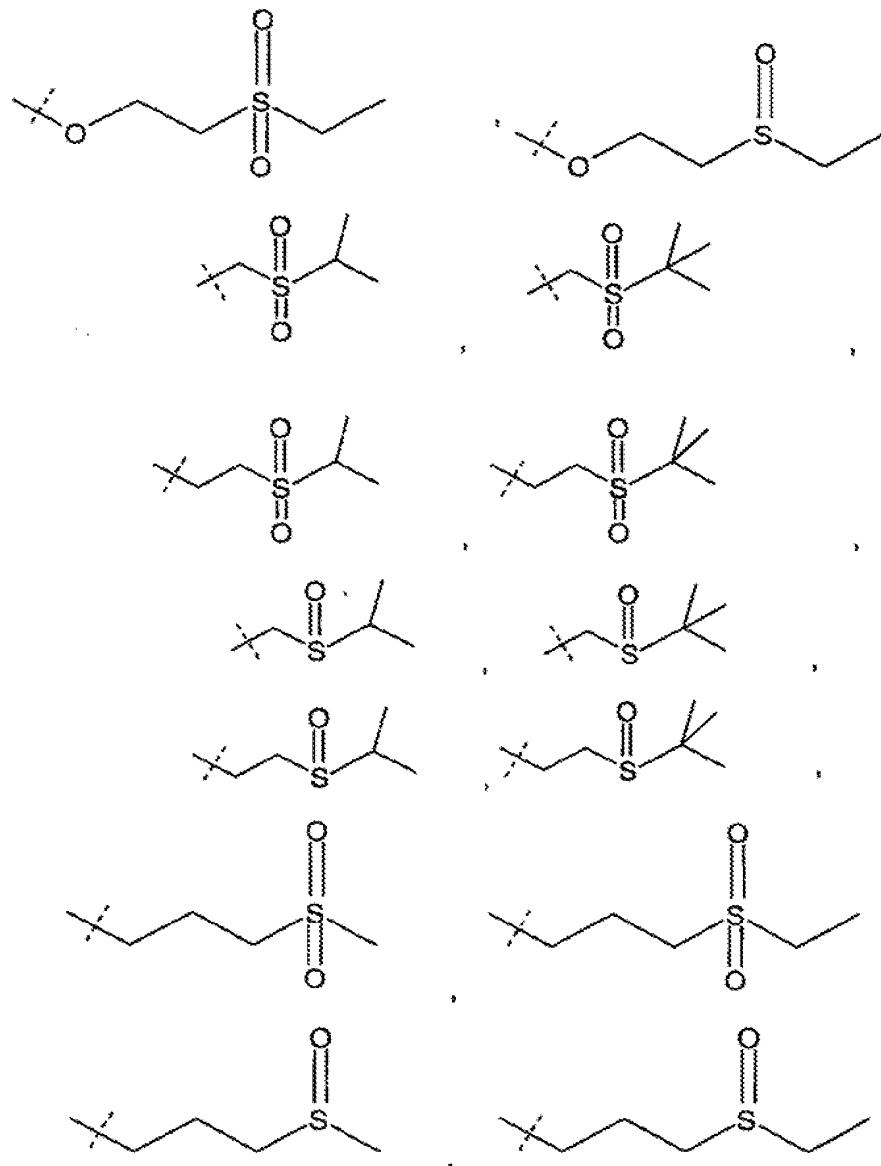
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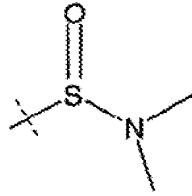
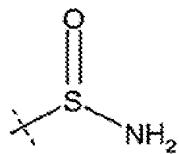
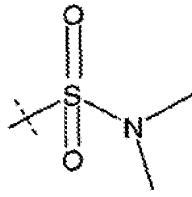
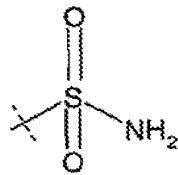
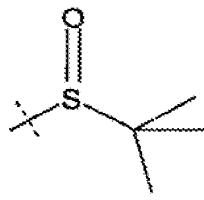
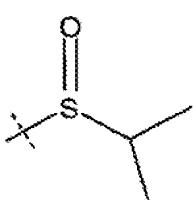
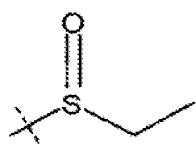
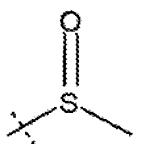
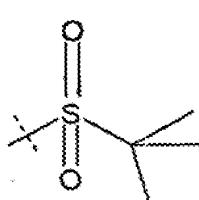
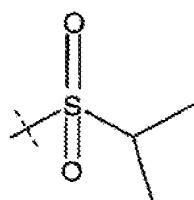
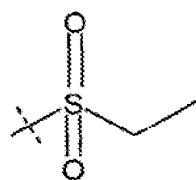
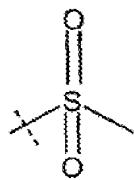


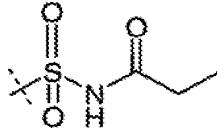
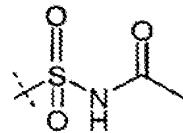
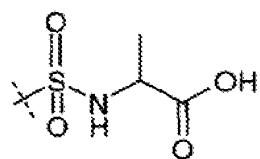
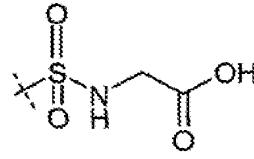
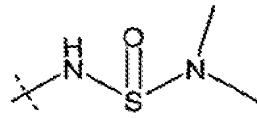
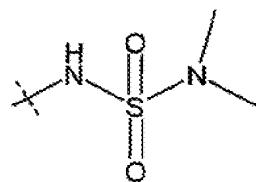
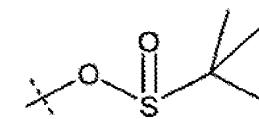
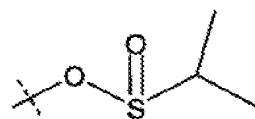
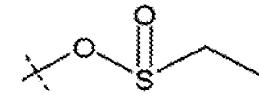
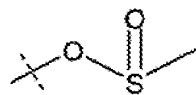
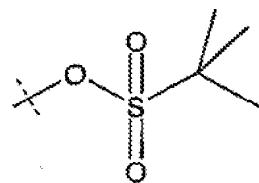
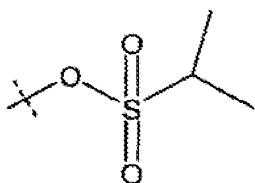
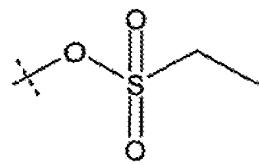
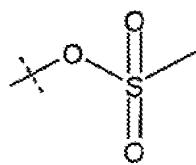
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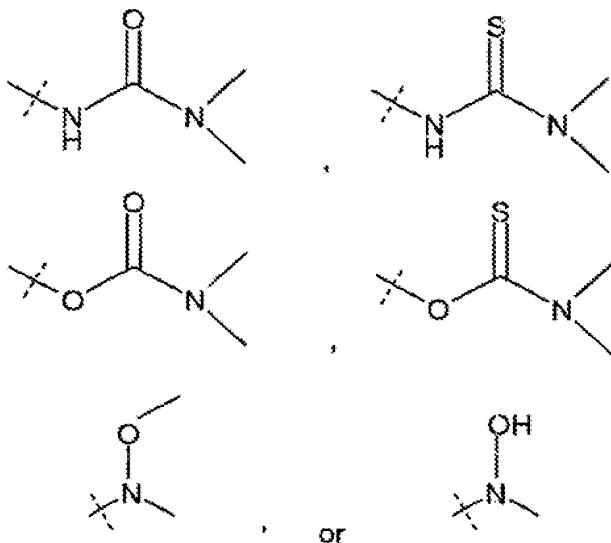




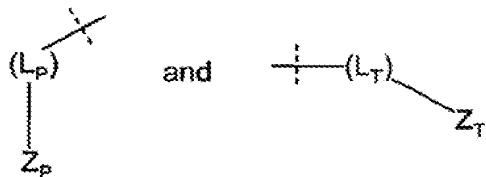
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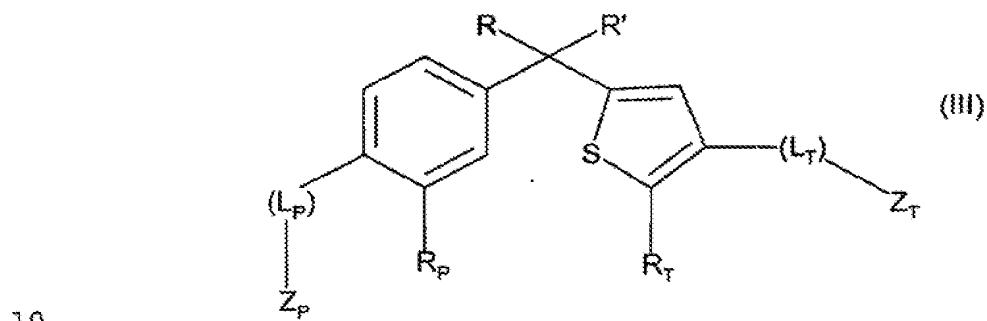


provided that the combined groups of formula I represented by

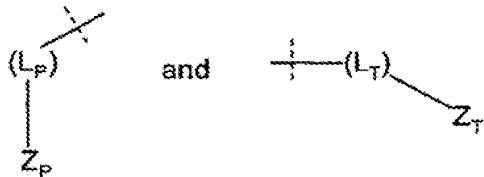


5 may both be lipophilic, or either one may be lipophilic and the other one polar; but both groups may not be polar.

Preferred compounds of the invention are also those represented by the formula III or a pharmaceutically acceptable salt or prodrug derivative thereof:

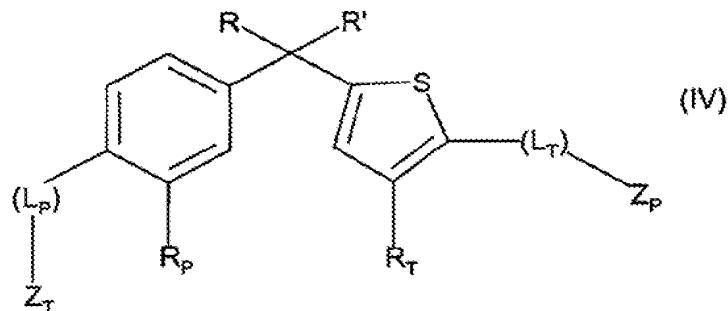


wherein the substituents R, R', Rp, Rt, Lp, Lt, Zp, and Zt are the same as defined for formula II, supra., provided that the combined groups of formula I represented by

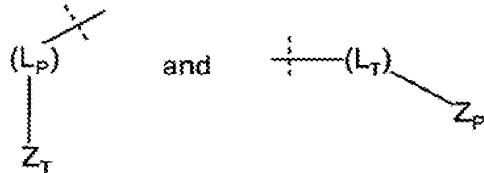


may both be lipophilic, or either one may be lipophilic and the other one polar; but both groups may not be polar.

Preferred compounds of the invention are also those represented by the formula IV  
5 or a pharmaceutically acceptable salt or prodrug derivative thereof:



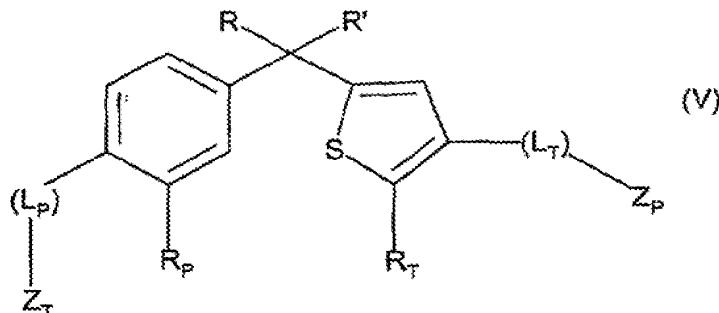
wherein the substituents R, R', R<sub>P</sub>, R<sub>T</sub>, L<sub>P</sub>, L<sub>T</sub>, Z<sub>P</sub>, and Z<sub>T</sub> are the same as defined for  
10 formula II, supra., provided that the combined groups of formula I represented by



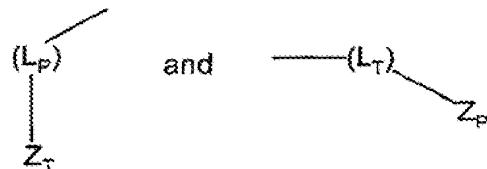
may both be lipophilic, or either one may be lipophilic and the other one polar; but both groups may not be polar.

Preferred compounds of the invention are also those represented by the formula V  
15 or a pharmaceutically acceptable salt or prodrug derivative thereof:

-59-



wherein the substituents R, R', R<sub>P</sub>, R<sub>T</sub>, L<sub>P</sub>, L<sub>T</sub>, Z<sub>P</sub>, and Z<sub>T</sub> are the same as defined for formula II, supra., provided that the combined groups of formula I represented by



5 may both be lipophilic, or either one may be lipophilic and the other one polar; but both groups may not be polar.

Preferred Substituents of Compounds Represented by Formulae I, II, III, IV, and V:

Particularly preferred compounds of Formulae I thru V are those wherein the divalent linking group, -(L<sub>T</sub>)- is a bond, -O-, or -CH<sub>2</sub>-.

10 Particularly preferred compounds of Formulae I thru V are those wherein both R and R' are ethyl.

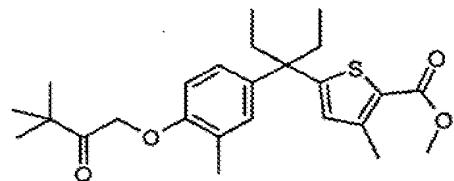
Particularly preferred compounds of Formulae I thru V are those wherein both R<sub>P</sub> and R<sub>T</sub> are methyl.

15 Particularly preferred salt forms of Formulae I thru V are the potassium or sodium salts.

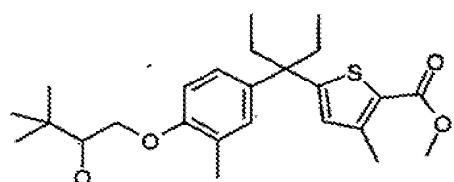
A particularly preferred C<sub>1</sub>-C<sub>5</sub> alkyl group where Z<sub>P</sub> and/or Z<sub>T</sub> contain such group is 1,1-dimethylethyl.

20 Preferred compounds in useful in practicing the therapeutic methods of the invention as shown in the structural formulae X1 to X188, as follows:  
 X1)

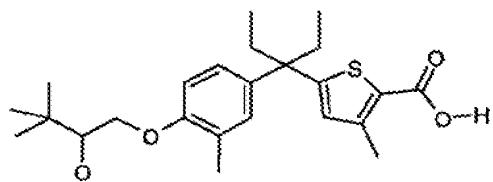
-60-



X2)

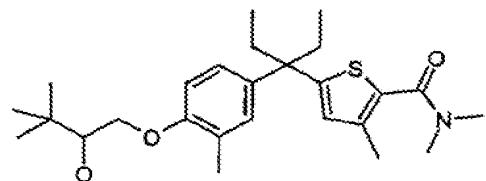


X3)



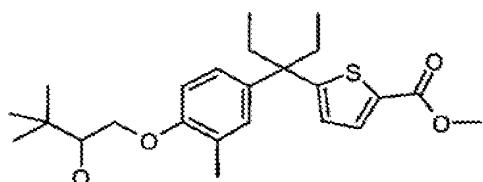
in

X4)



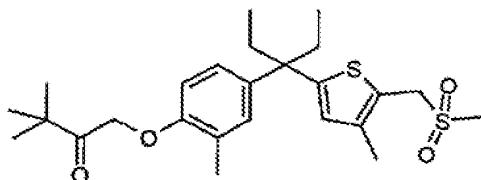
X5)

10

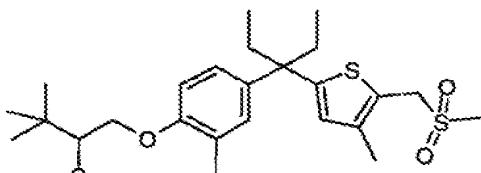


-61-

X9)

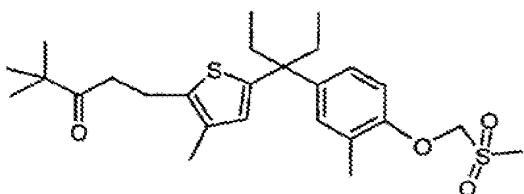


X10)

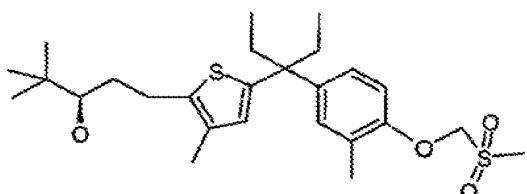


5

10 X13)

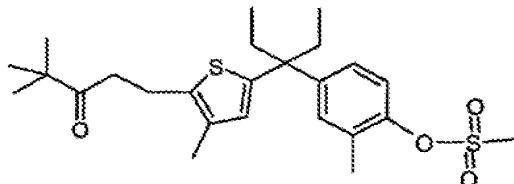


X14)

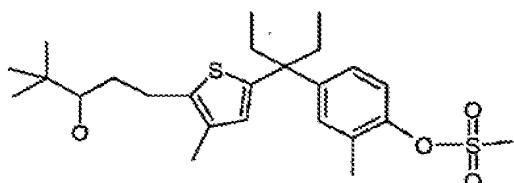


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X17)

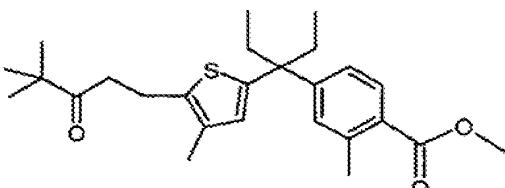


X19)

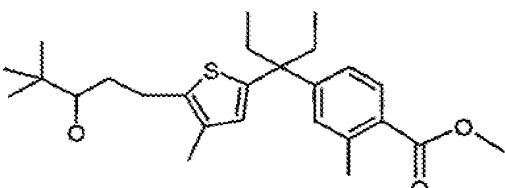


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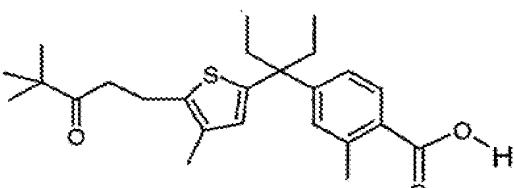
X20)



X21)

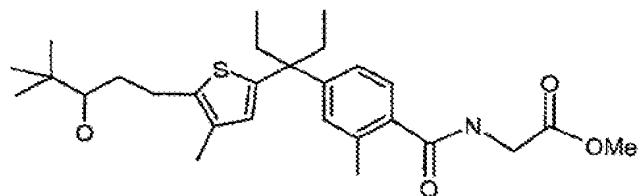


10 X22)

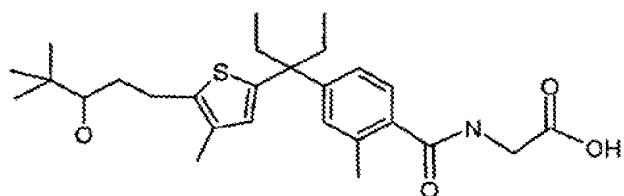


X24)

-63-

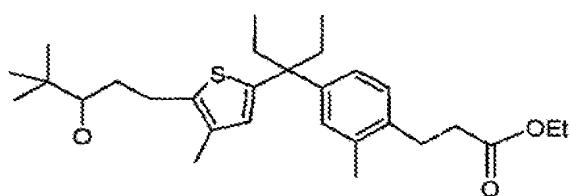


X26)

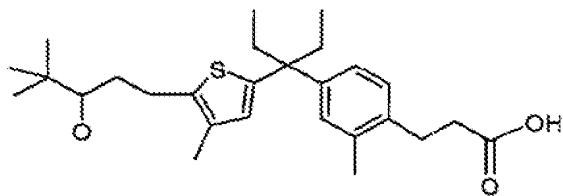


X28)

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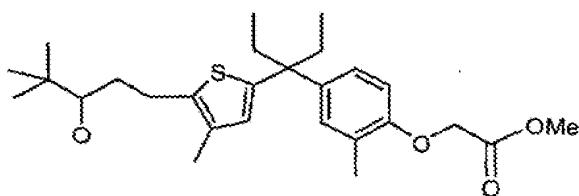


X29)



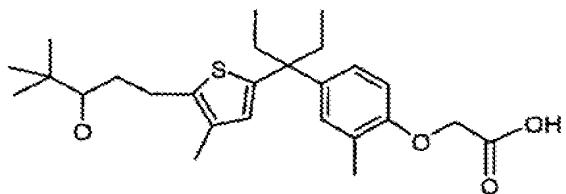
X31)

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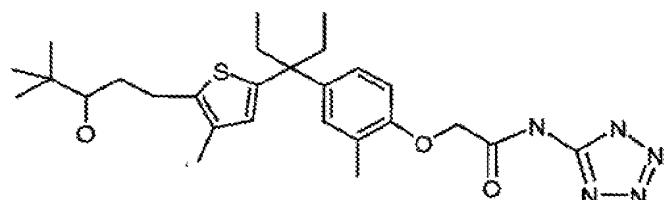


-64-

X32)

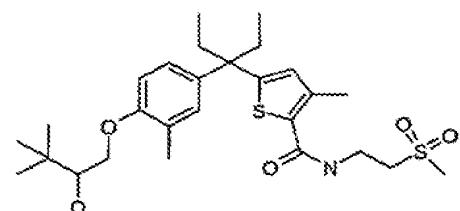


X34)



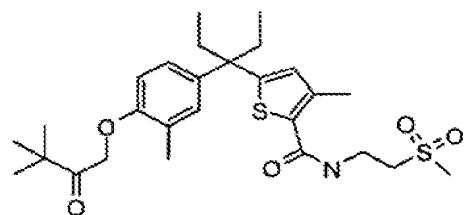
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X38)



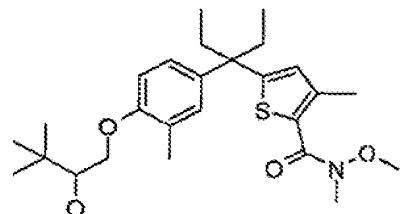
X41)

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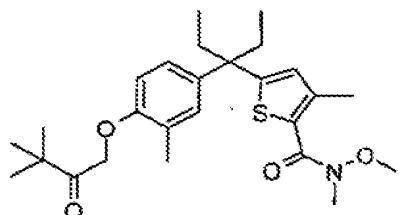


X42)

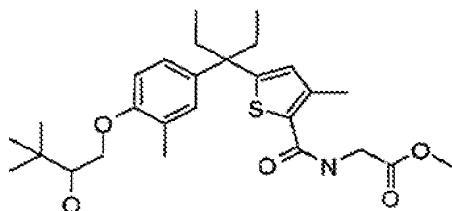
-65-



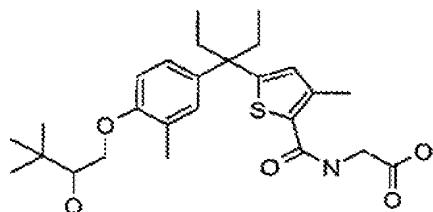
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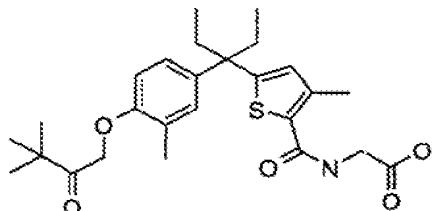
5 X46)



X47)

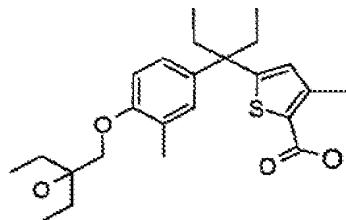


10 X50)

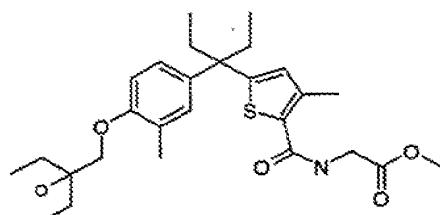


-66-

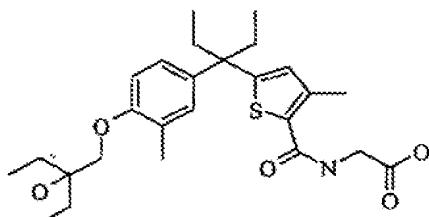
X51)



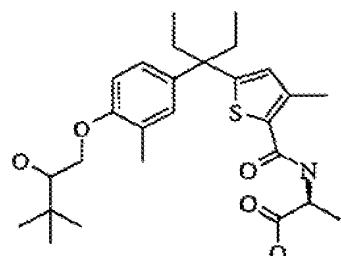
X52)



5 X53)

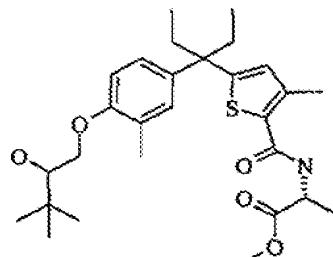


X54)

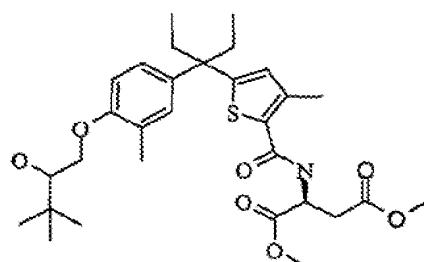


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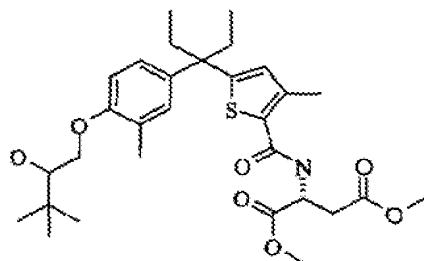
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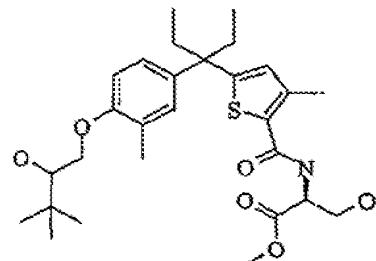
X58)



5 X60)

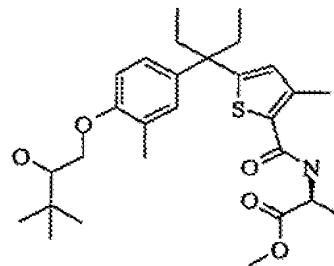


X62)

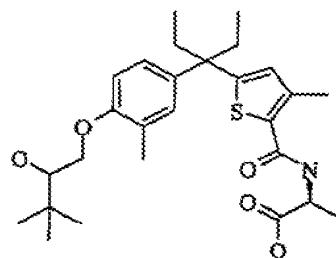


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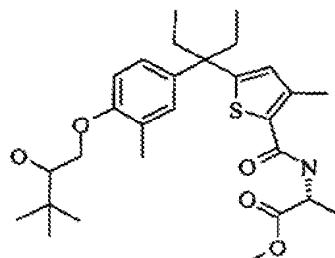
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X65)

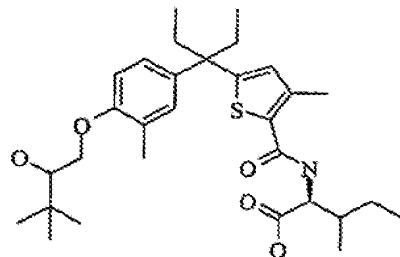


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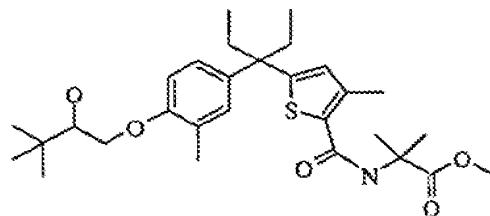
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X69)

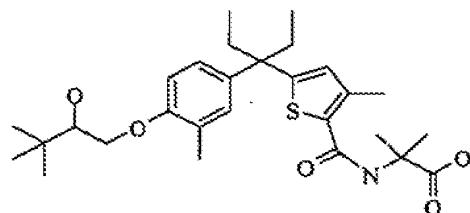


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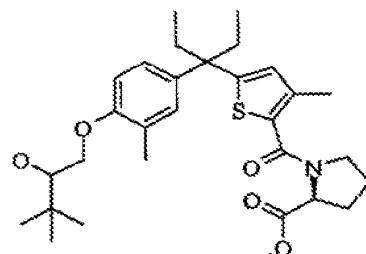
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X71)

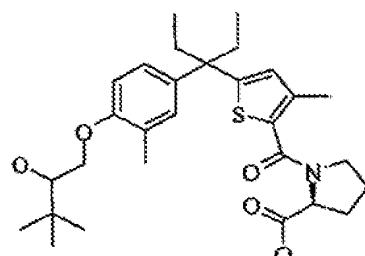


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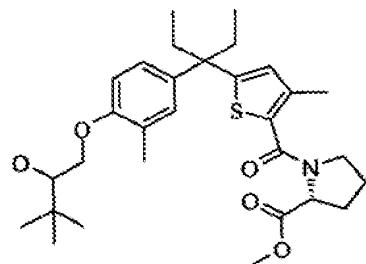
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X75)

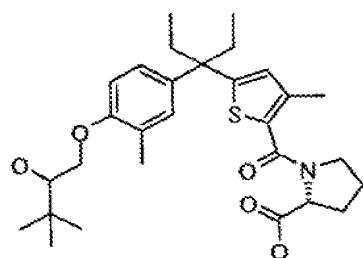


-70-

X78)

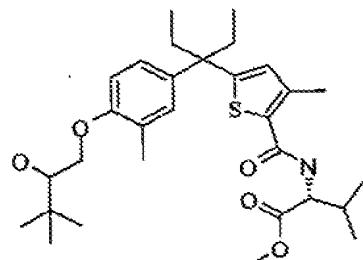


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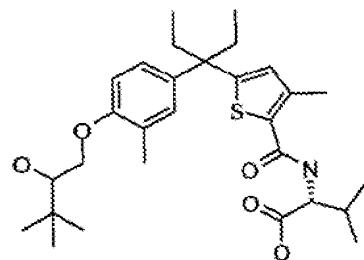


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X83)

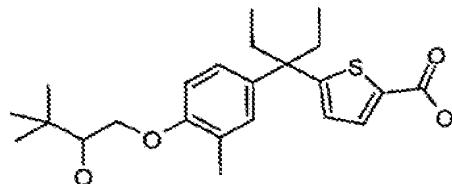


10 X86)



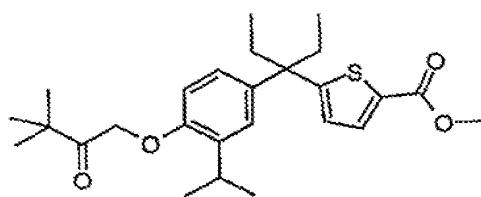
-71-

X88)

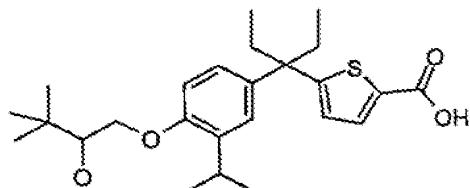


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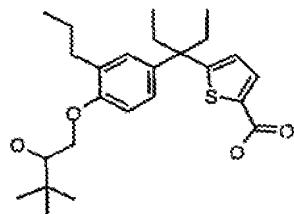
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X92)

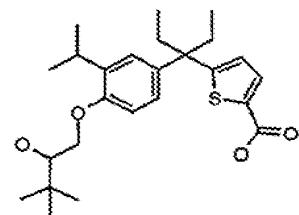


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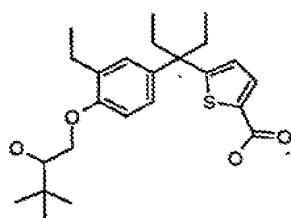


X96)

-72-

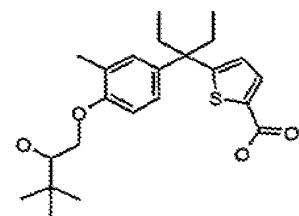


X99)



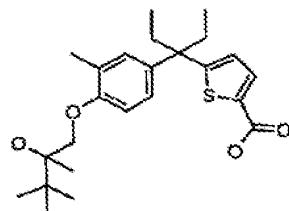
X102)

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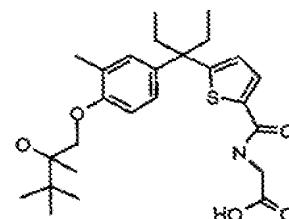


-73-

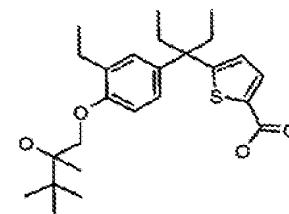
X103)



5 X106)

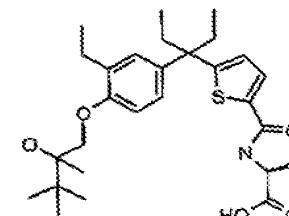


X107)



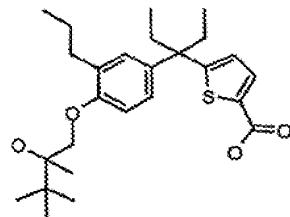
X110)

10

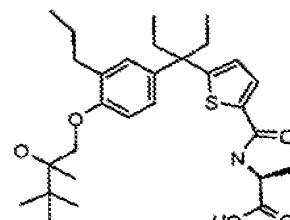


X111)

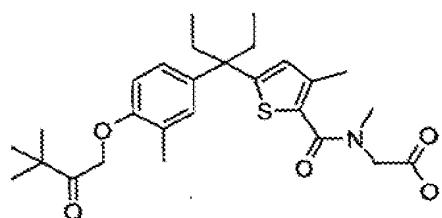
-74-



X114)

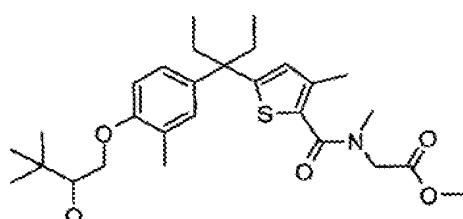


X118)

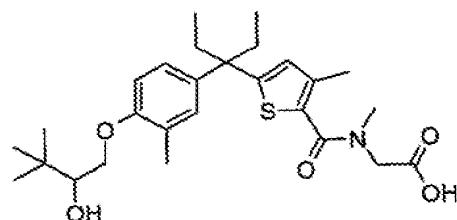


5

X119)



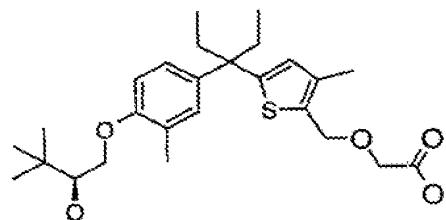
X122)



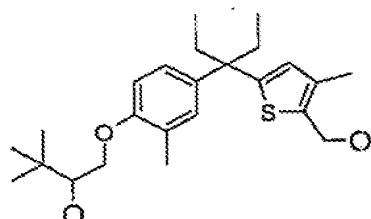
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-75-

X124)

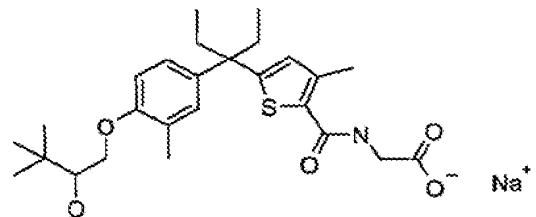


X125)

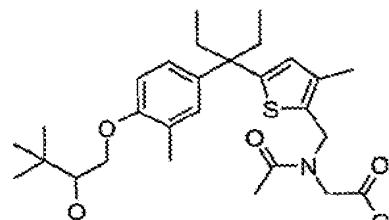


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X128)



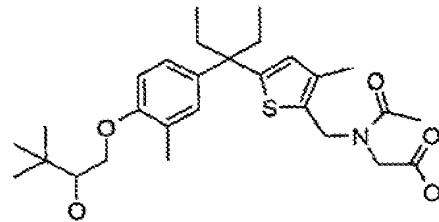
X130)



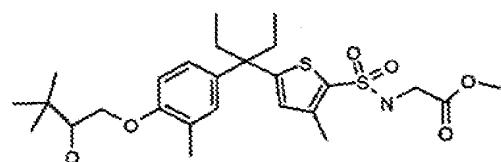
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X131)

-76-

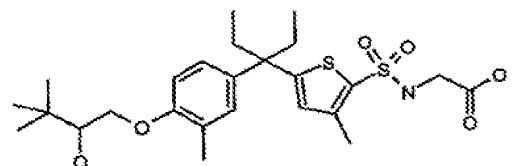


X134)



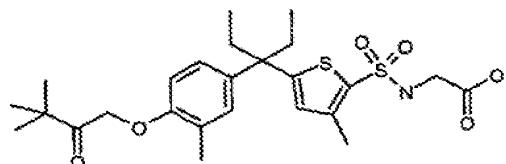
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X137)

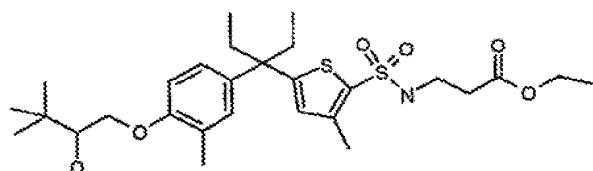


X139)

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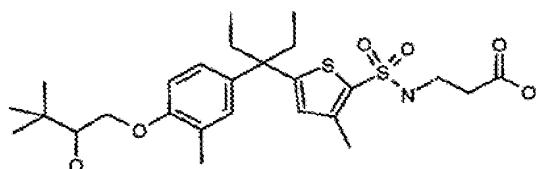


X140)

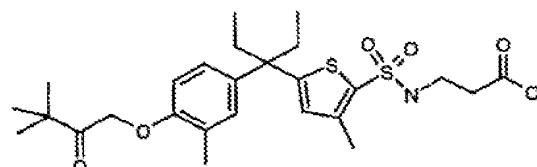


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X141)

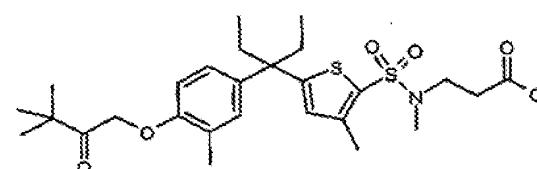


X144)

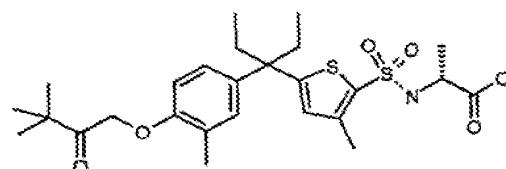


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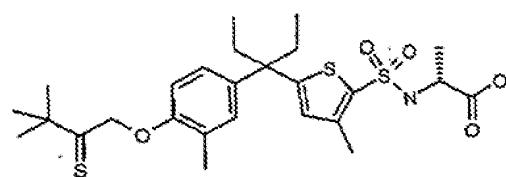
X145)



10 X146)

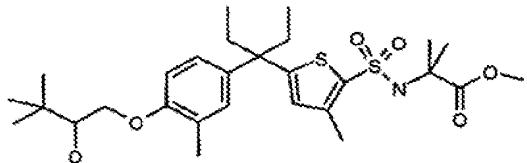


X147)

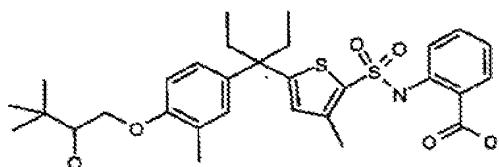


15 X148)

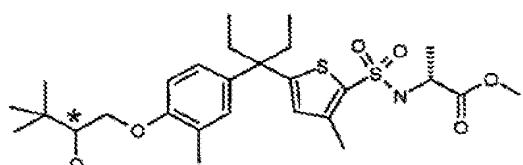
-78-



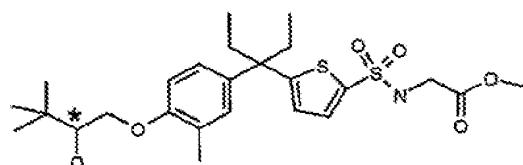
X149)



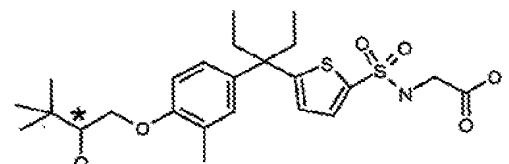
5 X150)



X152)

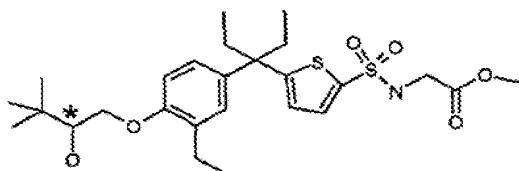


10 X153)

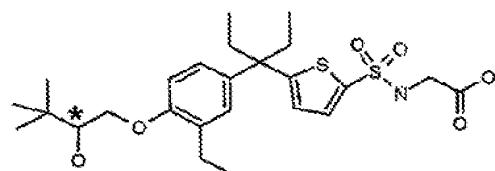


X154)

-79-

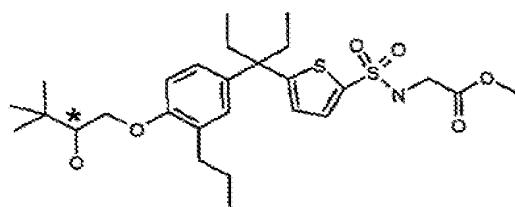


X155)

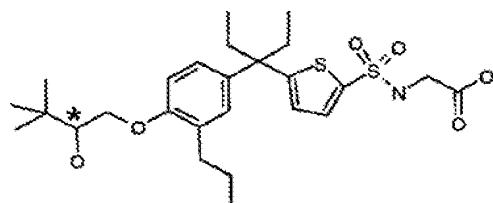


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X156)

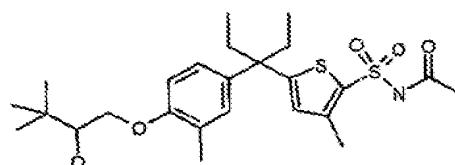


X157)



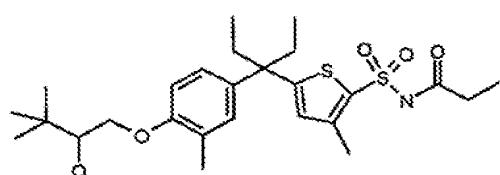
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X158)

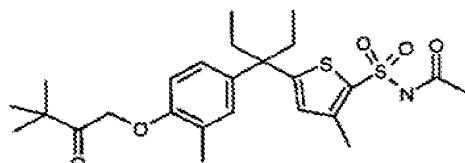


-80-

X159)

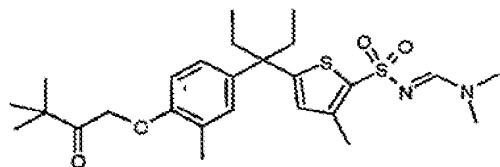


X160)

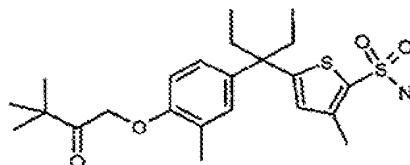


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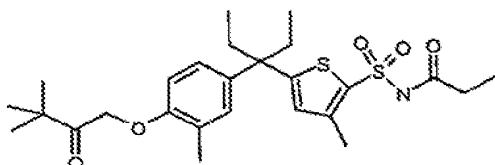
X161)



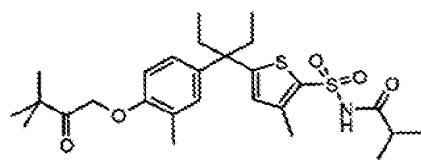
X162)



10 X163)

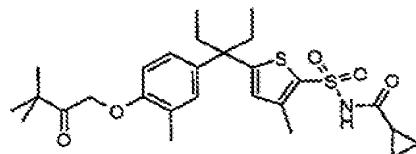


X164)

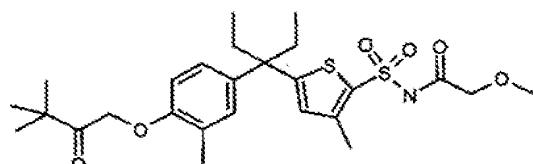


-81-

X165)

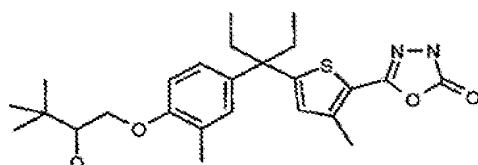


X166)

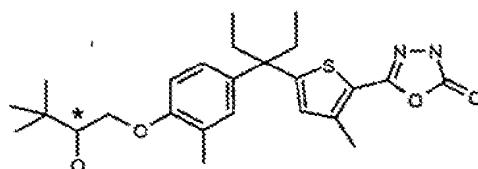


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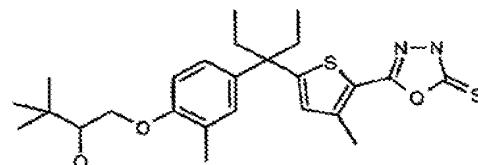
X169)



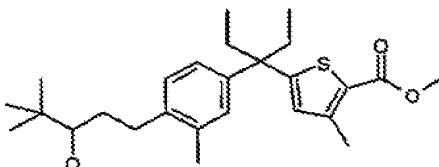
X171)



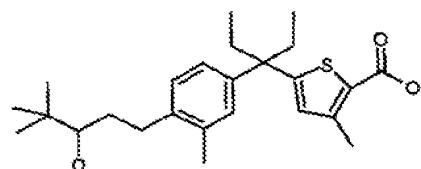
10 X172)



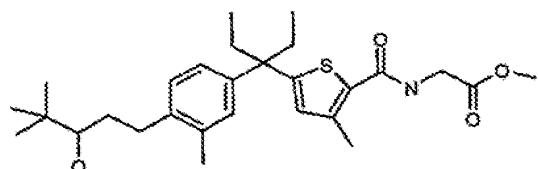
X174)



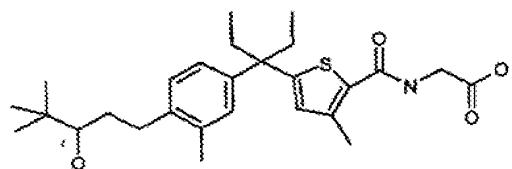
X175)



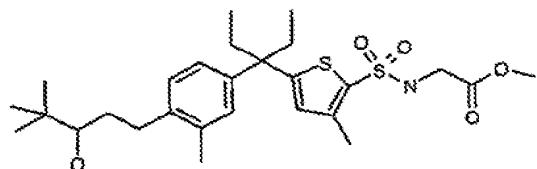
5 X176)



X177)

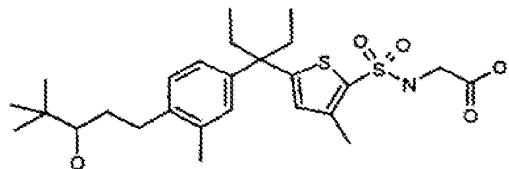


X178)



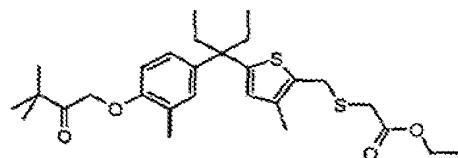
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X179)

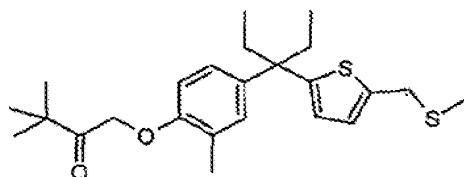


X183)

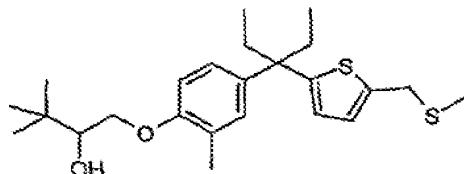
-83-



X184)

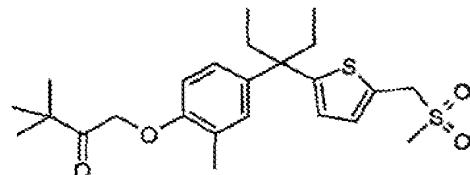


X185)

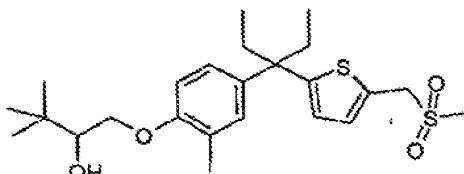


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X187)



X188)



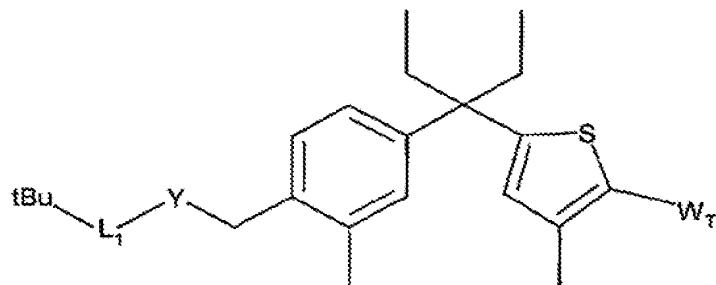
10

Other specific compounds that are preferred embodiments of this invention and are preferred for practicing the method of treatment of the invention are set out in the following four Tables. All numbers in the Tables cells reciting chemical species are subscripts, for example, in row, Code 11, Column, W<sub>T</sub>, the symbol, "CO<sub>2</sub>H" is to be understood as the conventional chemical nomenclature, --CO<sub>2</sub>H--. Each row of Tables

1, 2, 3, and 4 is a single compound having an identifying "Code" (e.g., "206", "318A") defining the specific substituents in the structural formula displayed above the Tables, as follows:

5

Table 1



Code	L <sub>1</sub>	Y	W <sub>7</sub>
1	C(O)	CH <sub>2</sub>	-CO <sub>2</sub> Me
2	CHOH	CH <sub>2</sub>	-CO <sub>2</sub> Me
3	C(Me)OH	CH <sub>2</sub>	-CO <sub>2</sub> Me
4	C(O)	CH(Me)	-CO <sub>2</sub> Me
5	CHOH	CH(Me)	-CO <sub>2</sub> Me
6	C(Me)OH	CH(Me)	-CO <sub>2</sub> Me
7	C(O)	CH <sub>2</sub>	-CO <sub>2</sub> H
8	CHOH	CH <sub>2</sub>	-CO <sub>2</sub> H
9	C(Me)OH	CH <sub>2</sub>	-CO <sub>2</sub> H
10	C(O)	CH(Me)	-CO <sub>2</sub> H
11	CHOH	CH(Me)	-CO <sub>2</sub> H
12	C(Me)OH	CH(Me)	-CO <sub>2</sub> H
13	C(O)	CH <sub>2</sub>	-C(O)NH <sub>2</sub>
14	CHOH	CH <sub>2</sub>	-C(O)NH <sub>2</sub>
15	C(Me)OH	CH <sub>2</sub>	-C(O)NH <sub>2</sub>
16	C(O)	CH(Me)	-C(O)NH <sub>2</sub>
17	CHOH	CH(Me)	-C(O)NH <sub>2</sub>

-85-

18	C(Me)OH	CH(Me)	-C(O)NH2
19	C(O)	CH2	-C(O)NMe2
20	CHOH	CH2	-C(O)NMe2
21	C(Me)OH	CH2	-C(O)NMe2
22	C(O)	CH(Me)	-C(O)NMe2
23	CHOH	CH(Me)	-C(O)NMe2
24	C(Me)OH	CH(Me)	-C(O)NMe2
25	C(O)	CH2	5-tetrazolyl
26	CHOH	CH2	5-tetrazolyl
27	C(Me)OH	CH2	5-tetrazolyl
28	C(O)	CH(Me)	5-tetrazolyl
29	CHOH	CH(Me)	5-tetrazolyl
30	C(Me)OH	CH(Me)	5-tetrazolyl
31	C(O)	CH2	-C(O)-NH-5-tetrazolyl
32	CHOH	CH2	-C(O)-NH-5-tetrazolyl
33	C(Me)OH	CH2	-C(O)-NH-5-tetrazolyl
34	C(O)	CH(Me)	-C(O)-NH-5-tetrazolyl
35	CHOH	CH(Me)	-C(O)-NH-5-tetrazolyl
36	C(Me)OH	CH(Me)	-C(O)-NH-5-tetrazolyl
37	C(O)	CH2	-C(O)NHCH2SO2Me
38	CHOH	CH2	-C(O)NHCH2SO2Me
39	C(Me)OH	CH2	-C(O)NHCH2SO2Me
40	C(O)	CH(Me)	-C(O)NHCH2SO2Me
41	CHOH	CH(Me)	-C(O)NHCH2SO2Me
42	C(Me)OH	CH(Me)	-C(O)NHCH2SO2Me
43	C(O)	CH2	-C(O)NHCH2CH2SO2Me
44	CHOH	CH2	-C(O)NHCH2CH2SO2Me
45	C(Me)OH	CH2	-C(O)NHCH2CH2SO2Me
46	C(O)	CH(Me)	-C(O)NHCH2CH2SO2Me
47	CHOH	CH(Me)	-C(O)NHCH2CH2SO2Me
48	C(Me)OH	CH(Me)	-C(O)NHCH2CH2SO2Me

49	C(O)	CH2	-C(O)NHSO2Me
50	CHOH	CH2	-C(O)NHSO2Me
51	C(Me)OH	CH2	-C(O)NHSO2Me
52	C(O)	CH(Me)	-C(O)NHSO2Me
53	CHOH	CH(Me)	-C(O)NHSO2Me
54	C(Me)OH	CH(Me)	-C(O)NHSO2Me
55	C(O)	CH2	-CH2-C(O)NHSO2Et
56	CHOH	CH2	-CH2-C(O)NHSO2Et
57	C(Me)OH	CH2	-CH2-C(O)NHSO2Et
58	C(O)	CH(Me)	-CH2-C(O)NHSO2Et
59	CHOH	CH(Me)	-CH2-C(O)NHSO2Et
60	C(Me)OH	CH(Me)	-CH2-C(O)NHSO2Et
61	C(O)	CH2	-CH2-C(O)NHSO2iPr
62	CHOH	CH2	-CH2-C(O)NHSO2iPr
63	C(Me)OH	CH2	-CH2-C(O)NHSO2iPr
64	C(O)	CH(Me)	-CH2-C(O)NHSO2iPr
65	CHOH	CH(Me)	-CH2-C(O)NHSO2iPr
66	C(Me)OH	CH(Me)	-CH2-C(O)NHSO2iPr
67	C(O)	CH2	-CH2-C(O)NHSO2tBu
68	CHOH	CH2	-CH2-C(O)NHSO2tBu
69	C(Me)OH	CH2	-CH2-C(O)NHSO2tBu
70	C(O)	CH(Me)	-CH2-C(O)NHSO2tBu
71	CHOH	CH(Me)	-CH2-C(O)NHSO2tBu
72	C(Me)OH	CH(Me)	-CH2-C(O)NHSO2tBu
73	C(O)	CH2	-CH2NHSO2Me
74	CHOH	CH2	-CH2NHSO2Me
75	C(Me)OH	CH2	-CH2NHSO2Me
76	C(O)	CH(Me)	-CH2NHSO2Me
77	CHOH	CH(Me)	-CH2NHSO2Me
78	C(Me)OH	CH(Me)	-CH2NHSO2Me
79	C(O)	CH2	-CH2NHSO2Et

80	CHOH	CH2	-CH2NHSO2Et
81	C(Me)OH	CH2	-CH2NHSO2Et
82	C(O)	CH(Me)	-CH2NHSO2Et
83	CHOH	CH(Me)	-CH2NHSO2Et
84	C(Me)OH	CH(Me)	-CH2NHSO2Et
85	C(O)	CH2	-CH2NHSO2iPr
86	CHOH	CH2	-CH2NHSO2iPr
87	C(Me)OH	CH2	-CH2NHSO2iPr
88	C(O)	CH(Me)	-CH2NHSO2iPr
89	CHOH	CH(Me)	-CH2NHSO2iPr
90	C(Me)OH	CH(Me)	-CH2NHSO2iPr
91	C(O)	CH2	-CH2NHSO2iBu
92	CHOH	CH2	-CH2NHSO2iBu
93	C(Me)OH	CH2	-CH2NHSO2iBu
94	C(O)	CH(Me)	-CH2NHSO2iBu
95	CHOH	CH(Me)	-CH2NHSO2iBu
96	C(Me)OH	CH(Me)	-CH2NHSO2iBu
97	C(O)	CH2	-CH2-N-pyrrolidin-2-one
98	CHOH	CH2	-CH2-N-pyrrolidin-2-one
99	C(Me)OH	CH2	-CH2-N-pyrrolidin-2-one
100	C(O)	CH(Me)	-CH2-N-pyrrolidin-2-one
101	CHOH	CH(Me)	-CH2-N-pyrrolidin-2-one
102	C(Me)OH	CH(Me)	-CH2-N-pyrrolidin-2-one
103	C(O)	CH2	-CH2-(1-methylpyrrolidin-2-one-3-yl)
104	CHOH	CH2	-CH2-(1-methylpyrrolidin-2-one-3-yl)
105	C(Me)OH	CH2	-CH2-(1-methylpyrrolidin-2-one-3-yl)
106	C(O)	CH(Me)	-CH2-(1-methylpyrrolidin-2-one-3-yl)
107	CHOH	CH(Me)	-CH2-(1-methylpyrrolidin-2-one-3-yl)
108	C(Me)OH	CH(Me)	-CH2-(1-methylpyrrolidin-2-one-3-yl)
109	C(O)	CH2	-CH2CO2Me
110	CHOH	CH2	-CH2CO2Me

111	C(Me)OH	CH2	-CH2CO2Me
112	C(O)	CH(Me)	-CH2CO2Me
113	CHOH	CH(Me)	-CH2CO2Me
114	C(Me)OH	CH(Me)	-CH2CO2Me
115	C(O)	CH2	-CH2CO2H
116	CHOH	CH2	-CH2CO2H
117	C(Me)OH	CH2	-CH2CO2H
118	C(O)	CH(Me)	-CH2CO2H
119	CHOH	CH(Me)	-CH2CO2H
120	C(Me)OH	CH(Me)	-CH2CO2H
121	C(O)	CH2	-CH2C(O)NH2
122	CHOH	CH2	-CH2C(O)NH2
123	C(Me)OH	CH2	-CH2C(O)NH2
124	C(O)	CH(Me)	-CH2C(O)NH2
125	CHOH	CH(Me)	-CH2C(O)NH2
126	C(Me)OH	CH(Me)	-CH2C(O)NH2
127	C(O)	CH2	-CH2C(O)NMe2
128	CHOH	CH2	-CH2C(O)NMe2
129	C(Me)OH	CH2	-CH2C(O)NMe2
130	C(O)	CH(Me)	-CH2C(O)NMe2
131	CHOH	CH(Me)	-CH2C(O)NMe2
132	C(Me)OH	CH(Me)	-CH2C(O)NMe2
133	C(O)	CH2	-CH2C(O)-N-pyrrolidine
134	CHOH	CH2	-CH2C(O)-N-pyrrolidine
135	C(Me)OH	CH2	-CH2C(O)-N-pyrrolidine
136	C(O)	CH(Me)	-CH2C(O)-N-pyrrolidine
137	CHOH	CH(Me)	-CH2C(O)-N-pyrrolidine
138	C(Me)OH	CH(Me)	-CH2C(O)-N-pyrrolidine
139	C(O)	CH2	-CH2-5-tetrazolyl
140	CHOH	CH2	-CH2-5-tetrazolyl
141	C(Me)OH	CH2	-CH2-5-tetrazolyl

142	C(O)	CH(Me)	-CH2-5-tetrazolyl
143	CHOH	CH(Me)	-CH2-5-tetrazolyl
144	C(Me)OH	CH(Me)	-CH2-5-tetrazolyl
145	C(O)	CH2	-C(O)C(O)OH
146	CHOH	CH2	-C(O)C(O)OH
147	C(Me)OH	CH2	-C(O)C(O)OH
148	C(O)	CH(Me)	-C(O)C(O)OH
149	CHOH	CH(Me)	-C(O)C(O)OH
150	C(Me)OH	CH(Me)	-C(O)C(O)OH
151	C(O)	CH2	-CH(OH)C(O)OH
152	CHOH	CH2	-CH(OH)C(O)OH
153	C(Me)OH	CH2	-CH(OH)C(O)OH
154	C(O)	CH(Me)	-CH(OH)C(O)OH
155	CHOH	CH(Me)	-CH(OH)C(O)OH
156	C(Me)OH	CH(Me)	-CH(OH)C(O)OH
157	C(O)	CH2	-C(O)C(O)NH2
158	CHOH	CH2	-C(O)C(O)NH2
159	C(Me)OH	CH2	-C(O)C(O)NH2
160	C(O)	CH(Me)	-C(O)C(O)NH2
161	CHOH	CH(Me)	-C(O)C(O)NH2
162	C(Me)OH	CH(Me)	-C(O)C(O)NH2
163	C(O)	CH2	-CH(OH)C(O)NH2
164	CHOH	CH2	-CH(OH)C(O)NH2
165	C(Me)OH	CH2	-CH(OH)C(O)NH2
166	C(O)	CH(Me)	-CH(OH)C(O)NH2
167	CHOH	CH(Me)	-CH(OH)C(O)NH2
168	C(Me)OH	CH(Me)	-CH(OH)C(O)NH2
169	C(O)	CH2	-C(O)C(O)NMe2
170	CHOH	CH2	-C(O)C(O)NMe2
171	C(Me)OH	CH2	-C(O)C(O)NMe2
172	C(O)	CH(Me)	-C(O)C(O)NMe2

173	CHOH	CH(Me)	-C(O)C(O)NMe2
174	C(Me)OH	CH(Me)	-C(O)C(O)NMe2
175	C(O)	CH2	-CH(OH)C(O)NMe2
176	CHOH	CH2	-CH(OH)C(O)NMe2
177	C(Me)OH	CH2	-CH(OH)C(O)NMe2
178	C(O)	CH(Me)	-CH(OH)C(O)NMe2
179	CHOH	CH(Me)	-CH(OH)C(O)NMe2
180	C(Me)OH	CH(Me)	-CH(OH)C(O)NMe2
181	C(O)	CH2	-CH2CH2CO2H
182	CHOH	CH2	-CH2CH2CO2H
183	C(Me)OH	CH2	-CH2CH2CO2H
184	C(O)	CH(Me)	-CH2CH2CO2H
185	CHOH	CH(Me)	-CH2CH2CO2H
186	C(Me)OH	CH(Me)	-CH2CH2CO2H
187	C(O)	CH2	-CH2CH2C(O)NH2
188	CHOH	CH2	-CH2CH2C(O)NH2
189	C(Me)OH	CH2	-CH2CH2C(O)NH2
190	C(O)	CH(Me)	-CH2CH2C(O)NH2
191	CHOH	CH(Me)	-CH2CH2C(O)NH2
192	C(Me)OH	CH(Me)	-CH2CH2C(O)NH2
193	C(O)	CH2	-CH2CH2C(O)NMe2
194	CHOH	CH2	-CH2CH2C(O)NMe2
195	C(Me)OH	CH2	-CH2CH2C(O)NMe2
196	C(O)	CH(Me)	-CH2CH2C(O)NMe2
197	CHOH	CH(Me)	-CH2CH2C(O)NMe2
198	C(Me)OH	CH(Me)	-CH2CH2C(O)NMe2
199	C(O)	CH2	-CH2CH2-5-tetrazolyl
200	CHOH	CH2	-CH2CH2-5-tetrazolyl
201	C(Me)OH	CH2	-CH2CH2-5-tetrazolyl
202	C(O)	CH(Me)	-CH2CH2-5-tetrazolyl
203	CHOH	CH(Me)	-CH2CH2-5-tetrazolyl

204	C(Me)OH	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> -5-tetrazolyl
205	C(O)	CH <sub>2</sub>	-CH <sub>2</sub> S(O)2Me
206	CHOH	CH <sub>2</sub>	-CH <sub>2</sub> S(O)2Me
207	C(Me)OH	CH <sub>2</sub>	-CH <sub>2</sub> S(O)2Me
208	C(O)	CH(Me)	-CH <sub>2</sub> S(O)2Me
209	CHOH	CH(Me)	-CH <sub>2</sub> S(O)2Me
210	C(Me)OH	CH(Me)	-CH <sub>2</sub> S(O)2Me
211	C(O)	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> S(O)2Me
212	CHOH	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> S(O)2Me
213	C(Me)OH	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> S(O)2Me
214	C(O)	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> S(O)2Me
215	CHOH	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> S(O)2Me
216	C(Me)OH	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> S(O)2Me
217	C(O)	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> S(O)2Me
218	CHOH	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> S(O)2Me
219	C(Me)OH	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> S(O)2Me
220	C(O)	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> S(O)2Me
221	CHOH	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> S(O)2Me
222	C(Me)OH	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> CH <sub>2</sub> S(O)2Me
223	C(O)	CH <sub>2</sub>	-CH <sub>2</sub> S(O)2Et
224	CHOH	CH <sub>2</sub>	-CH <sub>2</sub> S(O)2Et
225	C(Me)OH	CH <sub>2</sub>	-CH <sub>2</sub> S(O)2Et
226	C(O)	CH(Me)	-CH <sub>2</sub> S(O)2Et
227	CHOH	CH(Me)	-CH <sub>2</sub> S(O)2Et
228	C(Me)OH	CH(Me)	-CH <sub>2</sub> S(O)2Et
229	C(O)	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> S(O)2Et
230	CHOH	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> S(O)2Et
231	C(Me)OH	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> S(O)2Et
232	C(O)	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> S(O)2Et
233	CHOH	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> S(O)2Et
234	C(Me)OH	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> S(O)2Et

235	C(O)	CH2	-CH2CH2CH2S(O)2Et
236	CHOH	CH2	-CH2CH2CH2S(O)2Et
237	C(Me)OH	CH2	-CH2CH2CH2S(O)2Et
238	C(O)	CH(Me)	-CH2CH2CH2S(O)2Et
239	CHOH	CH(Me)	-CH2CH2CH2S(O)2Et
240	C(Me)OH	CH(Me)	-CH2CH2CH2S(O)2Et
241	C(O)	CH2	-CH2S(O)2iPr
242	CHOH	CH2	-CH2S(O)2iPr
243	C(Me)OH	CH2	-CH2S(O)2iPr
244	C(O)	CH(Me)	-CH2S(O)2iPr
245	CHOH	CH(Me)	-CH2S(O)2iPr
246	C(Me)OH	CH(Me)	-CH2S(O)2iPr
247	C(O)	CH2	-CH2CH2S(O)2iPr
248	CHOH	CH2	-CH2CH2S(O)2iPr
249	C(Me)OH	CH2	-CH2CH2S(O)2iPr
250	C(O)	CH(Me)	-CH2CH2S(O)2iPr
251	CHOH	CH(Me)	-CH2CH2S(O)2iPr
252	C(Me)OH	CH(Me)	-CH2CH2S(O)2iPr
253	C(O)	CH2	-CH2S(O)2tBu
254	CHOH	CH2	-CH2S(O)2tBu
255	C(Me)OH	CH2	-CH2S(O)2tBu
256	C(O)	CH(Me)	-CH2S(O)2tBu
257	CHOH	CH(Me)	-CH2S(O)2tBu
258	C(Me)OH	CH(Me)	-CH2S(O)2tBu
259	C(O)	CH2	-CH2CH2S(O)2tBu
260	CHOH	CH2	-CH2CH2S(O)2tBu
261	C(Me)OH	CH2	-CH2CH2S(O)2tBu
262	C(O)	CH(Me)	-CH2CH2S(O)2tBu
263	CHOH	CH(Me)	-CH2CH2S(O)2tBu
264	C(Me)OH	CH(Me)	-CH2CH2S(O)2tBu
265	C(O)	CH2	-CH2CH2S(O)2NH2

-93-

266	CHOH	CH2	-CH2CH2S(O)2NH2
267	C(Me)OH	CH2	-CH2CH2S(O)2NH2
268	C(O)	CH(Me)	-CH2CH2S(O)2NH2
269	CHOH	CH(Me)	-CH2CH2S(O)2NH2
270	C(Me)OH	CH(Me)	-CH2CH2S(O)2NH2
271	C(O)	CH2	-CH2CH2S(O)2NMe2
272	CHOH	CH2	-CH2CH2S(O)2NMe2
273	C(Me)OH	CH2	-CH2CH2S(O)2NMe2
274	C(O)	CH(Me)	-CH2CH2S(O)2NMe2
275	CHOH	CH(Me)	-CH2CH2S(O)2NMe2
276	C(Me)OH	CH(Me)	-CH2CH2S(O)2NMe2
277	C(O)	CH2	-C(O)CH2S(O)2Me
278	CHOH	CH2	-C(O)CH2S(O)2Me
279	C(Me)OH	CH2	-C(O)CH2S(O)2Me
280	C(O)	CH(Me)	-C(O)CH2S(O)2Me
281	CHOH	CH(Me)	-C(O)CH2S(O)2Me
282	C(Me)OH	CH(Me)	-C(O)CH2S(O)2Me
283	C(O)	CH2	-C(O)CH2CH2S(O)2Me
284	CHOH	CH2	-C(O)CH2CH2S(O)2Me
285	C(Me)OH	CH2	-C(O)CH2CH2S(O)2Me
286	C(O)	CH(Me)	-C(O)CH2CH2S(O)2Me
287	CHOH	CH(Me)	-C(O)CH2CH2S(O)2Me
288	C(Me)OH	CH(Me)	-C(O)CH2CH2S(O)2Me
289	C(O)	CH2	-CH2CH2CH2S(O)2NH2
290	CHOH	CH2	-CH2CH2CH2S(O)2NH2
291	C(Me)OH	CH2	-CH2CH2CH2S(O)2NH2
292	C(O)	CH(Me)	-CH2CH2CH2S(O)2NH2
293	CHOH	CH(Me)	-CH2CH2CH2S(O)2NH2
294	C(Me)OH	CH(Me)	-CH2CH2CH2S(O)2NH2
295	C(O)	CH2	-S(O)2Me
296	CHOH	CH2	-S(O)2Me

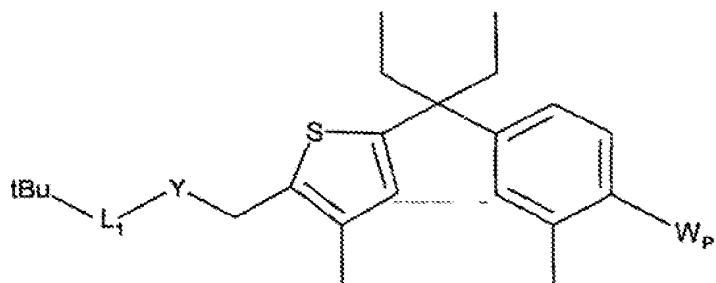
297	C(Me)OH	CH2	-S(O)2Me
298	C(O)	CH(Me)	-S(O)2Me
299	CHOH	CH(Me)	-S(O)2Me
300	C(Me)OH	CH(Me)	-S(O)2Me
301	C(O)	CH2	-S(O)2Et
302	CHOH	CH2	-S(O)2Et
303	C(Me)OH	CH2	-S(O)2Et
304	C(O)	CH(Me)	-S(O)2Et
305	CHOH	CH(Me)	-S(O)2Et
306	C(Me)OH	CH(Me)	-S(O)2Et
307	C(O)	CH2	-S(O)2iPr
308	CHOH	CH2	-S(O)2iPr
309	C(Me)OH	CH2	-S(O)2iPr
310	C(O)	CH(Me)	-S(O)2iPr
311	CHOH	CH(Me)	-S(O)2iPr
312	C(Me)OH	CH(Me)	-S(O)2iPr
313	C(O)	CH2	-S(O)2tBu
314	CHOH	CH2	-S(O)2tBu
315	C(Me)OH	CH2	-S(O)2tBu
316	C(O)	CH(Me)	-S(O)2tBu
317	CHOH	CH(Me)	-S(O)2tBu
318	C(Me)OH	CH(Me)	-S(O)2tBu
319	C(O)	CH2	-S(O)2NH2
320	CHOH	CH2	-S(O)2NH2
321	C(Me)OH	CH2	-S(O)2NH2
322	C(O)	CH(Me)	-S(O)2NH2
323	CHOH	CH(Me)	-S(O)2NH2
324	C(Me)OH	CH(Me)	-S(O)2NH2
325	C(O)	CH2	-S(O)2NMe2
326	CHOH	CH2	-S(O)2NMe2
327	C(Me)OH	CH2	-S(O)2NMe2

-95-

328	C(O)	CH(Me)	-S(O)2NMe2
329	CHOH	CH(Me)	-S(O)2NMe2
330	C(Me)OH	CH(Me)	-S(O)2NMe2
331	C(O)	CH2	-S(O)2CH2S(O)2Me
332	CHOH	CH2	-S(O)2CH2S(O)2Me
333	C(Me)OH	CH2	-S(O)2CH2S(O)2Me
334	C(O)	CH(Me)	-S(O)2CH2S(O)2Me
335	CHOH	CH(Me)	-S(O)2CH2S(O)2Me
336	C(Me)OH	CH(Me)	-S(O)2CH2S(O)2Me
337	C(O)	CH2	-S(O)2CH2S(O)2Et
338	CHOH	CH2	-S(O)2CH2S(O)2Et
339	C(Me)OH	CH2	-S(O)2CH2S(O)2Et
340	C(O)	CH(Me)	-S(O)2CH2S(O)2Et
341	CHOH	CH(Me)	-S(O)2CH2S(O)2Et
342	C(Me)OH	CH(Me)	-S(O)2CH2S(O)2Et
343	C(O)	CH2	-S(O)2CH2S(O)2iPr
344	CHOH	CH2	-S(O)2CH2S(O)2iPr
345	C(Me)OH	CH2	-S(O)2CH2S(O)2iPr
346	C(O)	CH(Me)	-S(O)2CH2S(O)2iPr
347	CHOH	CH(Me)	-S(O)2CH2S(O)2iPr
348	C(Me)OH	CH(Me)	-S(O)2CH2S(O)2iPr
349	C(O)	CH2	-S(O)2CH2S(O)2tBu
350	CHOH	CH2	-S(O)2CH2S(O)2tBu
351	C(Me)OH	CH2	-S(O)2CH2S(O)2tBu
352	C(O)	CH(Me)	-S(O)2CH2S(O)2tBu
353	CHOH	CH(Me)	-S(O)2CH2S(O)2tBu
354	C(Me)OH	CH(Me)	-S(O)2CH2S(O)2tBu
355	C(O)	CH2	-C(O)NHCH2CO2H
356	CHOH	CH2	-C(O)NHCH2CO2H
357	C(Me)OH	CH2	-C(O)NHCH2CO2H
358	C(O)	CH(Me)	-C(O)NHCH2CO2H

359	CHOH	CH(Me)	-C(O)NHCH <sub>2</sub> CO <sub>2</sub> H
360	C(Me)OH	CH(Me)	-C(O)NHCH <sub>2</sub> CO <sub>2</sub> H
361	C(O)	CH <sub>2</sub>	-SO <sub>2</sub> NHCH <sub>2</sub> CO <sub>2</sub> H
362	CHOH	CH <sub>2</sub>	-SO <sub>2</sub> NHCH <sub>2</sub> CO <sub>2</sub> H
363	C(Me)OH	CH <sub>2</sub>	-SO <sub>2</sub> NHCH <sub>2</sub> CO <sub>2</sub> H
364	C(O)	CH(Me)	-SO <sub>2</sub> NHCH <sub>2</sub> CO <sub>2</sub> H
365	CHOH	CH(Me)	-SO <sub>2</sub> NHCH <sub>2</sub> CO <sub>2</sub> H
366	C(Me)OH	CH(Me)	-SO <sub>2</sub> NHCH <sub>2</sub> CO <sub>2</sub> H
367	C(O)	CH <sub>2</sub>	-CH <sub>2</sub> -S-Me
368	CHOH	CH <sub>2</sub>	-CH <sub>2</sub> -S-Me
369	C(Me)OH	CH <sub>2</sub>	-CH <sub>2</sub> -S-Me
370	C(O)	CH(Me)	-CH <sub>2</sub> -S-Me
371	CHOH	CH(Me)	-CH <sub>2</sub> -S-Me
372	C(Me)OH	CH(Me)	-CH <sub>2</sub> -S-Me

Table 2



Code	L <sub>1</sub>	Y	W <sub>P</sub>
1A	C(O)	CH <sub>2</sub>	-CO <sub>2</sub> Me
2A	CHOH	CH <sub>2</sub>	-CO <sub>2</sub> Me
3A	C(Me)OH	CH <sub>2</sub>	-CO <sub>2</sub> Me
4A	C(O)	CH(Me)	-CO <sub>2</sub> Me
5A	CHOH	CH(Me)	-CO <sub>2</sub> Me
6A	C(Me)OH	CH(Me)	-CO <sub>2</sub> Me
7A	C(O)	CH <sub>2</sub>	-CO <sub>2</sub> H

8A	CHOH	CH2	-CO2H
9A	C(Me)OH	CH2	-CO2H
10A	C(O)	CH(Me)	-CO2H
11A	CHOH	CH(Me)	-CO2H
12A	C(Me)OH	CH(Me)	-CO2H
13A	C(O)	CH2	-C(O)NH2
14A	CHOH	CH2	-C(O)NH2
15A	C(Me)OH	CH2	-C(O)NH2
16A	C(O)	CH(Me)	-C(O)NH2
17A	CHOH	CH(Me)	-C(O)NH2
18A	C(Me)OH	CH(Me)	-C(O)NH2
19A	C(O)	CH2	-C(O)NMe2
20A	CHOH	CH2	-C(O)NMe2
21A	C(Me)OH	CH2	-C(O)NMe2
22A	C(O)	CH(Me)	-C(O)NMe2
23A	CHOH	CH(Me)	-C(O)NMe2
24A	C(Me)OH	CH(Me)	-C(O)NMe2
25A	C(O)	CH2	5-tetrazolyl
26A	CHOH	CH2	5-tetrazolyl
27A	C(Me)OH	CH2	5-tetrazolyl
28A	C(O)	CH(Me)	5-tetrazolyl
29A	CHOH	CH(Me)	5-tetrazolyl
30A	C(Me)OH	CH(Me)	5-tetrazolyl
31A	C(O)	CH2	-C(O)-NH-5-tetrazolyl
32A	CHOH	CH2	-C(O)-NH-5-tetrazolyl
33A	C(Me)OH	CH2	-C(O)-NH-5-tetrazolyl
34A	C(O)	CH(Me)	-C(O)-NH-5-tetrazolyl
35A	CHOH	CH(Me)	-C(O)-NH-5-tetrazolyl
36A	C(Me)OH	CH(Me)	-C(O)-NH-5-tetrazolyl
37A	C(O)	CH2	-C(O)NHCH2SO2Me
38A	CHOH	CH2	-C(O)NHCH2SO2Me

39A	C(Me)OH	CH2	-C(O)NHCH2SO2Me
40A	C(O)	CH(Me)	-C(O)NHCH2SO2Me
41A	CHOH	CH(Me)	-C(O)NHCH2SO2Me
42A	C(Me)OH	CH(Me)	-C(O)NHCH2SO2Me
43A	C(O)	CH2	-C(O)NHCH2CH2SO2Me
44A	CHOH	CH2	-C(O)NHCH2CH2SO2Me
45A	C(Me)OH	CH2	-C(O)NHCH2CH2SO2Me
46A	C(O)	CH(Me)	-C(O)NHCH2CH2SO2Me
47A	CHOH	CH(Me)	-C(O)NHCH2CH2SO2Me
48A	C(Me)OH	CH(Me)	-C(O)NHCH2CH2SO2Me
49A	C(O)	CH2	-C(O)NHSO2Me
50A	CHOH	CH2	-C(O)NHSO2Me
51A	C(Me)OH	CH2	-C(O)NHSO2Me
52A	C(O)	CH(Me)	-C(O)NHSO2Me
53A	CHOH	CH(Me)	-C(O)NHSO2Me
54A	C(Me)OH	CH(Me)	-C(O)NHSO2Me
55A	C(O)	CH2	-CH2-C(O)NHSO2Et
56A	CHOH	CH2	-CH2-C(O)NHSO2Et
57A	C(Me)OH	CH2	-CH2-C(O)NHSO2Et
58A	C(O)	CH(Me)	-CH2-C(O)NHSO2Et
59A	CHOH	CH(Me)	-CH2-C(O)NHSO2Et
60A	C(Me)OH	CH(Me)	-CH2-C(O)NHSO2Et
61A	C(O)	CH2	-CH2-C(O)NHSO2iPr
62A	CHOH	CH2	-CH2-C(O)NHSO2iPr
63A	C(Me)OH	CH2	-CH2-C(O)NHSO2iPr
64A	C(O)	CH(Me)	-CH2-C(O)NHSO2iPr
65A	CHOH	CH(Me)	-CH2-C(O)NHSO2iPr
66A	C(Me)OH	CH(Me)	-CH2-C(O)NHSO2iPr
67A	C(O)	CH2	-CH2-C(O)NHSO2tBu
68A	CHOH	CH2	-CH2-C(O)NHSO2tBu
69A	C(Me)OH	CH2	-CH2-C(O)NHSO2tBu

-99-

70A	C(O)	CH(Me)	-CH2-C(O)NHSO <sub>2</sub> tBu
71A	CHOH	CH(Me)	-CH2-C(O)NHSO <sub>2</sub> tBu
72A	C(Me)OH	CH(Me)	-CH2-C(O)NHSO <sub>2</sub> tBu
73A	C(O)	CH <sub>2</sub>	-CH2NHSO <sub>2</sub> Me
74A	CHOH	CH <sub>2</sub>	-CH2NHSO <sub>2</sub> Me
75A	C(Me)OH	CH <sub>2</sub>	-CH2NHSO <sub>2</sub> Me
76A	C(O)	CH(Me)	-CH2NHSO <sub>2</sub> Me
77A	CHOH	CH(Me)	-CH2NHSO <sub>2</sub> Me
78A	C(Me)OH	CH(Me)	-CH2NHSO <sub>2</sub> Me
79A	C(O)	CH <sub>2</sub>	-CH2NHSO <sub>2</sub> Et
80A	CHOH	CH <sub>2</sub>	-CH2NHSO <sub>2</sub> Et
81A	C(Me)OH	CH <sub>2</sub>	-CH2NHSO <sub>2</sub> Et
82A	C(O)	CH(Me)	-CH2NHSO <sub>2</sub> Et
83A	CHOH	CH(Me)	-CH2NHSO <sub>2</sub> Et
84A	C(Me)OH	CH(Me)	-CH2NHSO <sub>2</sub> Et
85A	C(O)	CH <sub>2</sub>	-CH2NHSO <sub>2</sub> iPr
86A	CHOH	CH <sub>2</sub>	-CH2NHSO <sub>2</sub> iPr
87A	C(Me)OH	CH <sub>2</sub>	-CH2NHSO <sub>2</sub> iPr
88A	C(O)	CH(Me)	-CH2NHSO <sub>2</sub> iPr
89A	CHOH	CH(Me)	-CH2NHSO <sub>2</sub> iPr
90A	C(Me)OH	CH(Me)	-CH2NHSO <sub>2</sub> iPr
91A	C(O)	CH <sub>2</sub>	-CH2NHSO <sub>2</sub> tBu
92A	CHOH	CH <sub>2</sub>	-CH2NHSO <sub>2</sub> tBu
93A	C(Me)OH	CH <sub>2</sub>	-CH2NHSO <sub>2</sub> tBu
94A	C(O)	CH(Me)	-CH2NHSO <sub>2</sub> tBu
95A	CHOH	CH(Me)	-CH2NHSO <sub>2</sub> tBu
96A	C(Me)OH	CH(Me)	-CH2NHSO <sub>2</sub> tBu
97A	C(O)	CH <sub>2</sub>	-CH2-N-pyrrolidin-2-one
98A	CHOH	CH <sub>2</sub>	-CH2-N-pyrrolidin-2-one
99A	C(Me)OH	CH <sub>2</sub>	-CH2-N-pyrrolidin-2-one
100A	C(O)	CH(Me)	-CH2-N-pyrrolidin-2-one

-100-

101A	CHOH	CH(Me)	-CH2-N-pyrrolidin-2-one
102A	C(Me)OH	CH(Me)	-CH2-N-pyrrolidin-2-one
103A	C(O)	CH2	-CH2-(1-methylpyrrolidin-2-one-3-yl)
104A	CHOH	CH2	-CH2-(1-methylpyrrolidin-2-one-3-yl)
105A	C(Me)OH	CH2	-CH2-(1-methylpyrrolidin-2-one-3-yl)
106A	C(O)	CH(Me)	-CH2-(1-methylpyrrolidin-2-one-3-yl)
107A	CHOH	CH(Me)	-CH2-(1-methylpyrrolidin-2-one-3-yl)
108A	C(Me)OH	CH(Me)	-CH2-(1-methylpyrrolidin-2-one-3-yl)
109A	C(O)	CH2	-CH2CO2Me
110A	CHOH	CH2	-CH2CO2Me
111A	C(Me)OH	CH2	-CH2CO2Me
112A	C(O)	CH(Me)	-CH2CO2Me
113A	CHOH	CH(Me)	-CH2CO2Me
114A	C(Me)OH	CH(Me)	-CH2CO2Me
115A	C(O)	CH2	-CH2CO2H
116A	CHOH	CH2	-CH2CO2H
117A	C(Me)OH	CH2	-CH2CO2H
118A	C(O)	CH(Me)	-CH2CO2H
119A	CHOH	CH(Me)	-CH2CO2H
120A	C(Me)OH	CH(Me)	-CH2CO2H
121A	C(O)	CH2	-CH2C(O)NH2
122A	CHOH	CH2	-CH2C(O)NH2
123A	C(Me)OH	CH2	-CH2C(O)NH2
124A	C(O)	CH(Me)	-CH2C(O)NH2
125A	CHOH	CH(Me)	-CH2C(O)NH2
126A	C(Me)OH	CH(Me)	-CH2C(O)NH2
127A	C(O)	CH2	-CH2C(O)NMe2
128A	CHOH	CH2	-CH2C(O)NMe2
129A	C(Me)OH	CH2	-CH2C(O)NMe2
130A	C(O)	CH(Me)	-CH2C(O)NMe2
131A	CHOH	CH(Me)	-CH2C(O)NMe2

132A	C(Me)OH	CH(Me)	-CH2C(O)NMe2
133A	C(O)	CH2	-CH2C(O)-N-pyrrolidine
134A	CHOH	CH2	-CH2C(O)-N-pyrrolidine
135A	C(Me)OH	CH2	-CH2C(O)-N-pyrrolidine
136A	C(O)	CH(Me)	-CH2C(O)-N-pyrrolidine
137A	CHOH	CH(Me)	-CH2C(O)-N-pyrrolidine
138A	C(Me)OH	CH(Me)	-CH2C(O)-N-pyrrolidine
139A	C(O)	CH2	-CH2-5-tetrazolyl
140A	CHOH	CH2	-CH2-5-tetrazolyl
141A	C(Me)OH	CH2	-CH2-5-tetrazolyl
142A	C(O)	CH(Me)	-CH2-5-tetrazolyl
143A	CHOH	CH(Me)	-CH2-5-tetrazolyl
144A	C(Me)OH	CH(Me)	-CH2-5-tetrazolyl
145A	C(O)	CH2	-C(O)C(O)OH
146A	CHOH	CH2	-C(O)C(O)OH
147A	C(Me)OH	CH2	-C(O)C(O)OH
148A	C(O)	CH(Me)	-C(O)C(O)OH
149A	CHOH	CH(Me)	-C(O)C(O)OH
150A	C(Me)OH	CH(Me)	-C(O)C(O)OH
151A	C(O)	CH2	-CH(OH)C(O)OH
152A	CHOH	CH2	-CH(OH)C(O)OH
153A	C(Me)OH	CH2	-CH(OH)C(O)OH
154A	C(O)	CH(Me)	-CH(OH)C(O)OH
155A	CHOH	CH(Me)	-CH(OH)C(O)OH
156A	C(Me)OH	CH(Me)	-CH(OH)C(O)OH
157A	C(O)	CH2	-C(O)C(O)NH2
158A	CHOH	CH2	-C(O)C(O)NH2
159A	C(Me)OH	CH2	-C(O)C(O)NH2
160A	C(O)	CH(Me)	-C(O)C(O)NH2
161A	CHOH	CH(Me)	-C(O)C(O)NH2
162A	C(Me)OH	CH(Me)	-C(O)C(O)NH2

163A	C(O)	CH2	-CH(OH)C(O)NH2
164A	CHOH	CH2	-CH(OH)C(O)NH2
165A	C(Me)OH	CH2	-CH(OH)C(O)NH2
166A	C(O)	CH(Me)	-CH(OH)C(O)NH2
167A	CHOH	CH(Me)	-CH(OH)C(O)NH2
168A	C(Me)OH	CH(Me)	-CH(OH)C(O)NH2
169A	C(O)	CH2	-C(O)C(O)NMe2
170A	CHOH	CH2	-C(O)C(O)NMe2
171A	C(Me)OH	CH2	-C(O)C(O)NMe2
172A	C(O)	CH(Me)	-C(O)C(O)NMe2
173A	CHOH	CH(Me)	-C(O)C(O)NMe2
174A	C(Me)OH	CH(Me)	-C(O)C(O)NMe2
175A	C(O)	CH2	-CH(OH)C(O)NMe2
176A	CHOH	CH2	-CH(OH)C(O)NMe2
177A	C(Me)OH	CH2	-CH(OH)C(O)NMe2
178A	C(O)	CH(Me)	-CH(OH)C(O)NMe2
179A	CHOH	CH(Me)	-CH(OH)C(O)NMe2
180A	C(Me)OH	CH(Me)	-CH(OH)C(O)NMe2
181A	C(O)	CH2	-CH2CH2CO2H
182A	CHOH	CH2	-CH2CH2CO2H
183A	C(Me)OH	CH2	-CH2CH2CO2H
184A	C(O)	CH(Me)	-CH2CH2CO2H
185A	CHOH	CH(Me)	-CH2CH2CO2H
186A	C(Me)OH	CH(Me)	-CH2CH2CO2H
187A	C(O)	CH2	-CH2CH2C(O)NH2
188A	CHOH	CH2	-CH2CH2C(O)NH2
189A	C(Me)OH	CH2	-CH2CH2C(O)NH2
190A	C(O)	CH(Me)	-CH2CH2C(O)NH2
191A	CHOH	CH(Me)	-CH2CH2C(O)NH2
192A	C(Me)OH	CH(Me)	-CH2CH2C(O)NH2
193A	C(O)	CH2	-CH2CH2C(O)NMe2

194A	CHOH	CH2	-CH2CH2C(O)NMe2
195A	C(Me)OH	CH2	-CH2CH2C(O)NMe2
196A	C(O)	CH(Me)	-CH2CH2C(O)NMe2
197A	CHOH	CH(Me)	-CH2CH2C(O)NMe2
198A	C(Me)OH	CH(Me)	-CH2CH2C(O)NMe2
199A	C(O)	CH2	-CH2CH2-5-tetrazolyl
200A	CHOH	CH2	-CH2CH2-5-tetrazolyl
201A	C(Me)OH	CH2	-CH2CH2-5-tetrazolyl
202A	C(O)	CH(Me)	-CH2CH2-5-tetrazolyl
203A	CHOH	CH(Me)	-CH2CH2-5-tetrazolyl
204A	C(Me)OH	CH(Me)	-CH2CH2-5-tetrazolyl
205A	C(O)	CH2	-OCH2S(O)2Me
206A	CHOH	CH2	-OCH2S(O)2Me
207A	C(Me)OH	CH2	-OCH2S(O)2Me
208A	C(O)	CH(Me)	-OCH2S(O)2Me
209A	CHOH	CH(Me)	-OCH2S(O)2Me
210A	C(Me)OH	CH(Me)	-OCH2S(O)2Me
211A	C(O)	CH2	-OCH2CH2S(O)2Me
212A	CHOH	CH2	-OCH2CH2S(O)2Me
213A	C(Me)OH	CH2	-OCH2CH2S(O)2Me
214A	C(O)	CH(Me)	-OCH2CH2S(O)2Me
215A	CHOH	CH(Me)	-OCH2CH2S(O)2Me
216A	C(Me)OH	CH(Me)	-OCH2CH2S(O)2Me
217A	C(O)	CH2	-CH2S(O)2Me
218A	CHOH	CH2	-CH2S(O)2Me
219A	C(Me)OH	CH2	-CH2S(O)2Me
220A	C(O)	CH(Me)	-CH2S(O)2Me
221A	CHOH	CH(Me)	-CH2S(O)2Me
222A	C(Me)OH	CH(Me)	-CH2S(O)2Me
223A	C(O)	CH2	-CH2CH2S(O)2Me
224A	CHOH	CH2	-CH2CH2S(O)2Me

225A	C(Me)OH	CH2	-CH2CH2S(O)2Me
226A	C(O)	CH(Me)	-CH2CH2S(O)2Me
227A	CHOH	CH(Me)	-CH2CH2S(O)2Me
228A	C(Me)OH	CH(Me)	-CH2CH2S(O)2Me
229A	C(O)	CH2	-CH2CH2CH2S(O)2Me
230A	CHOH	CH2	-CH2CH2CH2S(O)2Me
231A	C(Me)OH	CH2	-CH2CH2CH2S(O)2Me
232A	C(O)	CH(Me)	-CH2CH2CH2S(O)2Me
233A	CHOH	CH(Me)	-CH2CH2CH2S(O)2Me
234A	C(Me)OH	CH(Me)	-CH2CH2CH2S(O)2Me
235A	C(O)	CH2	-OCH2S(O)2Et
236A	CHOH	CH2	-OCH2S(O)2Et
237A	C(Me)OH	CH2	-OCH2S(O)2Et
238A	C(O)	CH(Me)	-OCH2S(O)2Et
239A	CHOH	CH(Me)	-OCH2S(O)2Et
240A	C(Me)OH	CH(Me)	-OCH2S(O)2Et
241A	C(O)	CH2	-OCH2CH2S(O)2Et
242A	CHOH	CH2	-OCH2CH2S(O)2Et
243A	C(Me)OH	CH2	-OCH2CH2S(O)2Et
244A	C(O)	CH(Me)	-OCH2CH2S(O)2Et
245A	CHOH	CH(Me)	-OCH2CH2S(O)2Et
246A	C(Me)OH	CH(Me)	-OCH2CH2S(O)2Et
247A	C(O)	CH2	-CH2S(O)2Et
248A	CHOH	CH2	-CH2S(O)2Et
249A	C(Me)OH	CH2	-CH2S(O)2Et
250A	C(O)	CH(Me)	-CH2S(O)2Et
251A	CHOH	CH(Me)	-CH2S(O)2Et
252A	C(Me)OH	CH(Me)	-CH2S(O)2Et
253A	C(O)	CH2	-CH2CH2S(O)2Et
254A	CHOH	CH2	-CH2CH2S(O)2Et
255A	C(Me)OH	CH2	-CH2CH2S(O)2Et

256A	C(O)	CH(Me)	-CH2CH2S(O)2Et
257A	CHOH	CH(Me)	-CH2CH2S(O)2Et
258A	C(Me)OH	CH(Me)	-CH2CH2S(O)2Et
259A	C(O)	CH2	-CH2CH2CH2S(O)2Et
260A	CHOH	CH2	-CH2CH2CH2S(O)2Et
261A	C(Me)OH	CH2	-CH2CH2CH2S(O)2Et
262A	C(O)	CH(Me)	-CH2CH2CH2S(O)2Et
263A	CHOH	CH(Me)	-CH2CH2CH2S(O)2Et
264A	C(Me)OH	CH(Me)	-CH2CH2CH2S(O)2Et
265A	C(O)	CH2	-OCH2S(O)2iPr
266A	CHOH	CH2	-OCH2S(O)2iPr
267A	C(Me)OH	CH2	-OCH2S(O)2iPr
268A	C(O)	CH(Me)	-OCH2S(O)2iPr
269A	CHOH	CH(Me)	-OCH2S(O)2iPr
270A	C(Me)OH	CH(Me)	-OCH2S(O)2iPr
271A	C(O)	CH2	-CH2S(O)2iPr
272A	CHOH	CH2	-CH2S(O)2iPr
273A	C(Me)OH	CH2	-CH2S(O)2iPr
274A	C(O)	CH(Me)	-CH2S(O)2iPr
275A	CHOH	CH(Me)	-CH2S(O)2iPr
276A	C(Me)OH	CH(Me)	-CH2S(O)2iPr
277A	C(O)	CH2	-CH2CH2S(O)2iPr
278A	CHOH	CH2	-CH2CH2S(O)2iPr
279A	C(Me)OH	CH2	-CH2CH2S(O)2iPr
280A	C(O)	CH(Me)	-CH2CH2S(O)2iPr
281A	CHOH	CH(Me)	-CH2CH2S(O)2iPr
282A	C(Me)OH	CH(Me)	-CH2CH2S(O)2iPr
283A	C(O)	CH2	-OCH2S(O)2tBu
284A	CHOH	CH2	-OCH2S(O)2tBu
285A	C(Me)OH	CH2	-OCH2S(O)2tBu
286A	C(O)	CH(Me)	-OCH2S(O)2tBu

287A	CHOH	CH(Me)	-OCH <sub>2</sub> S(O)2tBu
288A	C(Me)OH	CH(Me)	-OCH <sub>2</sub> S(O)2tBu
289A	C(O)	CH <sub>2</sub>	-CH <sub>2</sub> S(O)2tBu
290A	CHOH	CH <sub>2</sub>	-CH <sub>2</sub> S(O)2tBu
291A	C(Me)OH	CH <sub>2</sub>	-CH <sub>2</sub> S(O)2tBu
292A	C(O)	CH(Me)	-CH <sub>2</sub> S(O)2tBu
293A	CHOH	CH(Me)	-CH <sub>2</sub> S(O)2tBu
294A	C(Me)OH	CH(Me)	-CH <sub>2</sub> S(O)2tBu
295A	C(O)	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> S(O)2tBu
296A	CHOH	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> S(O)2tBu
297A	C(Me)OH	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> S(O)2tBu
298A	C(O)	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> S(O)2tBu
299A	CHOH	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> S(O)2tBu
300A	C(Me)OH	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> S(O)2tBu
301A	C(O)	CH <sub>2</sub>	-OCH <sub>2</sub> S(O)2NH <sub>2</sub>
302A	CHOH	CH <sub>2</sub>	-OCH <sub>2</sub> S(O)2NH <sub>2</sub>
303A	C(Me)OH	CH <sub>2</sub>	-OCH <sub>2</sub> S(O)2NH <sub>2</sub>
304A	C(O)	CH(Me)	-OCH <sub>2</sub> S(O)2NH <sub>2</sub>
305A	CHOH	CH(Me)	-OCH <sub>2</sub> S(O)2NH <sub>2</sub>
306A	C(Me)OH	CH(Me)	-OCH <sub>2</sub> S(O)2NH <sub>2</sub>
307A	C(O)	CH <sub>2</sub>	-OCH <sub>2</sub> S(O)2NMe <sub>2</sub>
308A	CHOH	CH <sub>2</sub>	-OCH <sub>2</sub> S(O)2NMe <sub>2</sub>
309A	C(Me)OH	CH <sub>2</sub>	-OCH <sub>2</sub> S(O)2NMe <sub>2</sub>
310A	C(O)	CH(Me)	-OCH <sub>2</sub> S(O)2NMe <sub>2</sub>
311A	CHOH	CH(Me)	-OCH <sub>2</sub> S(O)2NMe <sub>2</sub>
312A	C(Me)OH	CH(Me)	-OCH <sub>2</sub> S(O)2NMe <sub>2</sub>
313A	C(O)	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> S(O)2NH <sub>2</sub>
314A	CHOH	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> S(O)2NH <sub>2</sub>
315A	C(Me)OH	CH <sub>2</sub>	-CH <sub>2</sub> CH <sub>2</sub> S(O)2NH <sub>2</sub>
316A	C(O)	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> S(O)2NH <sub>2</sub>
317A	CHOH	CH(Me)	-CH <sub>2</sub> CH <sub>2</sub> S(O)2NH <sub>2</sub>

318A	C(Me)OH	CH(Me)	-CH2CH2S(O)2NH2
319A	C(O)	CH2	-CH2CH2S(O)2NMe2
320A	CHOH	CH2	-CH2CH2S(O)2NMe2
321A	C(Me)OH	CH2	-CH2CH2S(O)2NMe2
322A	C(O)	CH(Me)	-CH2CH2S(O)2NMe2
323A	CHOH	CH(Me)	-CH2CH2S(O)2NMe2
324A	C(Me)OH	CH(Me)	-CH2CH2S(O)2NMe2
325A	C(O)	CH2	-C(O)CH2S(O)2Me
326A	CHOH	CH2	-C(O)CH2S(O)2Me
327A	C(Me)OH	CH2	-C(O)CH2S(O)2Me
328A	C(O)	CH(Me)	-C(O)CH2S(O)2Me
329A	CHOH	CH(Me)	-C(O)CH2S(O)2Me
330A	C(Me)OH	CH(Me)	-C(O)CH2S(O)2Me
331A	C(O)	CH2	-C(O)CH2CH2S(O)2Me
332A	CHOH	CH2	-C(O)CH2CH2S(O)2Me
333A	C(Me)OH	CH2	-C(O)CH2CH2S(O)2Me
334A	C(O)	CH(Me)	-C(O)CH2CH2S(O)2Me
335A	CHOH	CH(Me)	-C(O)CH2CH2S(O)2Me
336A	C(Me)OH	CH(Me)	-C(O)CH2CH2S(O)2Me
337A	C(O)	CH2	-OCH2CH2S(O)2NH2
338A	CHOH	CH2	-OCH2CH2S(O)2NH2
339A	C(Me)OH	CH2	-OCH2CH2S(O)2NH2
340A	C(O)	CH(Me)	-OCH2CH2S(O)2NH2
341A	CHOH	CH(Me)	-OCH2CH2S(O)2NH2
342A	C(Me)OH	CH(Me)	-OCH2CH2S(O)2NH2
343A	C(O)	CH2	-OCH2CH2S(O)2NMe2
344A	CHOH	CH2	-OCH2CH2S(O)2NMe2
345A	C(Me)OH	CH2	-OCH2CH2S(O)2NMe2
346A	C(O)	CH(Me)	-OCH2CH2S(O)2NMe2
347A	CHOH	CH(Me)	-OCH2CH2S(O)2NMe2
348A	C(Me)OH	CH(Me)	-OCH2CH2S(O)2NMe2

349A	C(O)	CH2	-CH2CH2CH2S(O)2NH2
350A	CHOH	CH2	-CH2CH2CH2S(O)2NH2
351A	C(Me)OH	CH2	-CH2CH2CH2S(O)2NH2
352A	C(O)	CH(Me)	-CH2CH2CH2S(O)2NH2
353A	CHOH	CH(Me)	-CH2CH2CH2S(O)2NH2
354A	C(Me)OH	CH(Me)	-CH2CH2CH2S(O)2NH2
355A	C(O)	CH2	-S(O)2Me
356A	CHOH	CH2	-S(O)2Me
357A	C(Me)OH	CH2	-S(O)2Me
358A	C(O)	CH(Me)	-S(O)2Me
359A	CHOH	CH(Me)	-S(O)2Me
360A	C(Me)OH	CH(Me)	-S(O)2Me
361A	C(O)	CH2	-S(O)2Et
362A	CHOH	CH2	-S(O)2Et
363A	C(Me)OH	CH2	-S(O)2Et
364A	C(O)	CH(Me)	-S(O)2Et
365A	CHOH	CH(Me)	-S(O)2Et
366A	C(Me)OH	CH(Me)	-S(O)2Et
367A	C(O)	CH2	-S(O)2iPr
368A	CHOH	CH2	-S(O)2iPr
369A	C(Me)OH	CH2	-S(O)2iPr
370A	C(O)	CH(Me)	-S(O)2iPr
371A	CHOH	CH(Me)	-S(O)2iPr
372A	C(Me)OH	CH(Me)	-S(O)2iPr
373A	C(O)	CH2	-S(O)2tBu
374A	CHOH	CH2	-S(O)2tBu
375A	C(Me)OH	CH2	-S(O)2tBu
376A	C(O)	CH(Me)	-S(O)2tBu
377A	CHOH	CH(Me)	-S(O)2tBu
378A	C(Me)OH	CH(Me)	-S(O)2tBu
379A	C(O)	CH2	-OCH2CO2H

-109-

380A	CHOH	CH2	-OCH2CO2H
381A	C(Me)OH	CH2	-OCH2CO2H
382A	C(O)	CH(Me)	-OCH2CO2H
383A	CHOH	CH(Me)	-OCH2CO2H
384A	C(Me)OH	CH(Me)	-OCH2CO2H
385A	C(O)	CH2	-OCH2-5-tetrazolyl
386A	CHOH	CH2	-OCH2-5-tetrazolyl
387A	C(Me)OH	CH2	-OCH2-5-tetrazolyl
388A	C(O)	CH(Me)	-OCH2-5-tetrazolyl
389A	CHOH	CH(Me)	-OCH2-5-tetrazolyl
390A	C(Me)OH	CH(Me)	-OCH2-5-tetrazolyl
391A	C(O)	CH2	-S(O)2NH2
392A	CHOH	CH2	-S(O)2NH2
393A	C(Me)OH	CH2	-S(O)2NH2
394A	C(O)	CH(Me)	-S(O)2NH2
395A	CHOH	CH(Me)	-S(O)2NH2
396A	C(Me)OH	CH(Me)	-S(O)2NH2
397A	C(O)	CH2	-S(O)2NMe2
398A	CHOH	CH2	-S(O)2NMe2
399A	C(Me)OH	CH2	-S(O)2NMe2
400A	C(O)	CH(Me)	-S(O)2NMe2
401A	CHOH	CH(Me)	-S(O)2NMe2
402A	C(Me)OH	CH(Me)	-S(O)2NMe2
403A	C(O)	CH2	-S(O)2CH2S(O)2Me
404A	CHOH	CH2	-S(O)2CH2S(O)2Me
405A	C(Me)OH	CH2	-S(O)2CH2S(O)2Me
406A	C(O)	CH(Me)	-S(O)2CH2S(O)2Me
407A	CHOH	CH(Me)	-S(O)2CH2S(O)2Me
408A	C(Me)OH	CH(Me)	-S(O)2CH2S(O)2Me
409A	C(O)	CH2	-S(O)2CH2S(O)2Et
410A	CHOH	CH2	-S(O)2CH2S(O)2Et

411A	C(Me)OH	CH2	-S(O)2CH2S(O)2Et
412A	C(O)	CH(Me)	-S(O)2CH2S(O)2Et
413A	CHOH	CH(Me)	-S(O)2CH2S(O)2Et
414A	C(Me)OH	CH(Me)	-S(O)2CH2S(O)2Et
415A	C(O)	CH2	-S(O)2CH2S(O)2iPr
416A	CHOH	CH2	-S(O)2CH2S(O)2iPr
417A	C(Me)OH	CH2	-S(O)2CH2S(O)2iPr
418A	C(O)	CH(Me)	-S(O)2CH2S(O)2iPr
419A	CHOH	CH(Me)	-S(O)2CH2S(O)2iPr
420A	C(Me)OH	CH(Me)	-S(O)2CH2S(O)2iPr
421A	C(O)	CH2	-S(O)2CH2S(O)2tBu
422A	CHOH	CH2	-S(O)2CH2S(O)2tBu
423A	C(Me)OH	CH2	-S(O)2CH2S(O)2tBu
424A	C(O)	CH(Me)	-S(O)2CH2S(O)2tBu
425A	CHOH	CH(Me)	-S(O)2CH2S(O)2tBu
426A	C(Me)OH	CH(Me)	-S(O)2CH2S(O)2tBu
427A	C(O)	CH2	-NHS(O)2Me
428A	CHOH	CH2	-NHS(O)2Me
429A	C(Me)OH	CH2	-NHS(O)2Me
430A	C(O)	CH(Me)	-NHS(O)2Me
431A	CHOH	CH(Me)	-NHS(O)2Me
432A	C(Me)OH	CH(Me)	-NHS(O)2Me
433A	C(O)	CH2	-NHS(O)2Et
434A	CHOH	CH2	-NHS(O)2Et
435A	C(Me)OH	CH2	-NHS(O)2Et
436A	C(O)	CH(Me)	-NHS(O)2Et
437A	CHOH	CH(Me)	-NHS(O)2Et
438A	C(Me)OH	CH(Me)	-NHS(O)2Et
439A	C(O)	CH2	-NHS(O)2iPr
440A	CHOH	CH2	-NHS(O)2iPr
441A	C(Me)OH	CH2	-NHS(O)2iPr

-111-

442A	C(O)	CH(Me)	-NHS(O)2iPr
443A	CHOH	CH(Me)	-NHS(O)2iPr
444A	C(Me)OH	CH(Me)	-NHS(O)2iPr
445A	C(O)	CH2	-NHS(O)2tBu
446A	CHOH	CH2	-NHS(O)2tBu
447A	C(Me)OH	CH2	-NHS(O)2tBu
448A	C(O)	CH(Me)	-NHS(O)2tBu
449A	CHOH	CH(Me)	-NHS(O)2tBu
450A	C(Me)OH	CH(Me)	-NHS(O)2tBu
451A	C(O)	CH2	-OS(O)2Me
452A	CHOH	CH2	-OS(O)2Me
453A	C(Me)OH	CH2	-OS(O)2Me
454A	C(O)	CH(Me)	-OS(O)2Me
455A	CHOH	CH(Me)	-OS(O)2Me
456A	C(Me)OH	CH(Me)	-OS(O)2Me
457A	C(O)	CH2	-OS(O)2Et
458A	CHOH	CH2	-OS(O)2Et
459A	C(Me)OH	CH2	-OS(O)2Et
460A	C(O)	CH(Me)	-OS(O)2Et
461A	CHOH	CH(Me)	-OS(O)2Et
462A	C(Me)OH	CH(Me)	-OS(O)2Et
463A	C(O)	CH2	-OS(O)2iPr
464A	CHOH	CH2	-OS(O)2iPr
465A	C(Me)OH	CH2	-OS(O)2iPr
466A	C(O)	CH(Me)	-OS(O)2iPr
467A	CHOH	CH(Me)	-OS(O)2iPr
468A	C(Me)OH	CH(Me)	-OS(O)2iPr
469A	C(O)	CH2	-OS(O)2tBu
470A	CHOH	CH2	-OS(O)2tBu
471A	C(Me)OH	CH2	-OS(O)2tBu
472A	C(O)	CH(Me)	-OS(O)2tBu

473A	CHOH	CH(Me)	-OS(O)2tBu
474A	C(Me)OH	CH(Me)	-OS(O)2tBu
475A	C(O)	CH2	-NHC(O)NMe2
476A	CHOH	CH2	-NHC(O)NMe2
477A	C(Me)OH	CH2	-NHC(O)NMe2
478A	C(O)	CH(Me)	-NHC(O)NMe2
479A	CHOH	CH(Me)	-NHC(O)NMe2
480A	C(Me)OH	CH(Me)	-NHC(O)NMe2
481A	C(O)	CH2	-NHC(S)NMe2
482A	CHOH	CH2	-NHC(S)NMe2
483A	C(Me)OH	CH2	-NHC(S)NMe2
484A	C(O)	CH(Me)	-NHC(S)NMe2
485A	CHOH	CH(Me)	-NHC(S)NMe2
486A	C(Me)OH	CH(Me)	-NHC(S)NMe2
487A	C(O)	CH2	-OC(O)NMe2
488A	CHOH	CH2	-OC(O)NMe2
489A	C(Me)OH	CH2	-OC(O)NMe2
490A	C(O)	CH(Me)	-OC(O)NMe2
491A	CHOH	CH(Me)	-OC(O)NMe2
492A	C(Me)OH	CH(Me)	-OC(O)NMe2
493A	C(O)	CH2	-OC(S)NMe2
494A	CHOH	CH2	-OC(S)NMe2
495A	C(Me)OH	CH2	-OC(S)NMe2
496A	C(O)	CH(Me)	-OC(S)NMe2
497A	CHOH	CH(Me)	-OC(S)NMe2
498A	C(Me)OH	CH(Me)	-OC(S)NMe2
499A	C(O)	CH2	-NHS(O)2NMe2
500A	CHOH	CH2	-NHS(O)2NMe2
501A	C(Me)OH	CH2	-NHS(O)2NMe2
502A	C(O)	CH(Me)	-NHS(O)2NMe2
503A	CHOH	CH(Me)	-NHS(O)2NMe2

-113-

504A	C(Me)OH	CH(Me)	-NHS(O)2NMe <sub>2</sub>
505A	C(O)	CH <sub>2</sub>	-C(O)NHCH <sub>2</sub> CO <sub>2</sub> H
506A	CHOH	CH <sub>2</sub>	-C(O)NHCH <sub>2</sub> CO <sub>2</sub> H
507A	C(Me)OH	CH <sub>2</sub>	-C(O)NHCH <sub>2</sub> CO <sub>2</sub> H
508A	C(O)	CH(Me)	-C(O)NHCH <sub>2</sub> CO <sub>2</sub> H
509A	CHOH	CH(Me)	-C(O)NHCH <sub>2</sub> CO <sub>2</sub> H
510A	C(Me)OH	CH(Me)	-C(O)NHCH <sub>2</sub> CO <sub>2</sub> H
511A	C(O)	CH <sub>2</sub>	-SO <sub>2</sub> NHCH <sub>2</sub> CO <sub>2</sub> H
512A	CHOH	CH <sub>2</sub>	-SO <sub>2</sub> NHCH <sub>2</sub> CO <sub>2</sub> H
513A	C(Me)OH	CH <sub>2</sub>	-SO <sub>2</sub> NHCH <sub>2</sub> CO <sub>2</sub> H
514A	C(O)	CH(Me)	-SO <sub>2</sub> NHCH <sub>2</sub> CO <sub>2</sub> H
515A	CHOH	CH(Me)	-SO <sub>2</sub> NHCH <sub>2</sub> CO <sub>2</sub> H
516A	C(Me)OH	CH(Me)	-SO <sub>2</sub> NHCH <sub>2</sub> CO <sub>2</sub> H
517A	C(O)	CH <sub>2</sub>	-CH <sub>2</sub> -S-Me
518A	CHOH	CH <sub>2</sub>	-CH <sub>2</sub> -S-Me
519A	C(Me)OH	CH <sub>2</sub>	-CH <sub>2</sub> -S-Me
520A	C(O)	CH(Me)	-CH <sub>2</sub> -S-Me
521A	CHOH	CH(Me)	-CH <sub>2</sub> -S-Me
522A	C(Me)OH	CH(Me)	-CH <sub>2</sub> -S-Me

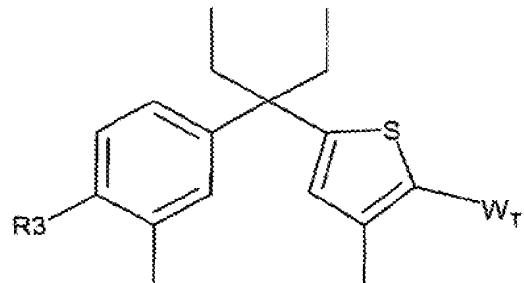


Table 3

Code	R3	W <sub>T</sub>
1B	3Me3OH-Pentyl	-CO <sub>2</sub> Me
2B	3Me3OH-Pentenyl	-CO <sub>2</sub> Me
3B	3Me3OH-Pentynyl	-CO <sub>2</sub> Me
4B	3Et3OH-Pentyl	-CO <sub>2</sub> Me
5B	3Et3OH-Pentenyl	-CO <sub>2</sub> Me
6B	3Et3OH-Pentynyl	-CO <sub>2</sub> Me
7B	3Me3OH-Pentyl	-CO <sub>2</sub> H
8B	3Me3OH-Pentenyl	-CO <sub>2</sub> H
9B	3Me3OH-Pentynyl	-CO <sub>2</sub> H
10B	3Et3OH-Pentyl	-CO <sub>2</sub> H
11B	3Et3OH-Pentenyl	-CO <sub>2</sub> H
12B	3Et3OH-Pentynyl	-CO <sub>2</sub> H
13B	3Me3OH-Pentyl	-C(O)NH <sub>2</sub>
14B	3Me3OH-Pentenyl	-C(O)NH <sub>2</sub>
15B	3Me3OH-Pentynyl	-C(O)NH <sub>2</sub>
16B	3Et3OH-Pentyl	-C(O)NH <sub>2</sub>
17B	3Et3OH-Pentenyl	-C(O)NH <sub>2</sub>
18B	3Et3OH-Pentynyl	-C(O)NH <sub>2</sub>
19B	3Me3OH-Pentyl	-C(O)NMe <sub>2</sub>
20B	3Me3OH-Pentenyl	-C(O)NMe <sub>2</sub>
21B	3Me3OH-Pentynyl	-C(O)NMe <sub>2</sub>

22B	3Et3OH-Pentyl	-C(O)NMe2
23B	3Et3OH-Pentenyl	-C(O)NMe2
24B	3Et3OH-Pentynyl	-C(O)NMe2
25B	3Me3OH-Pentyl	5-tetrazolyl
26B	3Me3OH-Pentenyl	5-tetrazolyl
27B	3Me3OH-Pentynyl	5-tetrazolyl
28B	3Et3OH-Pentyl	5-tetrazolyl
29B	3Et3OH-Pentenyl	5-tetrazolyl
30B	3Et3OH-Pentynyl	5-tetrazolyl
31B	3Me3OH-Pentyl	-C(O)-NH-5-tetrazolyl
32B	3Me3OH-Pentenyl	-C(O)-NH-5-tetrazolyl
33B	3Me3OH-Pentynyl	-C(O)-NH-5-tetrazolyl
34B	3Et3OH-Pentyl	-C(O)-NH-5-tetrazolyl
35B	3Et3OH-Pentenyl	-C(O)-NH-5-tetrazolyl
36B	3Et3OH-Pentynyl	-C(O)-NH-5-tetrazolyl
37B	3Me3OH-Pentyl	-C(O)NHCH2SO2Me
38B	3Me3OH-Pentenyl	-C(O)NHCH2SO2Me
39B	3Me3OH-Pentynyl	-C(O)NHCH2SO2Me
40B	3Et3OH-Pentyl	-C(O)NHCH2SO2Me
41B	3Et3OH-Pentenyl	-C(O)NHCH2SO2Me
42B	3Et3OH-Pentynyl	-C(O)NHCH2SO2Me
43B	3Me3OH-Pentyl	-C(O)NHCH2CH2SO2Me
44B	3Me3OH-Pentenyl	-C(O)NHCH2CH2SO2Me
45B	3Me3OH-Pentynyl	-C(O)NHCH2CH2SO2Me
46B	3Et3OH-Pentyl	-C(O)NHCH2CH2SO2Me
47B	3Et3OH-Pentenyl	-C(O)NHCH2CH2SO2Me
48B	3Et3OH-Pentynyl	-C(O)NHCH2CH2SO2Me
49B	3Me3OH-Pentyl	-C(O)NHSO2Me
50B	3Me3OH-Pentenyl	-C(O)NHSO2Me
51B	3Me3OH-Pentynyl	-C(O)NHSO2Me
52B	3Et3OH-Pentyl	-C(O)NHSO2Me

53B	3Et3OH-Pentenyl	-C(O)NHSO2Me
54B	3Et3OH-Pentynyl	-C(O)NHSO2Me
55B	3Me3OH-Pentyl	-CH2-C(O)NHSO2Et
56B	3Me3OH-Pentenyl	-CH2-C(O)NHSO2Et
57B	3Me3OH-Pentynyl	-CH2-C(O)NHSO2Et
58B	3Et3OH-Pentyl	-CH2-C(O)NHSO2Et
59B	3Et3OH-Pentenyl	-CH2-C(O)NHSO2Et
60B	3Et3OH-Pentynyl	-CH2-C(O)NHSO2Et
61B	3Me3OH-Pentyl	-CH2-C(O)NHSO2iPr
62B	3Me3OH-Pentenyl	-CH2-C(O)NHSO2iPr
63B	3Me3OH-Pentynyl	-CH2-C(O)NHSO2iPr
64B	3Et3OH-Pentyl	-CH2-C(O)NHSO2iPr
65B	3Et3OH-Pentenyl	-CH2-C(O)NHSO2iPr
66B	3Et3OH-Pentynyl	-CH2-C(O)NHSO2iPr
67B	3Me3OH-Pentyl	-CH2-C(O)NHSO2tBu
68B	3Me3OH-Pentenyl	-CH2-C(O)NHSO2tBu
69B	3Me3OH-Pentynyl	-CH2-C(O)NHSO2tBu
70B	3Et3OH-Pentyl	-CH2-C(O)NHSO2tBu
71B	3Et3OH-Pentenyl	-CH2-C(O)NHSO2tBu
72B	3Et3OH-Pentynyl	-CH2-C(O)NHSO2tBu
73B	3Me3OH-Pentyl	-CH2NHSO2Me
74B	3Me3OH-Pentenyl	-CH2NHSO2Me
75B	3Me3OH-Pentynyl	-CH2NHSO2Me
76B	3Et3OH-Pentyl	-CH2NHSO2Me
77B	3Et3OH-Pentenyl	-CH2NHSO2Me
78B	3Et3OH-Pentynyl	-CH2NHSO2Me
79B	3Me3OH-Pentyl	-CH2NHSO2Et
80B	3Me3OH-Pentenyl	-CH2NHSO2Et
81B	3Me3OH-Pentynyl	-CH2NHSO2Et
82B	3Et3OH-Pentyl	-CH2NHSO2Et
83B	3Et3OH-Pentenyl	-CH2NHSO2Et

84B	3Et3OH-Pentynyl	-CH2NHSO2Et
85B	3Me3OH-Pentyl	-CH2NHSO2iPr
86B	3Me3OH-Pentenyl	-CH2NHSO2iPr
87B	3Me3OH-Pentynyl	-CH2NHSO2iPr
88B	3Et3OH-Pentyl	-CH2NHSO2iPr
89B	3Et3OH-Pentenyl	-CH2NHSO2iPr
90B	3Et3OH-Pentynyl	-CH2NHSO2iPr
91B	3Me3OH-Pentyl	-CH2NHSO2tBu
92B	3Me3OH-Pentenyl	-CH2NHSO2tBu
93B	3Me3OH-Pentynyl	-CH2NHSO2tBu
94B	3Et3OH-Pentyl	-CH2NHSO2tBu
95B	3Et3OH-Pentenyl	-CH2NHSO2tBu
96B	3Et3OH-Pentynyl	-CH2NHSO2tBu
97B	3Me3OH-Pentyl	-CH2-N-pyrrolidin-2-one
98B	3Me3OH-Pentenyl	-CH2-N-pyrrolidin-2-one
99B	3Me3OH-Pentynyl	-CH2-N-pyrrolidin-2-one
100B	3Et3OH-Pentyl	-CH2-N-pyrrolidin-2-one
101B	3Et3OH-Pentenyl	-CH2-N-pyrrolidin-2-one
102B	3Et3OH-Pentynyl	-CH2-N-pyrrolidin-2-one
103B	3Me3OH-Pentyl	-CH2-(1-methylpyrrolidin-2-one-3-yl)
104B	3Me3OH-Pentenyl	-CH2-(1-methylpyrrolidin-2-one-3-yl)
105B	3Me3OH-Pentynyl	-CH2-(1-methylpyrrolidin-2-one-3-yl)
106B	3Et3OH-Pentyl	-CH2-(1-methylpyrrolidin-2-one-3-yl)
107B	3Et3OH-Pentenyl	-CH2-(1-methylpyrrolidin-2-one-3-yl)
108B	3Et3OH-Pentynyl	-CH2-(1-methylpyrrolidin-2-one-3-yl)
109B	3Me3OH-Pentyl	-CH2CO2Me

110B	3Me3OH-Pentenyl	-CH2CO2Me
111B	3Me3OH-Pentynyl	-CH2CO2Me
112B	3Et3OH-Pentyl	-CH2CO2Me
113B	3Et3OH-Pentenyl	-CH2CO2Me
114B	3Et3OH-Pentynyl	-CH2CO2Me
115B	3Me3OH-Pentyl	-CH2CO2H
116B	3Me3OH-Pentenyl	-CH2CO2H
117B	3Me3OH-Pentynyl	-CH2CO2H
118B	3Et3OH-Pentyl	-CH2CO2H
119B	3Et3OH-Pentenyl	-CH2CO2H
120B	3Et3OH-Pentynyl	-CH2CO2H
121B	3Me3OH-Pentyl	-CH2C(O)NH2
122B	3Me3OH-Pentenyl	-CH2C(O)NH2
123B	3Me3OH-Pentynyl	-CH2C(O)NH2
124B	3Et3OH-Pentyl	-CH2C(O)NH2
125B	3Et3OH-Pentenyl	-CH2C(O)NH2
126B	3Et3OH-Pentynyl	-CH2C(O)NH2
127B	3Me3OH-Pentyl	-CH2C(O)NMe2
128B	3Me3OH-Pentenyl	-CH2C(O)NMe2
129B	3Me3OH-Pentynyl	-CH2C(O)NMe2
130B	3Et3OH-Pentyl	-CH2C(O)NMe2
131B	3Et3OH-Pentenyl	-CH2C(O)NMe2
132B	3Et3OH-Pentynyl	-CH2C(O)NMe2
133B	3Me3OH-Pentyl	-CH2C(O)-N-pyrrolidine
134B	3Me3OH-Pentenyl	-CH2C(O)-N-pyrrolidine
135B	3Me3OH-Pentynyl	-CH2C(O)-N-pyrrolidine
136B	3Et3OH-Pentyl	-CH2C(O)-N-pyrrolidine
137B	3Et3OH-Pentenyl	-CH2C(O)-N-pyrrolidine
138B	3Et3OH-Pentynyl	-CH2C(O)-N-pyrrolidine
139B	3Me3OH-Pentyl	-CH2-5-tetrazolyl
140B	3Me3OH-Pentenyl	-CH2-5-tetrazolyl

141B	3Me3OH-Pentynyl	-CH2-5-tetrazolyl
142B	3Et3OH-Pentyl	-CH2-5-tetrazolyl
143B	3Et3OH-Pentenyl	-CH2-5-tetrazolyl
144B	3Et3OH-Pentynyl	-CH2-5-tetrazolyl
145B	3Me3OH-Pentyl	-C(O)C(O)OH
146B	3Me3OH-Pentenyl	-C(O)C(O)OH
147B	3Me3OH-Pentynyl	-C(O)C(O)OH
148B	3Et3OH-Pentyl	-C(O)C(O)OH
149B	3Et3OH-Pentenyl	-C(O)C(O)OH
150B	3Et3OH-Pentynyl	-C(O)C(O)OH
151B	3Me3OH-Pentyl	-CH(OH)C(O)OH
152B	3Me3OH-Pentenyl	-CH(OH)C(O)OH
153B	3Me3OH-Pentynyl	-CH(OH)C(O)OH
154B	3Et3OH-Pentyl	-CH(OH)C(O)OH
155B	3Et3OH-Pentenyl	-CH(OH)C(O)OH
156B	3Et3OH-Pentynyl	-CH(OH)C(O)OH
157B	3Me3OH-Pentyl	-C(O)C(O)NH2
158B	3Me3OH-Pentenyl	-C(O)C(O)NH2
159B	3Me3OH-Pentynyl	-C(O)C(O)NH2
160B	3Et3OH-Pentyl	-C(O)C(O)NH2
161B	3Et3OH-Pentenyl	-C(O)C(O)NH2
162B	3Et3OH-Pentynyl	-C(O)C(O)NH2
163B	3Me3OH-Pentyl	-CH(OH)C(O)NH2
164B	3Me3OH-Pentenyl	-CH(OH)C(O)NH2
165B	3Me3OH-Pentynyl	-CH(OH)C(O)NH2
166B	3Et3OH-Pentyl	-CH(OH)C(O)NH2
167B	3Et3OH-Pentenyl	-CH(OH)C(O)NH2
168B	3Et3OH-Pentynyl	-CH(OH)C(O)NH2
169B	3Me3OH-Pentyl	-C(O)C(O)NMe2
170B	3Me3OH-Pentenyl	-C(O)C(O)NMe2
171B	3Me3OH-Pentynyl	-C(O)C(O)NMe2

-120-

172B	3Et3OH-Pentyl	-C(O)C(O)NMe2
173B	3Et3OH-Pentenyl	-C(O)C(O)NMe2
174B	3Et3OH-Pentynyl	-C(O)C(O)NMe2
175B	3Me3OH-Pentyl	-CH(OH)C(O)NMe2
176B	3Me3OH-Pentenyl	-CH(OH)C(O)NMe2
177B	3Me3OH-Pentynyl	-CH(OH)C(O)NMe2
178B	3Et3OH-Pentyl	-CH(OH)C(O)NMe2
179B	3Et3OH-Pentenyl	-CH(OH)C(O)NMe2
180B	3Et3OH-Pentynyl	-CH(OH)C(O)NMe2
181B	3Me3OH-Pentyl	-CH2CH2CO2H
182B	3Me3OH-Pentenyl	-CH2CH2CO2H
183B	3Me3OH-Pentynyl	-CH2CH2CO2H
184B	3Et3OH-Pentyl	-CH2CH2CO2H
185B	3Et3OH-Pentenyl	-CH2CH2CO2H
186B	3Et3OH-Pentynyl	-CH2CH2CO2H
187B	3Me3OH-Pentyl	-CH2CH2C(O)NH2
188B	3Me3OH-Pentenyl	-CH2CH2C(O)NH2
189B	3Me3OH-Pentynyl	-CH2CH2C(O)NH2
190B	3Et3OH-Pentyl	-CH2CH2C(O)NH2
191B	3Et3OH-Pentenyl	-CH2CH2C(O)NH2
192B	3Et3OH-Pentynyl	-CH2CH2C(O)NH2
193B	3Me3OH-Pentyl	-CH2CH2C(O)NMe2
194B	3Me3OH-Pentenyl	-CH2CH2C(O)NMe2
195B	3Me3OH-Pentynyl	-CH2CH2C(O)NMe2
196B	3Et3OH-Pentyl	-CH2CH2C(O)NMe2
197B	3Et3OH-Pentenyl	-CH2CH2C(O)NMe2
198B	3Et3OH-Pentynyl	-CH2CH2C(O)NMe2
199B	3Me3OH-Pentyl	-CH2CH2-5-tetrazolyl
200B	3Me3OH-Pentenyl	-CH2CH2-5-tetrazolyl
201B	3Me3OH-Pentynyl	-CH2CH2-5-tetrazolyl
202B	3Et3OH-Pentyl	-CH2CH2-5-tetrazolyl

-121-

203B	3Et3OH-Pentenyl	-CH2CH2-5-tetrazolyl
204B	3Et3OH-Pentynyl	-CH2CH2-5-tetrazolyl
205B	3Me3OH-Pentyl	-CH2S(O)2Me
206B	3Me3OH-Pentenyl	-CH2S(O)2Me
207B	3Me3OH-Pentynyl	-CH2S(O)2Me
208B	3Et3OH-Pentyl	-CH2S(O)2Me
209B	3Et3OH-Pentenyl	-CH2S(O)2Me
210B	3Et3OH-Pentynyl	-CH2S(O)2Me
211B	3Me3OH-Pentyl	-CH2CH2S(O)2Me
212B	3Me3OH-Pentenyl	-CH2CH2S(O)2Me
213B	3Me3OH-Pentynyl	-CH2CH2S(O)2Me
214B	3Et3OH-Pentyl	-CH2CH2S(O)2Me
215B	3Et3OH-Pentenyl	-CH2CH2S(O)2Me
216B	3Et3OH-Pentynyl	-CH2CH2S(O)2Me
217B	3Me3OH-Pentyl	-CH2CH2CH2S(O)2Me
218B	3Me3OH-Pentenyl	-CH2CH2CH2S(O)2Me
219B	3Me3OH-Pentynyl	-CH2CH2CH2S(O)2Me
220B	3Et3OH-Pentyl	-CH2CH2CH2S(O)2Me
221B	3Et3OH-Pentenyl	-CH2CH2CH2S(O)2Me
222B	3Et3OH-Pentynyl	-CH2CH2CH2S(O)2Me
223B	3Me3OH-Pentyl	-CH2S(O)2Et
224B	3Me3OH-Pentenyl	-CH2S(O)2Et
225B	3Me3OH-Pentynyl	-CH2S(O)2Et
226B	3Et3OH-Pentyl	-CH2S(O)2Et
227B	3Et3OH-Pentenyl	-CH2S(O)2Et
228B	3Et3OH-Pentynyl	-CH2S(O)2Et
229B	3Me3OH-Pentyl	-CH2CH2S(O)2Et
230B	3Me3OH-Pentenyl	-CH2CH2S(O)2Et
231B	3Me3OH-Pentynyl	-CH2CH2S(O)2Et
232B	3Et3OH-Pentyl	-CH2CH2S(O)2Et
233B	3Et3OH-Pentenyl	-CH2CH2S(O)2Et

234B	3Et3OH-Pentynyl	-CH2CH2S(O)2Et
235B	3Me3OH-Pentyl	-CH2CH2CH2S(O)2Et
236B	3Me3OH-Pentenyl	-CH2CH2CH2S(O)2Et
237B	3Me3OH-Pentynyl	-CH2CH2CH2S(O)2Et
238B	3Et3OH-Pentyl	-CH2CH2CH2S(O)2Et
239B	3Et3OH-Pentenyl	-CH2CH2CH2S(O)2Et
240B	3Et3OH-Pentynyl	-CH2CH2CH2S(O)2Et
241B	3Me3OH-Pentyl	-CH2S(O)2iPr
242B	3Me3OH-Pentenyl	-CH2S(O)2iPr
243B	3Me3OH-Pentynyl	-CH2S(O)2iPr
244B	3Et3OH-Pentyl	-CH2S(O)2iPr
245B	3Et3OH-Pentenyl	-CH2S(O)2iPr
246B	3Et3OH-Pentynyl	-CH2S(O)2iPr
247B	3Me3OH-Pentyl	-CH2CH2S(O)2iPr
248B	3Me3OH-Pentenyl	-CH2CH2S(O)2iPr
249B	3Me3OH-Pentynyl	-CH2CH2S(O)2iPr
250B	3Et3OH-Pentyl	-CH2CH2S(O)2iPr
251B	3Et3OH-Pentenyl	-CH2CH2S(O)2iPr
252B	3Et3OH-Pentynyl	-CH2CH2S(O)2iPr
253B	3Me3OH-Pentyl	-CH2S(O)2tBu
254B	3Me3OH-Pentenyl	-CH2S(O)2tBu
255B	3Me3OH-Pentynyl	-CH2S(O)2tBu
256B	3Et3OH-Pentyl	-CH2S(O)2tBu
257B	3Et3OH-Pentenyl	-CH2S(O)2tBu
258B	3Et3OH-Pentynyl	-CH2S(O)2tBu
259B	3Me3OH-Pentyl	-CH2CH2S(O)2tBu
260B	3Me3OH-Pentenyl	-CH2CH2S(O)2tBu
261B	3Me3OH-Pentynyl	-CH2CH2S(O)2tBu
262B	3Et3OH-Pentyl	-CH2CH2S(O)2tBu
263B	3Et3OH-Pentenyl	-CH2CH2S(O)2tBu
264B	3Et3OH-Pentynyl	-CH2CH2S(O)2tBu

-123-

265B	3Me3OH-Pentyl	-CH2CH2S(O)2NH2
266B	3Me3OH-Pentenyl	-CH2CH2S(O)2NH2
267B	3Me3OH-Pentynyl	-CH2CH2S(O)2NH2
268B	3Et3OH-Pentyl	-CH2CH2S(O)2NH2
269B	3Et3OH-Pentenyl	-CH2CH2S(O)2NH2
270B	3Et3OH-Pentynyl	-CH2CH2S(O)2NH2
271B	3Me3OH-Pentyl	-CH2CH2S(O)2NMe2
272B	3Me3OH-Pentenyl	-CH2CH2S(O)2NMe2
273B	3Me3OH-Pentynyl	-CH2CH2S(O)2NMe2
274B	3Et3OH-Pentyl	-CH2CH2S(O)2NMe2
275B	3Et3OH-Pentenyl	-CH2CH2S(O)2NMe2
276B	3Et3OH-Pentynyl	-CH2CH2S(O)2NMe2
277B	3Me3OH-Pentyl	-C(O)CH2S(O)2Me
278B	3Me3OH-Pentenyl	-C(O)CH2S(O)2Me
279B	3Me3OH-Pentynyl	-C(O)CH2S(O)2Me
280B	3Et3OH-Pentyl	-C(O)CH2S(O)2Me
281B	3Et3OH-Pentenyl	-C(O)CH2S(O)2Me
282B	3Et3OH-Pentynyl	-C(O)CH2S(O)2Me
283B	3Me3OH-Pentyl	-C(O)CH2CH2S(O)2Me
284B	3Me3OH-Pentenyl	-C(O)CH2CH2S(O)2Me
285B	3Me3OH-Pentynyl	-C(O)CH2CH2S(O)2Me
286B	3Et3OH-Pentyl	-C(O)CH2CH2S(O)2Me
287B	3Et3OH-Pentenyl	-C(O)CH2CH2S(O)2Me
288B	3Et3OH-Pentynyl	-C(O)CH2CH2S(O)2Me
289B	3Me3OH-Pentyl	-CH2CH2CH2S(O)2NH2
290B	3Me3OH-Pentenyl	-CH2CH2CH2S(O)2NH2
291B	3Me3OH-Pentynyl	-CH2CH2CH2S(O)2NH2
292B	3Et3OH-Pentyl	-CH2CH2CH2S(O)2NH2
293B	3Et3OH-Pentenyl	-CH2CH2CH2S(O)2NH2
294B	3Et3OH-Pentynyl	-CH2CH2CH2S(O)2NH2
295B	3Me3OH-Pentyl	-S(O)2Me

296B	3Me3OH-Pentenyl	-S(O)2Me
297B	3Me3OH-Pentynyl	-S(O)2Me
298B	3Et3OH-Pentyl	-S(O)2Me
299B	3Et3OH-Pentenyl	-S(O)2Me
300B	3Et3OH-Pentynyl	-S(O)2Me
301B	3Me3OH-Pentyl	-S(O)2Et
302B	3Me3OH-Pentenyl	-S(O)2Et
303B	3Me3OH-Pentynyl	-S(O)2Et
304B	3Et3OH-Pentyl	-S(O)2Et
305B	3Et3OH-Pentenyl	-S(O)2Et
306B	3Et3OH-Pentynyl	-S(O)2Et
307B	3Me3OH-Pentyl	-S(O)2iPr
308B	3Me3OH-Pentenyl	-S(O)2iPr
309B	3Me3OH-Pentynyl	-S(O)2iPr
310B	3Et3OH-Pentyl	-S(O)2iPr
311B	3Et3OH-Pentenyl	-S(O)2iPr
312B	3Et3OH-Pentynyl	-S(O)2iPr
313B	3Me3OH-Pentyl	-S(O)2tBu
314B	3Me3OH-Pentenyl	-S(O)2tBu
315B	3Me3OH-Pentynyl	-S(O)2tBu
316B	3Et3OH-Pentyl	-S(O)2tBu
317B	3Et3OH-Pentenyl	-S(O)2tBu
318B	3Et3OH-Pentynyl	-S(O)2tBu
319B	3Me3OH-Pentyl	-S(O)2NH2
320B	3Me3OH-Pentenyl	-S(O)2NH2
321B	3Me3OH-Pentynyl	-S(O)2NH2
322B	3Et3OH-Pentyl	-S(O)2NH2
323B	3Et3OH-Pentenyl	-S(O)2NH2
324B	3Et3OH-Pentynyl	-S(O)2NH2
325B	3Me3OH-Pentyl	-S(O)2NMe2
326B	3Me3OH-Pentenyl	-S(O)2NMe2